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 * * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'HOME' AT 09:16:22 ON 19 MAR 2009
FILE 'HOME' ENTERED AT 09:16:22 ON 19 MAR 2009
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.22       0.22

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.22       0.22

FILE 'REGISTRY' ENTERED AT 09:16:30 ON 19 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   17 MAR 2009  HIGHEST RN 1122748-29-1
DICTIONARY FILE UPDATES:  17 MAR 2009  HIGHEST RN 1122748-29-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

  Please note that search-term pricing does apply when
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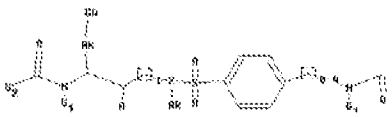
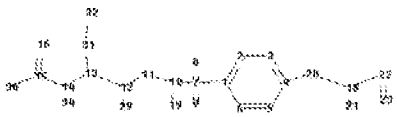
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

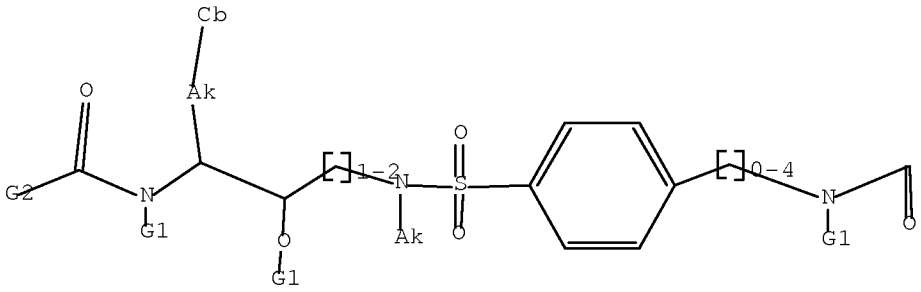
=>
Uploading C:\Program Files\STNEXP\Queries\10555712 C(O) bonded to N.str





L1 STRUCTURE UPLOADED

=> d L1
L1 HAS NO ANSWERS
L1 STR




G1 H,Ak
G2 O,Cb,Cy,Hy,Ak

Structure attributes must be viewed using STN Express query preparation.

=> file caplus

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.48 | 0.70 |

FILE 'CAPLUS' ENTERED AT 09:16:49 ON 19 MAR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 19 Mar 2009  VOL 150 ISS 12
FILE LAST UPDATED: 18 Mar 2009  (20090318/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l1 SSS full
   REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 09:16:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      637 TO ITERATE

100.0% PROCESSED      637 ITERATIONS                              134 ANSWERS
SEARCH TIME: 00.00.01

L2          134 SEA SSS FUL L1

L3          40 L2

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 40 ANSWERS - CONTINUE? Y/(N):y

L3   ANSWER 1 OF 40  CAPLUS  COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER:        2008:1179905  CAPLUS  Full-text
DOCUMENT NUMBER:         149:425919
TITLE:                   Carbonylaminoalkyl sulfonamide derivatives as HIV-1 protease inhibitors
INVENTOR(S):             Ali, Akbar; Altman, Michael D.; Anjum, Saima Ghafoor; Cao, Hong; Chellappan, Sripriya; Fernandes, Miguel X.; Gilson, Michael; Kairys, Visvaldas; King, Nancy; Nalivaika, Ellen; Prabu, Moses; Rana, Tariq M.; Garudammagari Sai, Kiran Kumar Reddy; Schiffer, Celia A.; Tidor, Bruce
PATENT ASSIGNEE(S):      University of Massachusetts, USA; University of Maryland Biotechnology Institute; Massachusetts Institute of Technology
SOURCE:                  PCT Int. Appl., 199pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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WO 2008118849 A2 20081002 WO 2008-US58004 20080324
WO 2008118849 A3 20081218
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
PRIORITY APPLN. INFO.: US 2007-919819P P 20070323
US 2007-919896P P 20070323
US 2007-941786P P 20070604
US 2007-941829P P 20070604
OTHER SOURCE(S): MARPAT 149:425919
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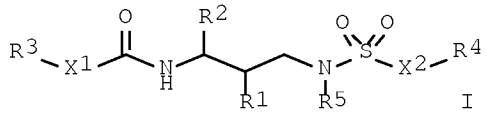

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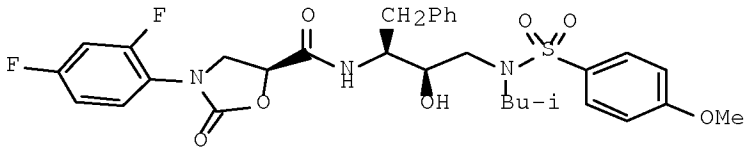
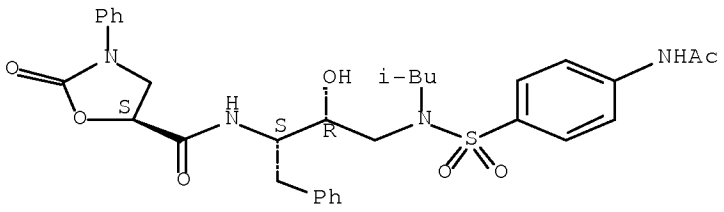


II

AB Described are protease inhibitors of formula I and methods for using said protease inhibitors in the treatment of human immunodeficiency virus (HIV) infection. Compds. of formula I wherein X1 and X2 are independently O, S, NH and derivs., and cyclopropyl; R1 is OH, SH and NH2 and derivs.; R2 is H, alkyl, cycloalkyl, heterocyclyl, (hetero)aryl, etc.; R3 is H, alkyl, alkenyl, amino, aminoalkyl, amido, etc.; R4 is alkyl, cycloalkyl, (hetero)aryl, etc.; R5 is H, alkyl, cycloalkylalkyl, amino, aminoalkyl, etc.; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their HIV-1 protease inhibitory activity. From the assay, it was determined that compound II exhibited Ki value of 0.063 nM.
IT 918543-67-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; carbonylaminoalkyl sulfonamide derivs. as HIV-1 protease inhibitors useful in treatment of immunodeficiency virus infection)
RN 918543-67-6 CAPLUS
CN 5-Oxazolidinecarboxamide, N-[(1S,2R)-3-[[[4-

(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-oxo-3-phenyl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1258696 CAPLUS Full-text
DOCUMENT NUMBER: 147:542133
TITLE: Preparation of pseudo peptides as HIV-1 protease inhibitors for the treatment of AIDS
INVENTOR(S): Yang, Ming; Zhang, Hang; Deng, Xiaomin
PATENT ASSIGNEE(S): Peking University, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 101062909 | A | 20071031 | CN 2006-10076169 | 20060428 |

PRIORITY APPLN. INFO.: CN 2006-10076169 20060428
OTHER SOURCE(S): CASREACT 147:542133; MARPAT 147:542133
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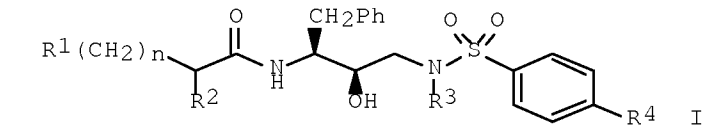

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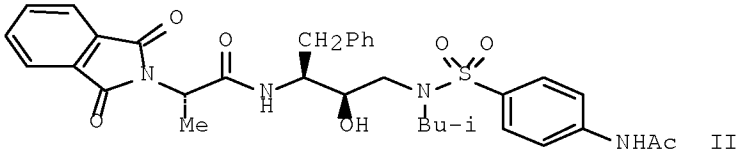


II

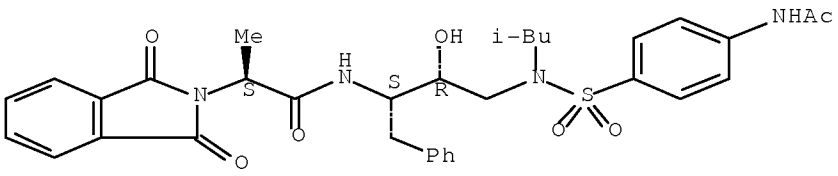
AB Pseudo peptides I [wherein R1 = phthalimido, (un)substituted phenoxy, naphthyloxy, etc.; R2 = H, alkyl, CH2OH or =CH2; R3 = i-Bu, t-Bu, benzyl, etc.; R4 = H, alkyl, methoxy, etc.; n = 0-3] and pharmaceutically acceptable salts, which are useful HIV-1 protease inhibitors in the treatment of AIDS (no data), were prepared For instance, II was synthesized in 80% yield by

DCC/HOBt-mediated coupling reaction of phthalimidoalanine with the corresponding amine (preparation given).

IT 957468-63-2P 957468-64-3P 957468-65-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pseudo peptides as HIV-1 protease inhibitors for treatment of AIDS)

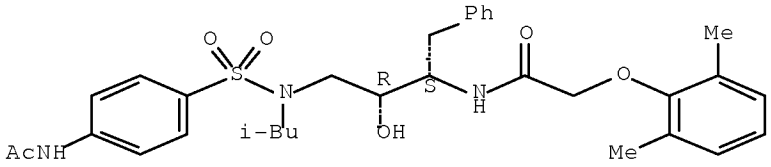
RN 957468-63-2 CAPLUS
CN 2H-Isoindole-2-acetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1,3-dihydro-α-methyl-1,3-dioxo-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



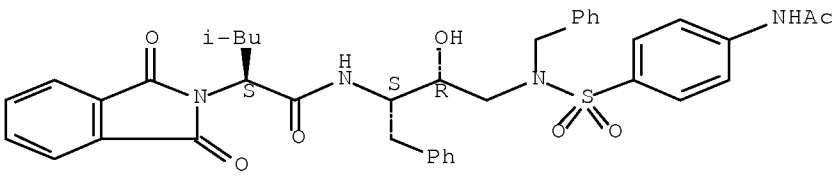

RN 957468-64-3 CAPLUS
CN Acetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-(2,6-dimethylphenoxy)- (CA INDEX NAME)

Absolute stereochemistry.



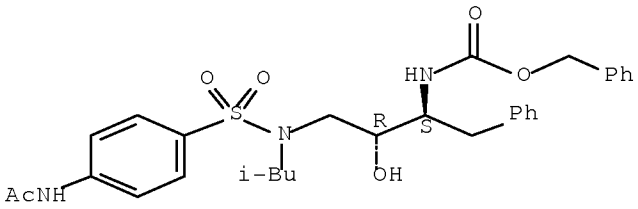

RN 957468-65-4 CAPLUS
CN 2H-Isoindole-2-acetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-1,3-dihydro-α-(2-methylpropyl)-1,3-dioxo-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



IT 157567-04-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pseudo peptides as HIV-1 protease inhibitors for treatment of AIDS)
RN 157567-04-9 CAPLUS
CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.




L3 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1022703 CAPLUS Full-text
DOCUMENT NUMBER: 147:344309
TITLE: Immunoassays, haptens, immunogens and antibodies for anti-HIV therapeutics
INVENTOR(S): Valdez, Johnny
PATENT ASSIGNEE(S): Ark Diagnostics, USA
SOURCE: PCT Int. Appl., 98pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2007103740 | A2 | 20070913 | WO 2007-US63090 | 20070301 |
| WO 2007103740 | A3 | 20081231 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| US 20070218486 | A1 | 20070920 | US 2007-681072 | 20070301 |
| EP 1994184 | A2 | 20081126 | EP 2007-757736 | 20070301 |

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS

PRIORITY APPLN. INFO.: US 2006-777923P P 20060301
WO 2007-US63090 W 20070301

OTHER SOURCE(S): MARPAT 147:344309

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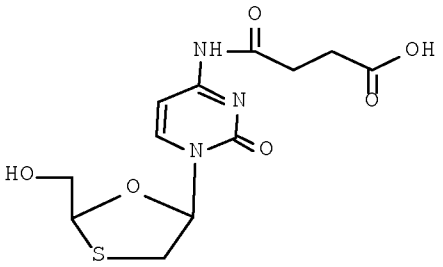


I

AB I-(X)k-(C=O)m-(Y)n-(L)p-Q wherein I is a met-sensitive moiety of an anti-HIV therapeutic, wherein said anti-HIV therapeutic is selected from the group consisting of a HIV protease inhibitor (PI), a nucleoside HIV reverse transcriptase inhibitor (NRTI) and an HIV entry inhibitor (EI); X is O, NH, and CH2; Y is O, NH, CH2, and CH2-S; k, m, n, and p are independently = 0-1; 10 L is a linker consisting of from 1 to 40 carbon atoms arranged in a straight chain or a branched chain, saturated or unsatd., and containing up to two ring structures and 0-20 heteroatoms, with the provision that not more than two heteroatoms may be linked in sequence; and Q is a reactive functional moiety chosen from the group consisting of active esters, halogens, isocyanates, isothiocyanates, thiols, imido-esters, anhydrides, maleimides, thio-lactones, diazonium groups and aldehydes, were prepared as antiviral agents. Thus, nucleoside analog I was prepared by coupling of lamivudine with succinic anhydride. The specificity of the immunoassay was evaluated by adding potentially cross-reactant drugs to human serum and determining the increase in the apparent concentration as a result of the presence of cross-reactant. Sep. stock solns. of tipranivir, ritonavir, amprenavir, saquinavir, indinavir, nelfinavir, efavirenz, lopinavir and lamivudine were prepared by dissolving the drug in methanol to give a stock solution of 1000 μg/mL. 10 μG/mL of cross-reactant plus 5 μg/mL of tipranavir was added to individual human serum samples to give a final volume of 1 mL. Each sample was assayed in duplicate.

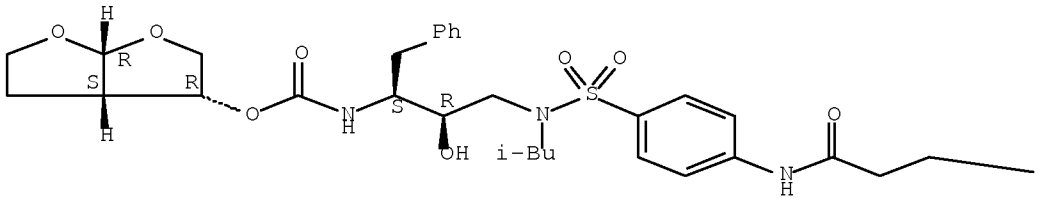
IT 948592-12-9P 948592-13-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(immunoassays haptens immunogens and antibodies for anti-HIV therapeutics)

RN 948592-12-9 CAPLUS

CN Butanoic acid, 4-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

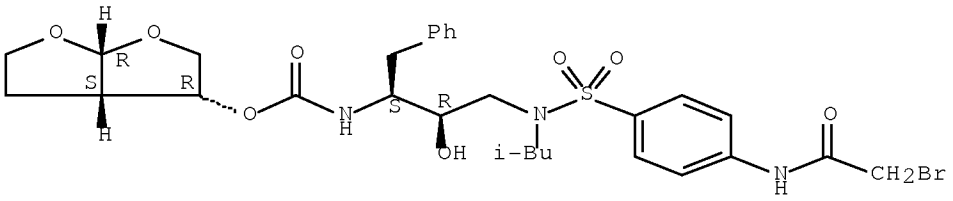


PAGE 1-B

—$CO_2H$

RN 948592-13-0 CAPLUS
CN Carbamic acid, N-[(1S,2R)-3-[[[4-[(2-bromoacetyl)amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:14210 CAPLUS Full-text
DOCUMENT NUMBER: 146:121949
TITLE: Oxazolidinecarboxamides as HIV-1 protease inhibitors, and methods of making and using them
INVENTOR(S): Rana, Tariq M.; Ali, Akbar; Cao, Hong; Sai, Kiran Kumar Reddy Ga; Anjum, Saima Ghafoor
PATENT ASSIGNEE(S): University of Massachusetts, USA
SOURCE: PCT Int. Appl., 194 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2007002173 | A1 | 20070104 | WO 2006-US24109 | 20060621 |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006262275 | A1 | 20070104 | AU 2006-262275 | 20060621 |
| EP 1937655 | A1 | 20080702 | EP 2006-785253 | 20060621 |
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| JP 2008546790 | T | 20081225 | JP 2008-518348 | 20060621 |
| CN 101309911 | A | 20081119 | CN 2006-80030629 | 20080222 |
| PRIORITY APPLN. INFO.: | | | US 2005-693134P P | 20050622 |
| | | | US 2005-749902P P | 20051212 |
| | | | US 2006-810234P P | 20060602 |
| | | | WO 2006-US24109 W | 20060621 |

OTHER SOURCE(S): MARPAT 146:121949

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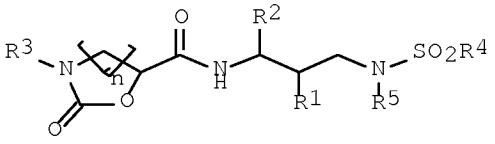

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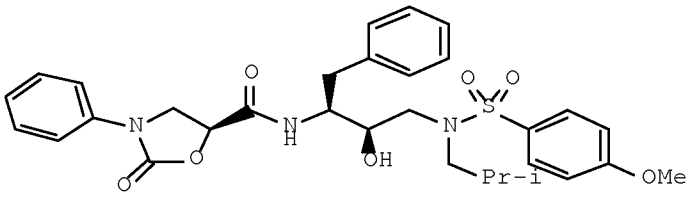


II

AB One aspect of the invention relates to the design, synthesis and biol. activity of novel HIV-1 protease inhibitors of incorporating N-phenyloxazolidine-5-carboxamides into the (hydroxyethylamino)sulfonamide scaffold of formula I as P2 ligands. Compound of formula I wherein n is 1 and 2; R1 is OH, SH, and NH and derivs.; R2 is H, alkyl, cycloalkyl, (hetero)aryl, heterocyclyl(alkyl), and (hetero)aralkyl; R3 is H, alkyl, alkenyl, aminoalkyl, amidoalkyl, ketoalkyl, cycloalkyl, (hetero)aryl, etc.; R4 is alkyl, cycloalkyl, heterocyclyl(alkyl), (hetero)aryl, and (hetero)aralkyl; R5 is H, alkyl, cycloalkyl, heterocyclyl(alkyl), (hetero)aryl, and (hetero)aralkyl; and

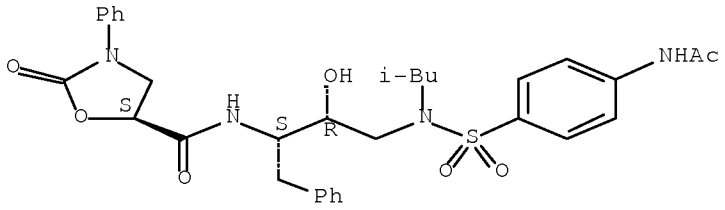
their stereochem. configurations at any undefined stereocenter is R, S, or a mixture of these configurations, are claimed. The invention relates to inhibitors with variations at the P2 phenyloxazolidine and the P2' phenylsulfonamide moieties. Remarkably, compds. with an (S)-enantiomer of substituted phenyloxazolidines at P2 show highly potent inhibitory activities against wild-type HIV-1 protease. In certain embodiments, the inhibitors of the invention have Ki values in low picomolar (pM) range. In certain embodiments, the inhibitors of the invention were shown to be active against a variety of multi-drug resistant (MDR) HIV-1 proteases, each representing different paradigm of drug resistance. Example compound II was prepared by a general coupling reaction using the corresponding sulfonamide. All the invention compds. were evaluated for their HIV-1 protease inhibitory activity (data given).

IT 918543-67-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of oxazolidinecarboxamides as HIV-1 protease inhibitors useful as therapeutic agents)

RN 918543-67-6 CAPLUS

CN 5-Oxazolidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-oxo-3-phenyl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1154157 CAPLUS Full-text

DOCUMENT NUMBER: 143:422465

TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds

INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Birkus, Gabriel

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 1034 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| US 20050239054 | A1 | 20051027 | US 2003-740694 | 20031222 |
| WO 2003090690 | A2 | 20031106 | WO 2003-US12901 | 20030425 |

WO 2003090690 A3 20040624
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ZA 2004009376 A 20050914 ZA 2004-9376 20041122
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WO 2005064008 A1 20050714 WO 2004-US42991 20041222
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EP 1711617 A1 20061018 EP 2004-817046 20041222
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| JP 2007515184 | T | 20070614 | JP 2006-547281 | 20041222 |
| PRIORITY APPLN. INFO.: | | | US 2002-375622P | P 20020426 |
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| | | | CN 2003-812478 | A3 20030425 |
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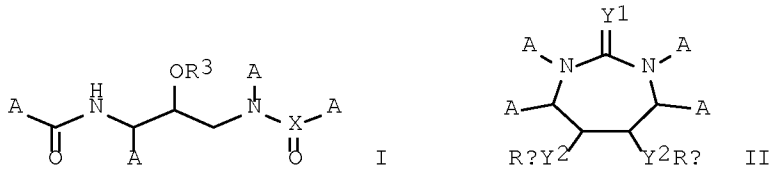
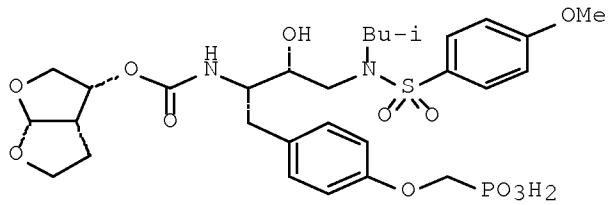
GI




AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SO0-2, or SO0-2SO0-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, S0-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have

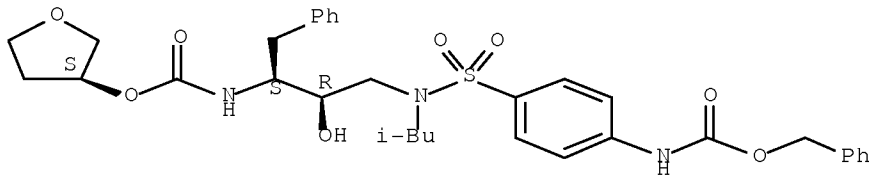
improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. were screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include prepns. for non-nucleoside phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki ≤10 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT 190444-94-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 190444-94-1 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

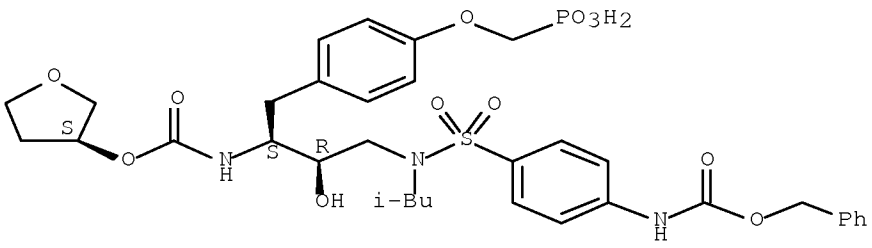


IT 622866-24-4P 622866-25-5P 622866-26-6P 622866-27-7P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 622866-24-4 CAPLUS

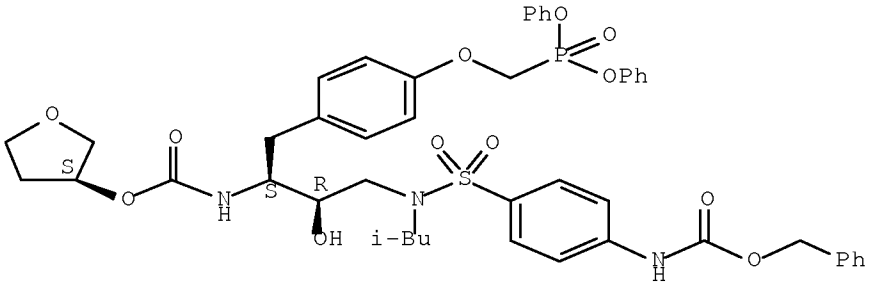
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-[[4-(phosphonomethoxy)phenyl]methyl]propyl]-, C-[(3S)-tetrahydro-3-furanyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



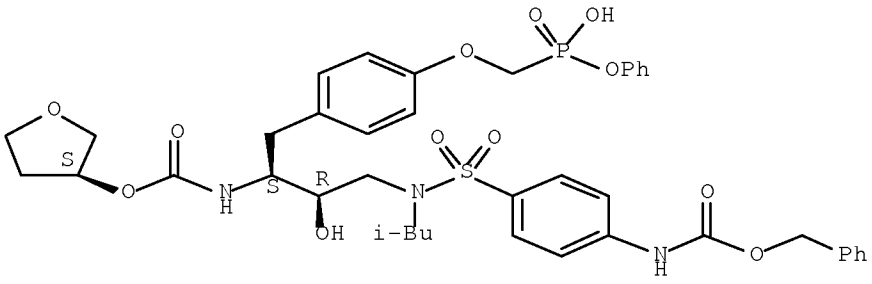

RN 622866-25-5 CAPLUS
CN Carbamic acid, [(1S,2R)-1-[[4-[(diphenoxyphosphinyl)methoxy]phenyl]methyl]-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 622866-26-6 CAPLUS
CN Carbamic acid, [4-[[[(2R,3S)-2-hydroxy-4-[4-[(hydroxyphenoxyphosphinyl)methoxy]phenyl]-3-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

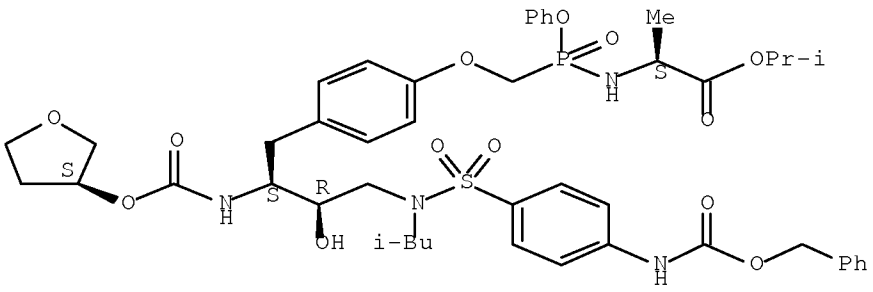
Absolute stereochemistry.




RN 622866-27-7 CAPLUS
CN L-Alanine, N-[[[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[[(3S)-

tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]methyl]phenoxyphosph
inyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.




L3 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:612479 CAPLUS Full-text
DOCUMENT NUMBER: 143:97530
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds
INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Birkus, Gabriel; Bryant, Clifford; Chen, James M.; Chen, Xiaowu; Cihlar, Tomas; Dastgah, Azar; Eisenberg, Eugene J.; Fardis, Maria; Hatada, Marcos; He, Gong-Xin; Jin, Haolun; Kim, Choung U.; Lee, William A.; Lee, Christopher P.; Lin, Kuei-Ying; Liu, Hongtao; Mackman, Richard L.; McDermott, Martin J.; Mitchell, Michael L.; Nelson, Peter H.; Pyun, Hyung-Jung; Rowe, Tanisha D.; Sparacino, Mark; Swaminathan, Sundaramoorthi; Tario, James D.; Wang, Jianying; Williams, Matthew A.; Xu, Lianhong; Yang, Zheng-Yu; Yu, Richard H.; Zhang, Jiancun; Zhang, Lijun
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 1723 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2005064008 | A1 | 20050714 | WO 2004-US42991 | 20041222 |
| WO 2005064008 | A9 | 20060928 | | |

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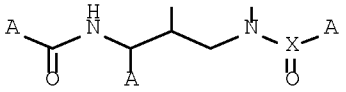

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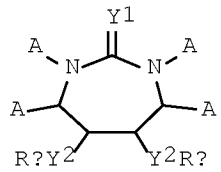

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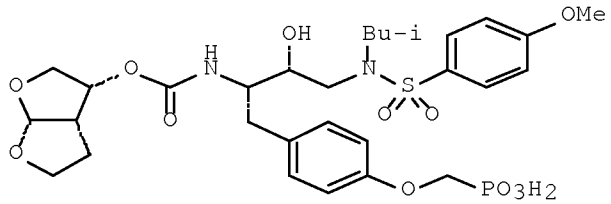


III

AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SO0-2, or SO0-2SO0-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, S0-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5

= substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. were screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include prepns. for non-nucleoside phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki ≤10 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT 190444-94-1P

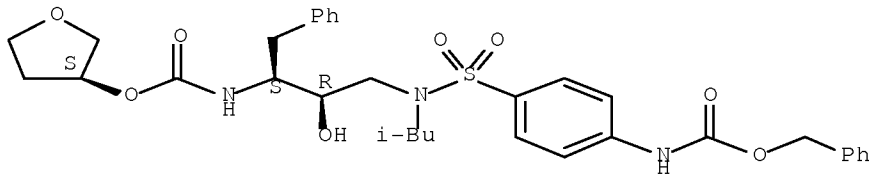
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 190444-94-1 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 622866-24-4P 622866-25-5P 622866-26-6P 622866-27-7P

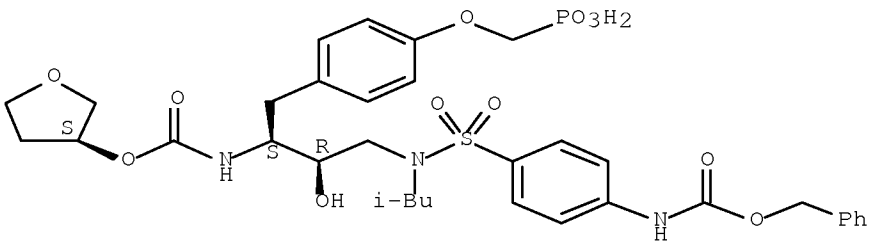
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 622866-24-4 CAPLUS

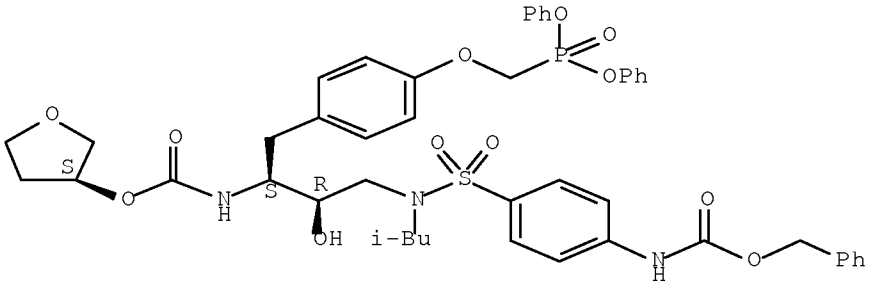
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-[[4-(phosphonomethoxy)phenyl]methyl]propyl]-, C-[(3S)-tetrahydro-3-furanyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



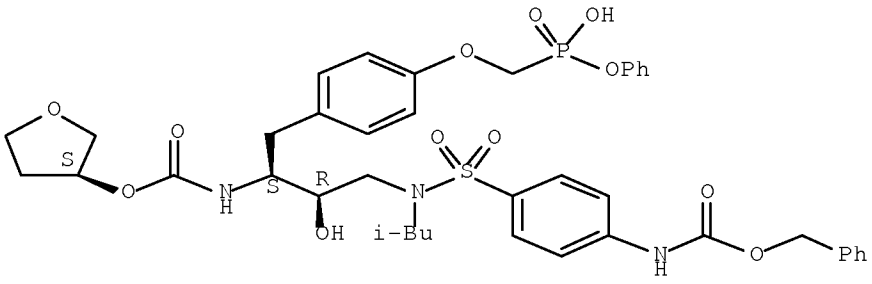

RN 622866-25-5 CAPLUS
CN Carbamic acid, [(1S,2R)-1-[[4-[(diphenoxyphosphinyl)methoxy]phenyl]methyl]-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 622866-26-6 CAPLUS
CN Carbamic acid, [4-[[[(2R,3S)-2-hydroxy-4-[4-[(hydroxyphenoxyphosphinyl)methoxy]phenyl]-3-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

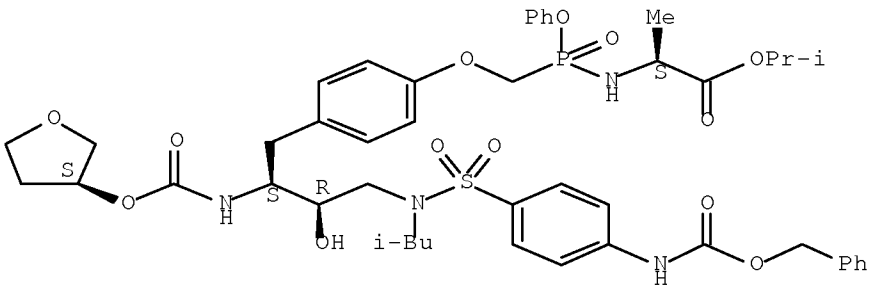
Absolute stereochemistry.




RN 622866-27-7 CAPLUS
CN L-Alanine, N-[[[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[[(3S)-

tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]methyl]phenoxyphosph
inyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:527407 CAPLUS Full-text
DOCUMENT NUMBER: 143:59982
TITLE: Preparation of HIV protease inhibitors, in particular imidazolidine derivatives
INVENTOR(S): Flentge, Charles A.; Chen, Hui-Ju; Degoey, David A.; Flosi, William J.; Grampovnik, David J.; Huang, Peggy P.; Kempf, Dale J.; Klein, Larry L.; Krueger, Allan C.; Madigan, Darold L.; Randolph, John T.; Sun, Minghua; Yeung, Ming C.; Zhao, Chen
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 287 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20050131042 | A1 | 20050616 | US 2003-733915 | 20031211 |
| CA 2549389 | A1 | 20050707 | CA 2004-2549389 | 20041110 |
| WO 2005061450 | A2 | 20050707 | WO 2004-US37745 | 20041110 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1709037 | A2 | 20061011 | EP 2004-810802 | 20041110 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | | |

| | | | | | |
|---|---|---|---|---|---|
| JP 2007513944 | T | 20070531 | JP 2006-543826 | | 20041110 |
| MX 2006006610 | A | 20060831 | MX 2006-6610 | | 20060609 |
| PRIORITY APPLN. INFO.: | | | US 2003-733915 | A | 20031211 |
| | | | WO 2004-US37745 | W | 20041110 |

OTHER SOURCE(S): CASREACT 143:59982; MARPAT 143:59982
GI

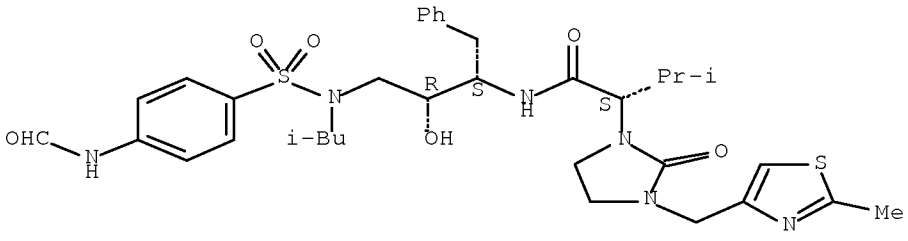
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. of formula ANH(CHR)(CHR1)(CHR2)NR3S(O2)R4 (I) [wherein A = alkylcarbonyl, arylsulfonyl, 1,3-substituted 2-oxoimidazolidinyl, 2,4-dioxoimidazolidinyl, etc.; X, Y = independently O, S, NH; R = (un)substituted alk(en)yl, cycloalk(en)yl, hetero/arylalkyl, etc.; R1 = OH and derivs., OPO3H and derivs., OSO2H and derivs., etc.; R2 = H; R3 = halo/alkyl, halo/alkenyl, (un)substituted cycloalk(en)yl, aryl; R4 = (un)substituted cycloalk(en)yl, heterocyclyl, hetero/aryl] were prepared as HIV protease inhibitors. For example, II was prepared, in 62% yield, by coupling acid III (preparation given) with amine IV (preparation given). I showed antiviral activity against Wild-Type HIV with EC50 in the range of 1 nM to 100 nM.

IT 853893-96-6P, (2S)-N-[(1S,2R)-1-Benzyl-3-[[[4-(formylamino)phenyl]sulfonyl](isobutyl)amino]-2-hydroxypropyl]-3-methyl-2-[3-[(2-methyl-1,3-thiazol-4-yl)methyl]-2-oxo-1-imidazolidinyl]butanamide
854747-73-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antiviral agent; preparation of HIV protease inhibitors, in particular imidazolidine derivs.)

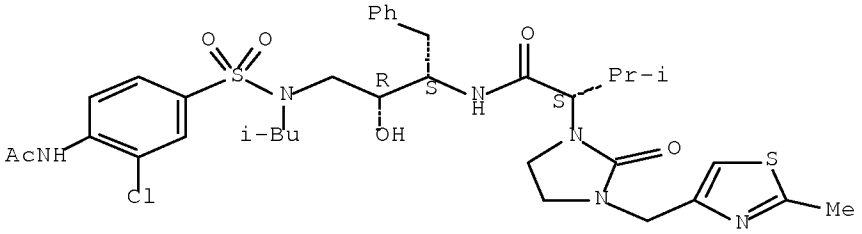
RN 853893-96-6 CAPLUS
CN 1-Imidazolidineacetamide, N-[(1S,2R)-3-[[[4-(formylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-α-(1-methylethyl)-3-[(2-methyl-4-thiazolyl)methyl]-2-oxo-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.




RN 854747-73-2 CAPLUS
CN 1-Imidazolidineacetamide, N-[(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-α-(1-methylethyl)-3-[(2-methyl-4-thiazolyl)methyl]-2-oxo-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



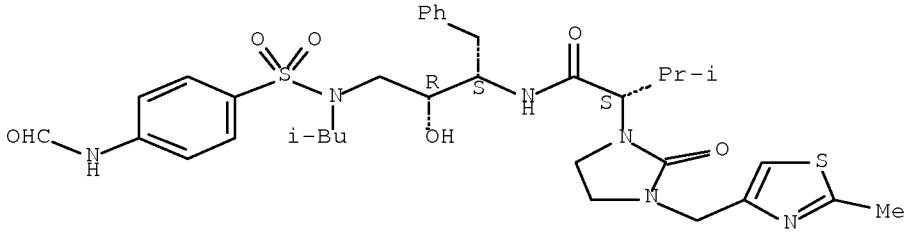
L3 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:331923 CAPLUS Full-text
DOCUMENT NUMBER: 143:59893
TITLE: Oximinoarylsulfonamides as potent HIV protease inhibitors
AUTHOR(S): Yeung, Clinton M.; Klein, Larry L.; Flentge, Charles A.; Randolph, John T.; Zhao, Chen; Sun, MingHua; Dekhtyar, Tatyana; Stoll, Vincent S.; Kempf, Dale J.
CORPORATE SOURCE: GPRD, Abbott Laboratories, Abbott Park, IL, 60064-3501, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(9), 2275-2278
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:59893

AB The need for a potent HIV protease inhibitor (PI) to combat emerging PI-resistant viruses is anticipated. Analogs formulated from the combination of structural fragments of Ritonavir, Lopinavir, and Amprenavir were synthesized. Analogs containing the oxime pharmacophore were found to have improved activities against both wild type and resistant (A17) viruses. The synthesis and structure-activity relationships (SAR) based upon the in vitro IC50 of this series of compds. are reported.

IT 853893-96-6P 853893-98-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of oximinoarylsulfonamides as potent HIV protease inhibitors)

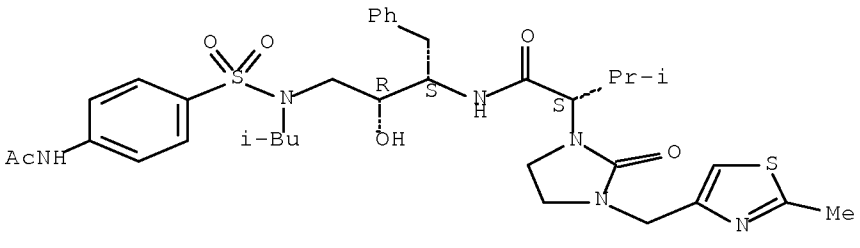
RN 853893-96-6 CAPLUS
CN 1-Imidazolidineacetamide, N-[(1S,2R)-3-[[[4-(formylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-α-(1-methylethyl)-3-[(2-methyl-4-thiazolyl)methyl]-2-oxo-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



RN 853893-98-8 CAPLUS
CN 1-Imidazolidineacetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-α-(1-methylethyl)-3-[(2-methyl-4-thiazolyl)methyl]-2-oxo-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:996120 CAPLUS Full-text
DOCUMENT NUMBER: 141:411225
TITLE: Preparation of peptidyl HIV prodrugs which are cleavable by CD26
INVENTOR(S): De Kock, Herman Augustinus; Wigerinck, Piet Tom Bert Paul; Balzarini, Jan
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

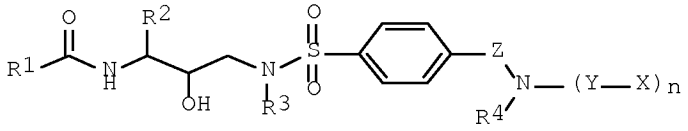
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004099135 | A2 | 20041118 | WO 2004-EP50753 | 20040510 |
| WO 2004099135 | A3 | 20050217 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004235988 | A1 | 20041118 | AU 2004-235988 | 20040510 |
| CA 2517338 | A1 | 20041118 | CA 2004-2517338 | 20040510 |
| EP 1624897 | A2 | 20060215 | EP 2004-741542 | 20040510 |
| EP 1624897 | B1 | 20071010 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

| | | | | | | |
|---|---|---|---|---|---|---|
| BR 2004010158 | A | 20060516 | BR 2004-10158 | | 20040510 | |
| CN 1784244 | A | 20060607 | CN 2004-80012260 | | 20040510 | |
| JP 2007526872 | T | 20070920 | JP 2006-505596 | | 20040510 | |
| AT 375172 | T | 20071015 | AT 2004-741542 | | 20040510 | |
| ES 2295879 | T3 | 20080416 | ES 2004-741542 | | 20040510 | |
| NZ 543946 | A | 20080926 | NZ 2004-543946 | | 20040510 | |
| IN 2005DN03880 | A | 20071130 | IN 2005-DN3880 | | 20050831 | |
| US 20080214648 | A1 | 20080904 | US 2005-555712 | | 20051103 | |
| MX 2005012019 | A | 20060203 | MX 2005-12019 | | 20051108 | |
| NO 2005005826 | A | 20060208 | NO 2005-5826 | | 20051208 | |
| PRIORITY APPLN. INFO.: | | | GB 2003-10593 | A | 20030508 | |
| | | | WO 2004-EP50753 | W | 20040510 | |

OTHER SOURCE(S): MARPAT 141:411225

GI



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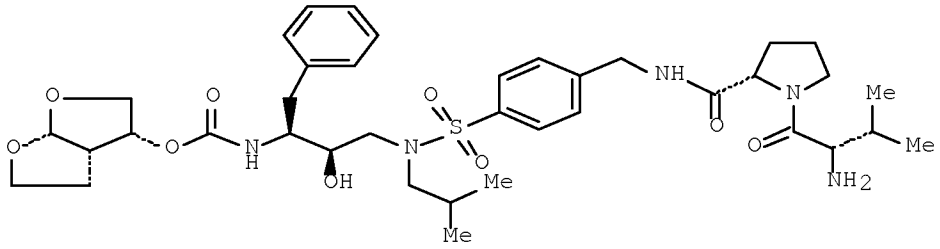


II

AB The invention provides new prodrugs which are conjugates of a therapeutic compound and a peptide which are cleavable by dipeptidyl-peptidases, preferably by CD26, also known as DPPIV (dipeptidyl aminodipeptidase IV). Prodrugs I [n is 1-5; Y is proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thioproline), dehydroproline, pipecolic acid (L-homoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine or threonine; X is a D- or L-amino acid; X and Y in each repeat of [Y-X] are chosen independently from one another and independently from other repeats; Z is a direct bond or a bivalent straight or branched saturated hydrocarbon group having from 1 to 4 carbon atoms; R1 is aryl, heteroaryl, aryloxy, heteroaryloxy, aryloxyalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaryloxyalkyl, heteroarylalkoxy; R2 is arylalkyl; R3 is alkyl, alkenyl or cycloalkylalkyl; R4 is H or alkyl] and their stereoisomeric forms and salts are claimed. Thus, peptide conjugate II (Val-Pro-PI 1) was prepared via peptide coupling reaction and studied biol., e.g., its conversion to the parent drug PI 1 in human or bovine serum.

IT 791071-78-8P 791071-82-4P 791071-83-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

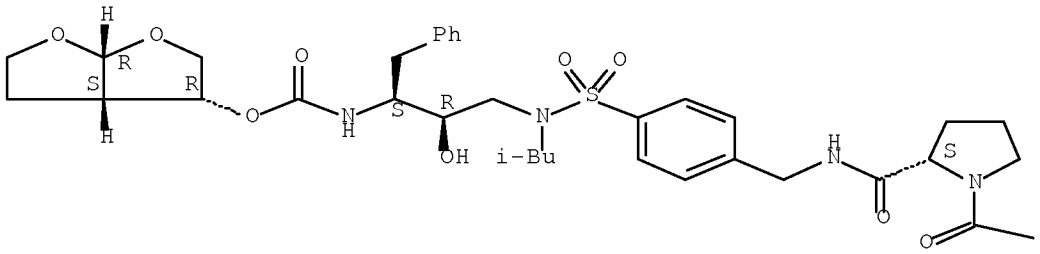
(Uses)
(preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-78-8 CAPLUS

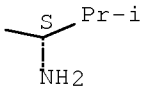
CN Carbamic acid, [(1S,2R)-3-[[[4-[[[[(2S)-1-[(2S)-2-amino-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

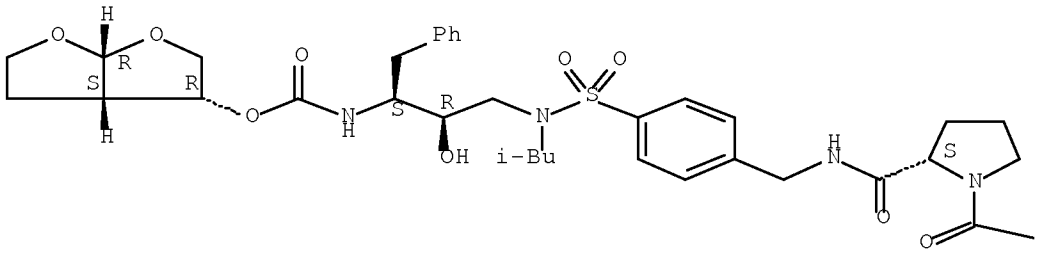


RN 791071-82-4 CAPLUS

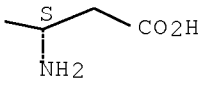
CN L-Prolinamide, L-α-aspartyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

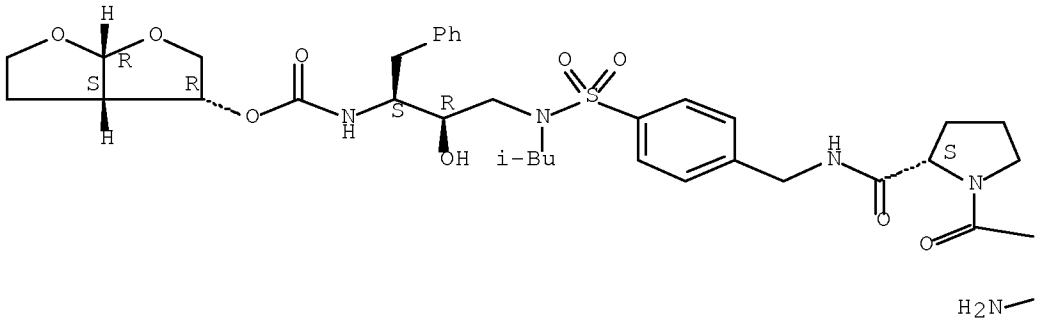


RN 791071-83-5 CAPLUS

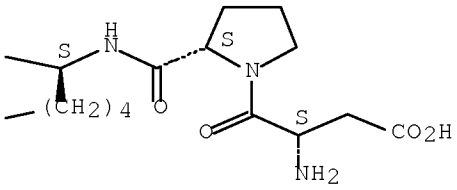
CN L-Prolinamide, L-α-aspartyl-L-prolyl-L-lysyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



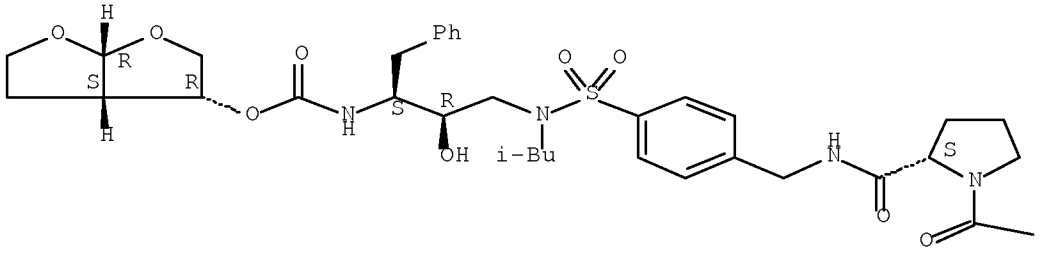
PAGE 1-B



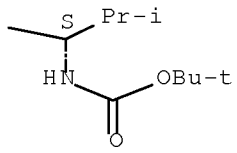
IT 791071-77-7P 791071-79-9P 791071-80-2P
791071-81-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptidyl prodrugs which are cleavable by CD26)
RN 791071-77-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[[[(2S)-1-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



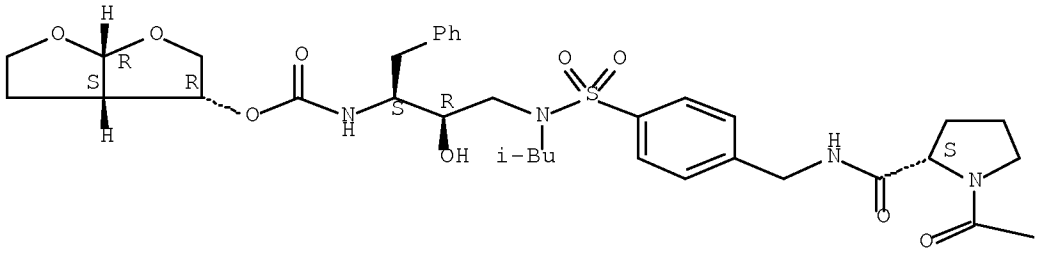
PAGE 1-B



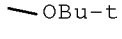
RN 791071-79-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



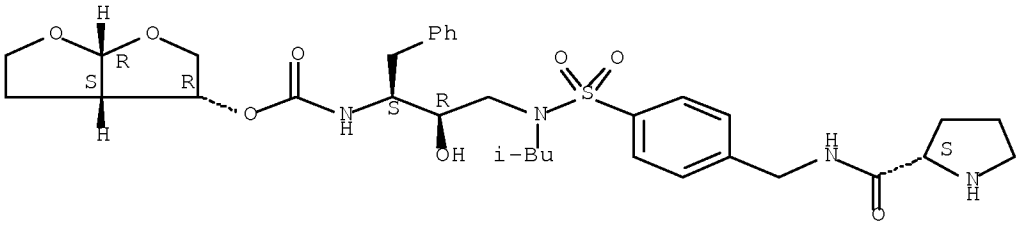
PAGE 1-B



RN 791071-80-2 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[[(2S)-2-pyrrolidinylcarbonyl]amino]methyl]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

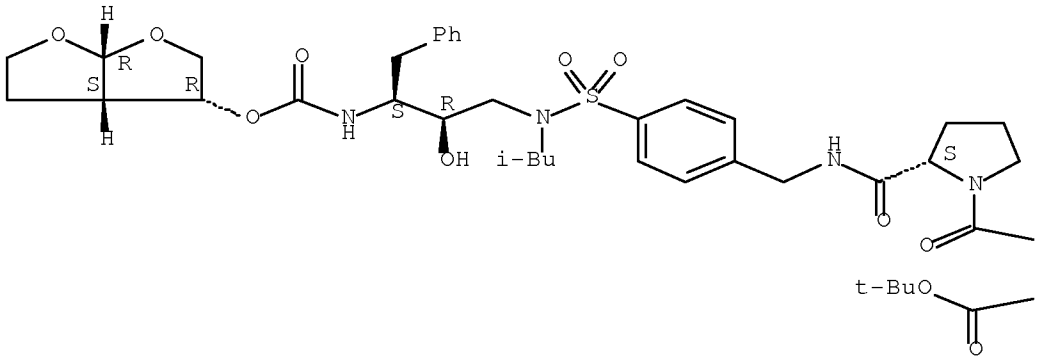


RN 791071-81-3 CAPLUS

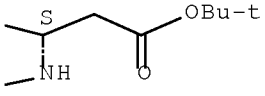
CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-α-aspartyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:996009 CAPLUS Full-text
DOCUMENT NUMBER: 141:411224
TITLE: Preparation of peptidyl prodrugs which are cleavable by CD26
INVENTOR(S): Balzarini, Jan
PATENT ASSIGNEE(S): K.U. Leuven Research & Development, Belg.
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004098644 | A1 | 20041118 | WO 2004-BE69 | 20040510 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004236371 | A1 | 20041118 | AU 2004-236371 | 20040510 |
| CA 2525191 | A1 | 20041118 | CA 2004-2525191 | 20040510 |
| EP 1620130 | A1 | 20060201 | EP 2004-731856 | 20040510 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| CN 1784244 | A | 20060607 | CN 2004-80012260 | 20040510 |
| JP 2006525235 | T | 20061109 | JP 2006-504046 | 20040510 |
| AT 375172 | T | 20071015 | AT 2004-741542 | 20040510 |
| ES 2295879 | T3 | 20080416 | ES 2004-741542 | 20040510 |
| US 20070275900 | A1 | 20071129 | US 2007-555930 | 20070731 |
| PRIORITY APPLN. INFO.: | | | GB 2003-10593 A | 20030508 |
| | | | WO 2004-BE69 W | 20040510 |

OTHER SOURCE(S): MARPAT 141:411224

AB The invention provides new prodrug technol. and prodrugs in order to increase solubility, modulate plasma protein binding or enhance the bioavailability of a drug. The prodrugs are conjugates of a therapeutic compound and a peptide (e.g., a tetra- or hexapeptide) which are cleavable by dipeptidyl-peptidases, preferably by CD26, also known as DPPIV (dipeptidyl aminodipeptidase IV). Claimed prodrugs comprise a therapeutic compound linked via an amide bond to an oligopeptide H-(X-Y)n, where X is an amino acid, n is 1-5, and Y is an amino acid selected from the group consisting of proline, alanine, hydroxyproline, dihydroxyproline, thiazolidinecarboxylic acid (thioproline), dehydroproline, pipecolic acid (L-homoproline), azetidinecarboxylic acid, aziridinecarboxylic acid, glycine, serine, valine, leucine, isoleucine and threonine. Thus, Val-Pro-NAP-TSAO, the dipeptide conjugate of the antiviral prodrug NAP-TSAO, was prepared and studied biol., e.g., its conversion to the parent drug in human or bovine serum.

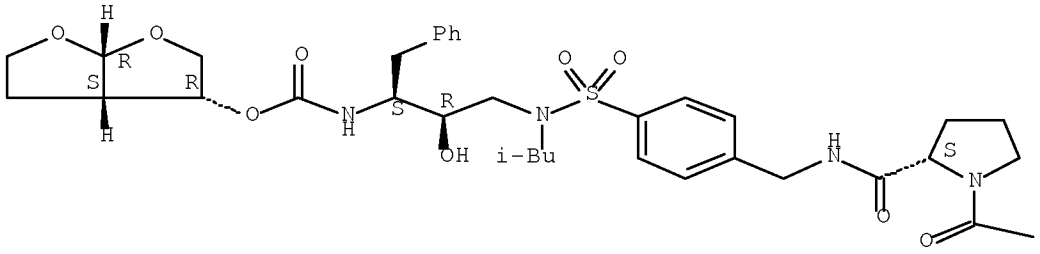
IT 791071-82-4 791071-83-5
RL: PRPH (Prophetic)
(Preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-82-4 CAPLUS

CN L-Prolinamide, L-α-aspartyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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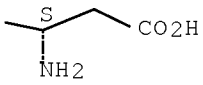
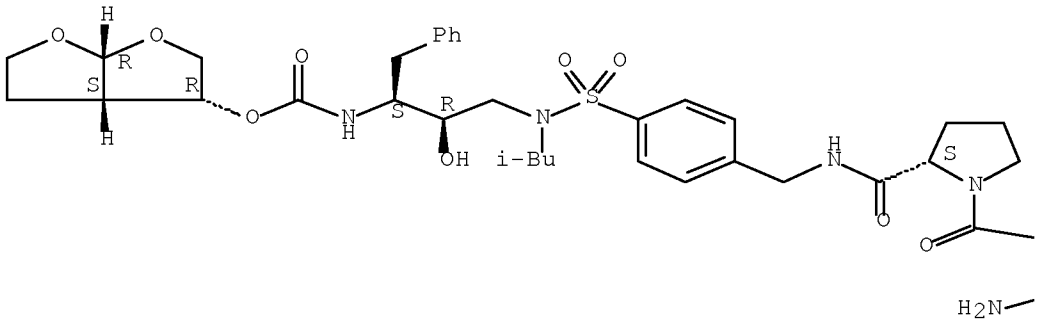


RN 791071-83-5 CAPLUS

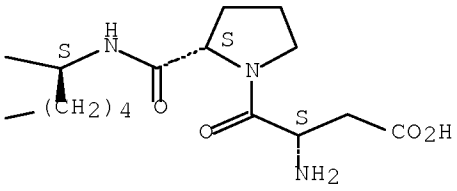
CN L-Prolinamide, L-α-aspartyl-L-prolyl-L-lysyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



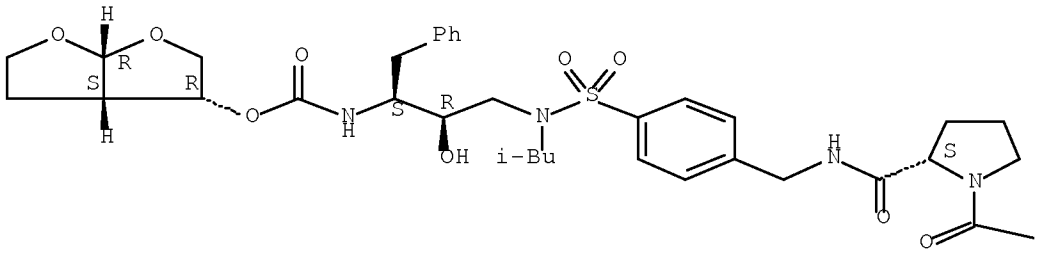
PAGE 1-B



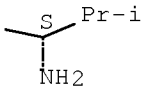
IT 791071-78-8P
RL: BSU (Biological study, unclassified); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptidyl prodrugs which are cleavable by CD26)
RN 791071-78-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[[[(2S)-1-[(2S)-2-amino-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



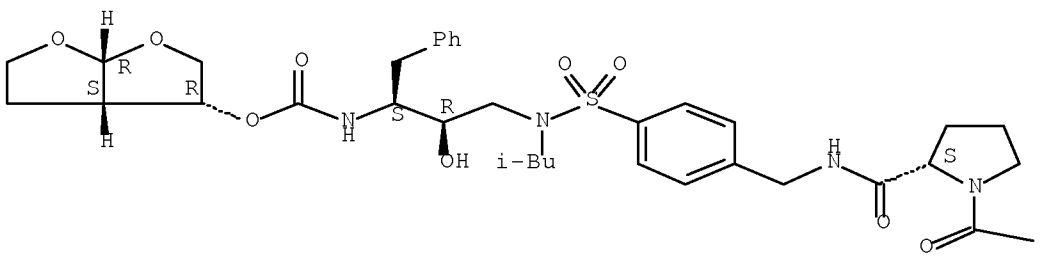
IT 791071-77-7P 791071-79-9P 791071-80-2P
791071-81-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptidyl prodrugs which are cleavable by CD26)

RN 791071-77-7 CAPLUS

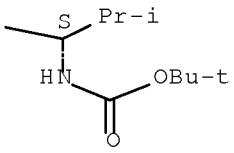
CN Carbamic acid, [(1S,2R)-3-[[[4-[[[[(2S)-1-[(2S)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-methyl-1-oxobutyl]-2-pyrrolidinyl]carbonyl]amino]methyl]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



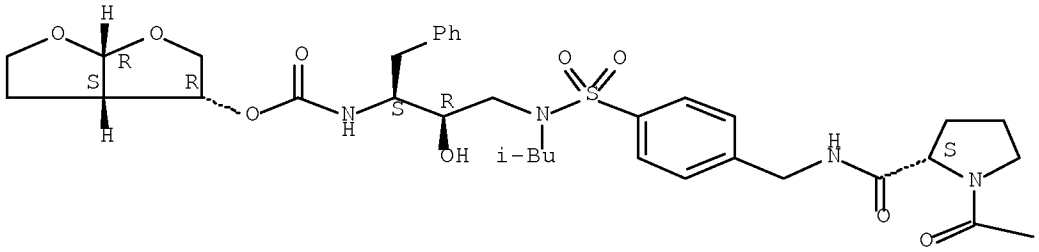
PAGE 1-B



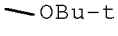
RN 791071-79-9 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



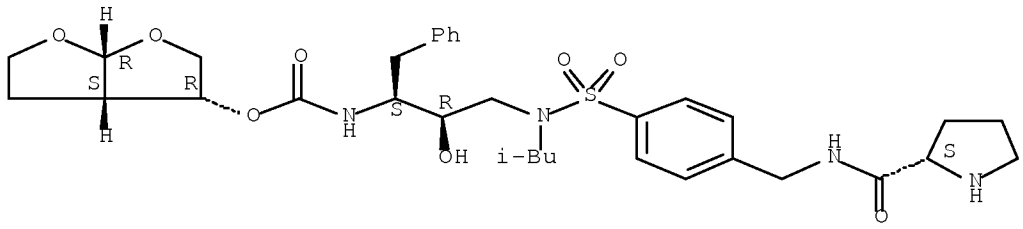
PAGE 1-B



RN 791071-80-2 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[[(2S)-2-pyrrolidinylcarbonyl]amino]methyl]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

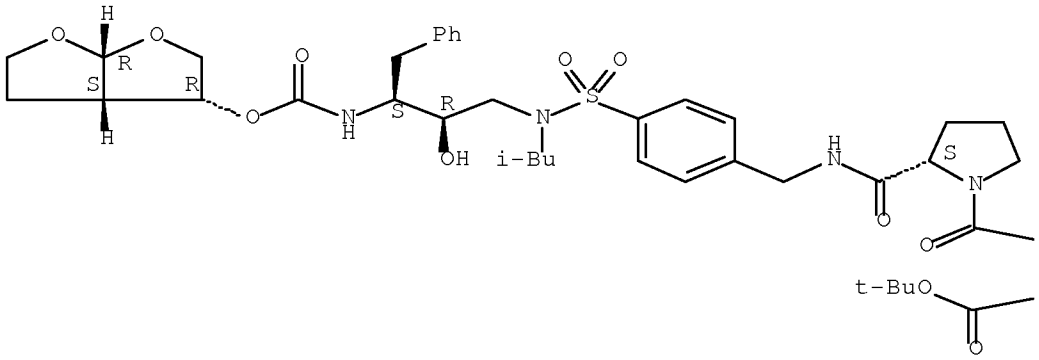


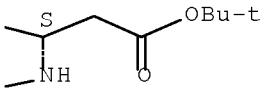
RN 791071-81-3 CAPLUS

CN L-Prolinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-α-aspartyl-N-[[4-[[[(2R,3S)-3-[[[[(3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl]oxy]carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A






REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:534032 CAPLUS Full-text
DOCUMENT NUMBER: 141:70254
TITLE: Monoclonal antibodies specific to haptens comprising protease inhibitor conjugates for immunoassay
INVENTOR(S): Sigler, Gerald F.; Hui, Raymond A.; Deras, Ina; Root, Richard Terry; Ghoshal, Mitali; Huber, Erasmus; Von Der Eltz, Herbert W.; Metz, Sigrun; Kern, Peter
PATENT ASSIGNEE(S): Roche Diagnostics Operations, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 52 pp., Cont.-in-part of U.S. Ser. No. 192,052.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 23
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20040127689 | A1 | 20040701 | US 2003-669831 | 20030924 |
| US 7193065 | B2 | 20070320 | | |
| US 20030100088 | A1 | 20030529 | US 2002-192052 | 20020710 |
| CA 2482232 | A1 | 20050324 | CA 2004-2482232 | 20040920 |
| EP 1519192 | A2 | 20050330 | EP 2004-22393 | 20040921 |
| EP 1519192 | A3 | 20050608 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| JP 2005097307 | A | 20050414 | JP 2004-277754 | 20040924 |
| US 20050064517 | A1 | 20050324 | US 2004-982611 | 20041105 |
| US 7157561 | B2 | 20070102 | | |
| US 20050148596 | A1 | 20050707 | US 2004-988477 | 20041112 |
| US 7202092 | B2 | 20070410 | | |
| US 20060211107 | A1 | 20060921 | US 2006-420502 | 20060526 |
| US 20060264618 | A1 | 20061123 | US 2006-420497 | 20060526 |
| US 20070142641 | A1 | 20070621 | US 2006-615092 | 20061222 |
| US 20080036187 | A1 | 20080214 | US 2007-622070 | 20070111 |
| US 20070149565 | A1 | 20070628 | US 2007-627572 | 20070126 |
| US 20070148708 | A1 | 20070628 | US 2007-669200 | 20070131 |
| US 20070155959 | A1 | 20070705 | US 2007-669397 | 20070131 |
| US 20080021201 | A1 | 20080124 | US 2007-670005 | 20070201 |
| US 20070141643 | A1 | 20070621 | US 2007-670467 | 20070202 |

US 7482437 B2 20090127

| | | |
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| PRIORITY APPLN. INFO.: | US 2001-305192P | P 20010713 |
| | US 2002-192052 | A2 20020710 |
| | US 1992-878571 | B2 19920505 |
| | US 1993-40978 | B1 19930331 |
| | US 1995-505036 | A1 19950721 |
| | US 1997-905877 | A2 19970804 |
| | US 1999-409625 | A2 19991001 |
| | US 1999-448337 | A2 19991123 |
| | US 1999-448338 | A2 19991123 |
| | US 2000-639299 | A2 20000815 |
| | US 2002-58706 | A2 20020128 |
| | US 2002-114533 | A2 20020402 |
| | US 2003-365129 | A2 20030212 |
| | US 2003-669831 | A 20030924 |
| | US 2004-982611 | A3 20041105 |

OTHER SOURCE(S): MARPAT 141:70254

AB Activated haptens useful for generating immunogens to HIV protease inhibitors, immunogens useful for producing antibodies to HIV protease inhibitors, and antibodies and labeled conjugates useful in immunoassays for and monitoring therapeutic HIV protease inhibitors. The novel haptens feature an activated functionality at the central, non-terminal hydroxyl group common to all HIV protease inhibitors, e.g., saquinavir, nelfinavir, indinavir, amprenavir, ritonavir, lopinavir, and atazanavir.

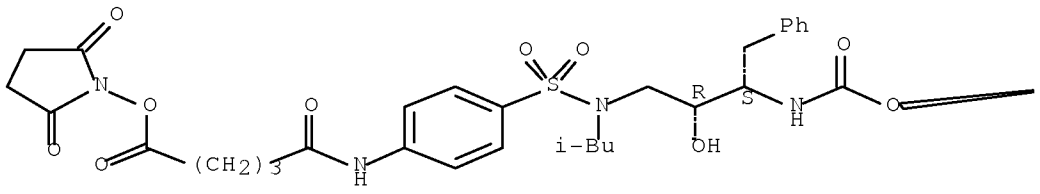
IT 485799-62-0P 485799-63-1P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(monoclonal antibodies specific to haptens comprising protease inhibitor conjugates for immunoassay)

RN 485799-62-0 CAPLUS

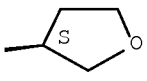
CN Pentanoic acid, 5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxo-, 2,5-dioxo-1-pyrrolidinyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



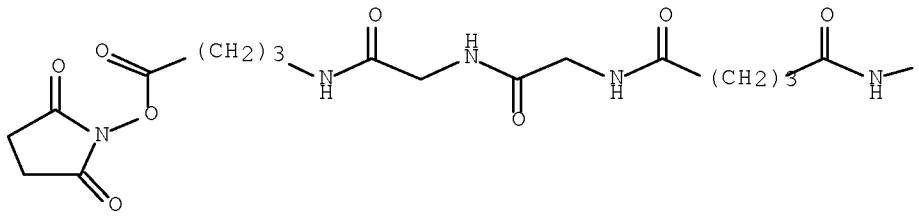

PAGE 1-B



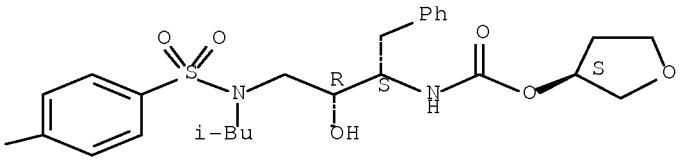
RN 485799-63-1 CAPLUS
CN Glycinamide, N-[5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-1,5-dioxopentyl]glycyl-N-[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-4-oxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:353035 CAPLUS Full-text
DOCUMENT NUMBER: 140:350521
TITLE: Method, assay, and kit for quantifying hiv protease inhibitors
INVENTOR(S): Von der Eltz, Herbert; Arabshahi, Lili; Li, Haijuan; Huber, Erasmus
PATENT ASSIGNEE(S): Roche Diagnostics Operations, Inc., Germany
SOURCE: U.S. Pat. Appl. Publ., 15 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 20040081957 | A1 | 20040429 | US 2002-284040 | 20021029 |
| US 6821744 | B2 | 20041123 | | |
| EP 1418432 | A1 | 20040512 | EP 2003-24289 | 20031023 |
| EP 1418432 | B1 | 20061129 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

| | | | | |
|---|---|---|---|---|
| AT 347105 | T | 20061215 | AT 2003-24289 | 20031023 |
| ES 2277018 | T3 | 20070701 | ES 2003-24289 | 20031023 |
| CA 2447172 | A1 | 20040429 | CA 2003-2447172 | 20031028 |
| JP 2004147655 | A | 20040527 | JP 2003-369489 | 20031029 |

PRIORITY APPLN. INFO.: US 2002-284040 A 20021029

AB A method for quantifying an HIV protease inhibitor in a sample includes combining HIV protease, a conjugate comprising an HIV protease inhibitor analog, and a sample suspected of containing an HIV protease inhibitor. The HIV protease and the conjugate are capable of forming a detectable complex. The method also includes measuring the amount of the detectable complex, and relating the amount of the detectable complex to a concentration of the HIV protease inhibitor in the sample.

IT 682334-23-2

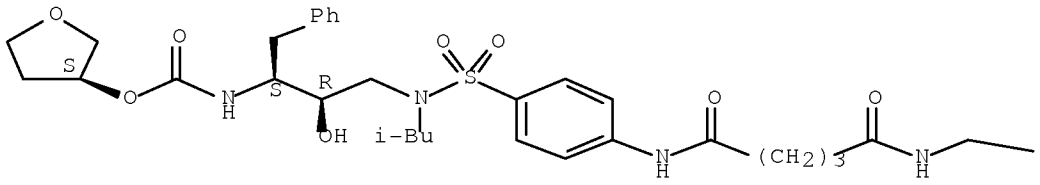
RL: RCT (Reactant); RACT (Reactant or reagent)
(method, assay, and kit for quantifying hiv protease inhibitors)

RN 682334-23-2 CAPLUS

CN Glycinamide, N-[5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-1,5-dioxopentyl]glycyl-N-(3-carboxypropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

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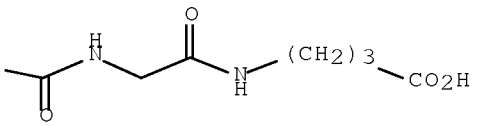



IT 485799-62-0P 485799-63-1P

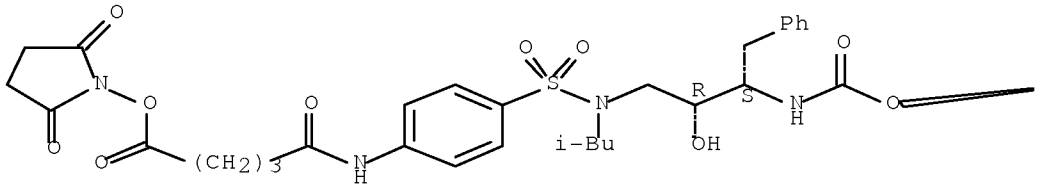
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(method, assay, and kit for quantifying hiv protease inhibitors)

RN 485799-62-0 CAPLUS

CN Pentanoic acid, 5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxo-, 2,5-dioxo-1-pyrrolidinyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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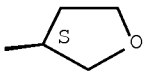
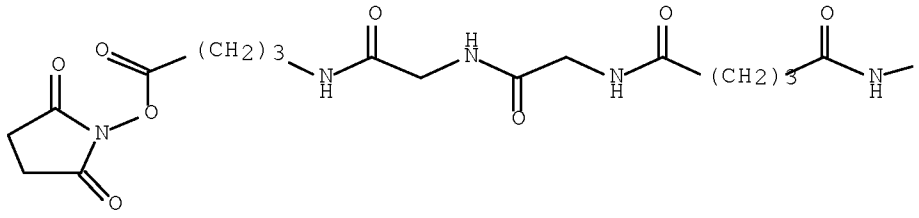


RN 485799-63-1 CAPLUS
CN Glycinamide, N-[5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-1,5-dioxopentyl]glycyl-N-[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-4-oxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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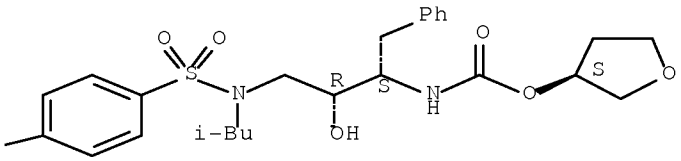

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:875072 CAPLUS Full-text
DOCUMENT NUMBER: 139:381610
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors and methods for identifying anti-HIV therapeutic compounds
INVENTOR(S): Birkus, Gabriel; Chen, James M.; Chen, Xiaowu; Cihlar, Tomas; Eisenberg, Eugene J.; Hatada, Marcos; He, Gong-Xin; Kim, Choung U.; Lee, William A.; McDermott, Martin J.; Swaminathan, Sundaramoorthi
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 814 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

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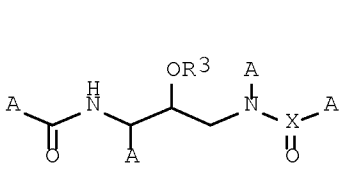

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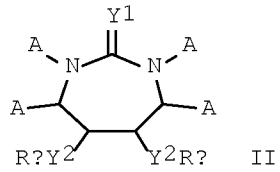

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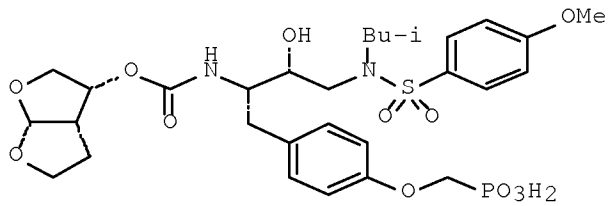


III

AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted

(hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SO0-2, or SO0-2SO0-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, S0-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Libraries of such compds. were screened optionally using the novel enzyme GS-7340 ester hydrolase. Compns. and methods relating to GS-7340 ester hydrolase also are provided. Examples include prepns. for non-nucleoside phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki ≤10 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT 190444-94-1P

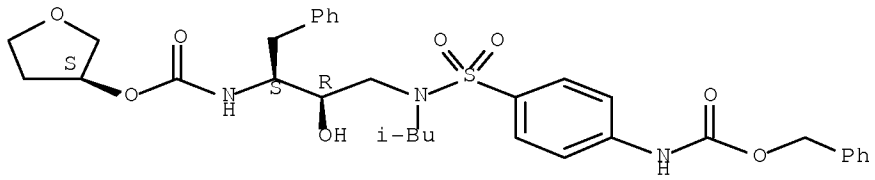
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 190444-94-1 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




IT 622866-24-4P 622866-25-5P 622866-26-6P 622866-27-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

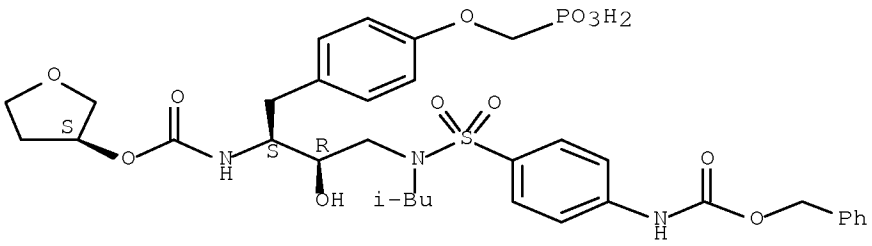
(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 622866-24-4 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-[[4-

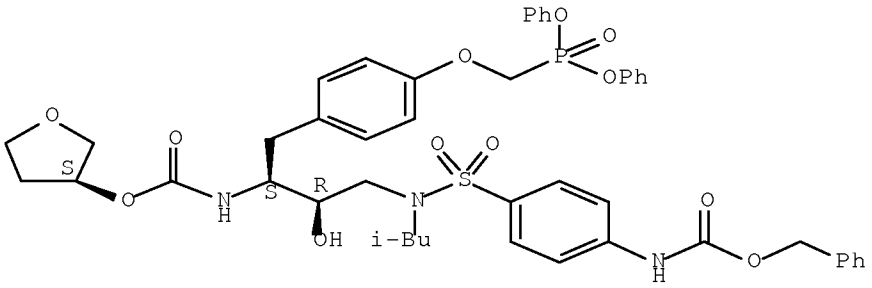
(phosphonomethoxy)phenyl]methyl]propyl]-, C-[(3S)-tetrahydro-3-furanyl] ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



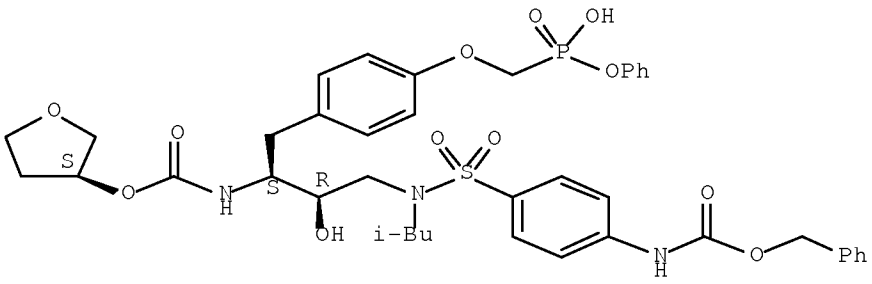
RN 622866-25-5 CAPLUS
CN Carbamic acid, [(1S,2R)-1-[[4-[(diphenoxyphosphinyl)methoxy]phenyl]methyl]-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



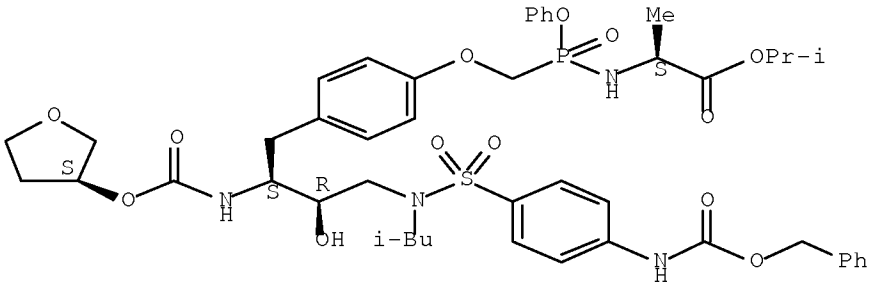
RN 622866-26-6 CAPLUS
CN Carbamic acid, [4-[[[(2R,3S)-2-hydroxy-4-[4-[(hydroxyphenoxyphosphinyl)methoxy]phenyl]-3-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 622866-27-7 CAPLUS
CN L-Alanine, N-[[[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.




L3 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:875071 CAPLUS Full-text
DOCUMENT NUMBER: 139:381609
TITLE: Preparation of phosphonate analogs of HIV protease inhibitors with improved cellular accumulation properties
INVENTOR(S): Arimilli, Murty N.; Becker, Mark M.; Bryant, Clifford; Chen, James M.; Chen, Xiaowu; Dastgah, Azar; Fardis, Maria; He, Gong-Xin; Jin, Haolun; Kim, Choung U.; Lee, William A.; Lee, Christopher P.; Lin, Kuei-Ying; Liu, Hongtao; Mackman, Richard L.; Mitchell, Michael L.; Nelson, Peter H.; Pyun, Hyung-Jung; Rowe, Tanisha D.; Sparacino, Mark; Swaminathan, Sundaramoorthi; Tario, James D.; Wang, Jianying; Williams, Matthew A.; Xu, Lianhong; Yang, Zheng-Yu; Yu, Richard H.; Zhang, Jiancun; Zhang, Lijun
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 1727 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

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| WO 2003090690 | A2 | 20031106 | WO 2003-US12901 | 20030425 |
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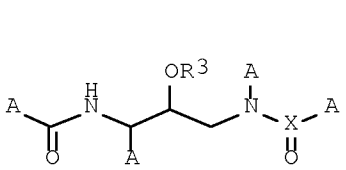
| US 2003-424186 | A2 | 20030425 |
| US 2003-465721P | P | 20030425 |
| US 2003-465810P | P | 20030425 |
| US 2003-465824P | P | 20030425 |
| WO 2003-US12901 | W | 20030425 |
| WO 2003-US12926 | A | 20030425 |
| WO 2003-US12943 | A | 20030425 |

OTHER SOURCE(S): MARPAT 139:381609

GI



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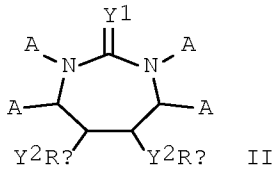

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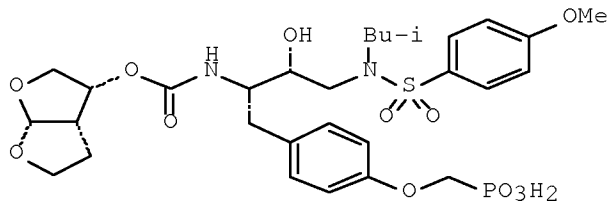


III

AB The invention relates to phosphonate-substituted carbamates I and cyclic ureas II [wherein A = A1, A2, or W3 with the proviso that at least one of A = A1; A1 = [Y2(CR2R2)1-12]0-12Y2W6; A2 = [Y2(CR2R2)1-12]0-12Y2W3; W3 = substituted (hetero)cyclyl, R5, C(Y1)R5, C(Y1)W5, SO2R5, or SO2W5; W5 = substituted (hetero)cyclyl; W6 = triphosphono-substituted W3; Y1 = O, S, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), or N(N(Rx)2); Y2 = independently a bond, O, N(Rx), N(O)(Rx), N(ORx), N(O)(ORx), N(N(Rx)2), SO0-2, or SO0-2SO0-2; Rx = independently H, R1, W3, a protecting group, etc.; R1 = independently H or alkyl; R2 = independently H, R1, halo, CN, N3, NO2, Y1, Rx, N(Rx)2, S0-2Rx, substituted alkyl, alkenyl, alkynyl, etc.; R3 = halo, CN, N3, NO2, Y1, Rx, N(Rx)2, SRx, SORx, SO2Rx, OC(Y1)Rx, OC(Y1)ORx, C(Y1)Rx, etc. with provisos; R5 = substituted alkyl, alkenyl, or alkynyl; or pharmaceutically acceptable salts, hydrates, and formulations thereof] and other phosphonate-substituted analogs of HIV protease inhibitors for treating AIDS and other antiviral infections, as well as for use in assays for the detection of HIV protease. Compds. of the invention inhibit reverse transcriptase activity and have improved intracellular half-life compared to analogs not having the phosphonate or phosphonate prodrug. Examples include prepns. for non-nucleoside saquinavir-like, lopinavir-like, ritonavir-like, indinavir-like, atazanavir-like, nefinavir-like, tipranavir-like, amprenavir-like, KNI-like, and cyclic carbonyl-like phosphonate protease inhibitors. In addition, extensive biol. data regarding PBMC uptake and metabolism, serum stability, and alkaline phosphatase protease inhibitor (ALPPI) activity of selected phosphonate-substituted prodrugs is presented. For instance, a 9-step reaction sequence starting from N-tert-butoxycarbonyl-O-benzyl-L-tyrosine provided III (Ki ≤10 pM for ALPPI activity). The synthesis involved multiple protection and deprotection steps along with coupling reactions using isobutylamine, (3R,3aR,6aS)-hexahydrofuro[2,3-b]furan-2-yl 4-nitrophenyl carbonate, and dibenzyl hydroxymethylphosphonate.

IT 190444-94-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

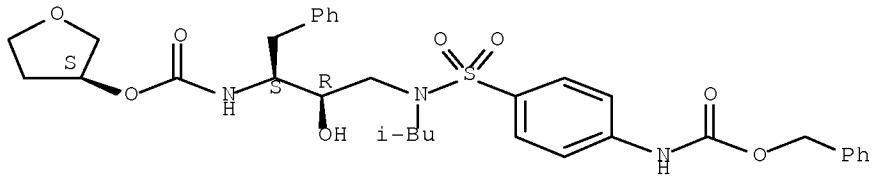
(intermediate; preparation of phosphonate-substituted HIV protease

inhibitors for treatment of AIDS and other viral infections)

RN 190444-94-1 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 622866-24-4P 622866-25-5P 622866-26-6P 622866-27-7P

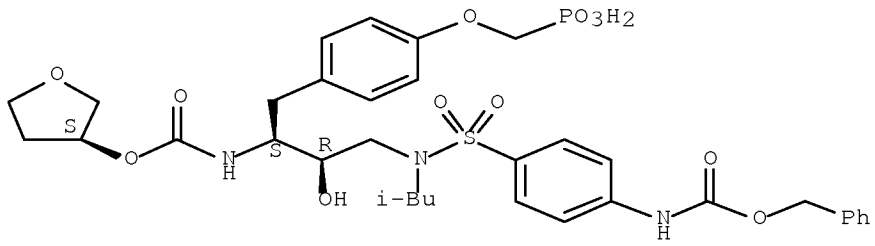
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(protease inhibitor; preparation of phosphonate-substituted HIV protease inhibitors for treatment of AIDS and other viral infections)

RN 622866-24-4 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-[[4-(phosphonomethoxy)phenyl]methyl]propyl]-, C-[(3S)-tetrahydro-3-furanyl] ester (9CI) (CA INDEX NAME)

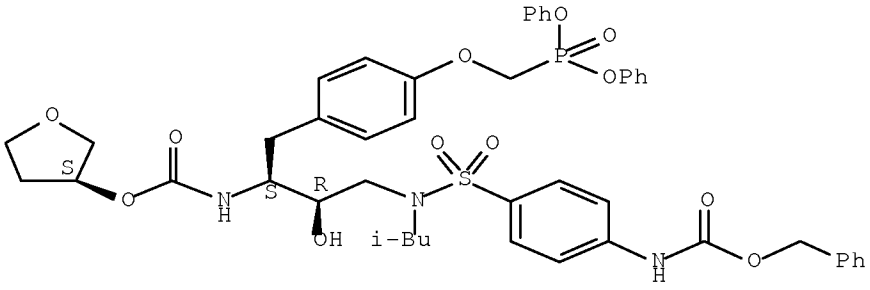
Absolute stereochemistry.



RN 622866-25-5 CAPLUS

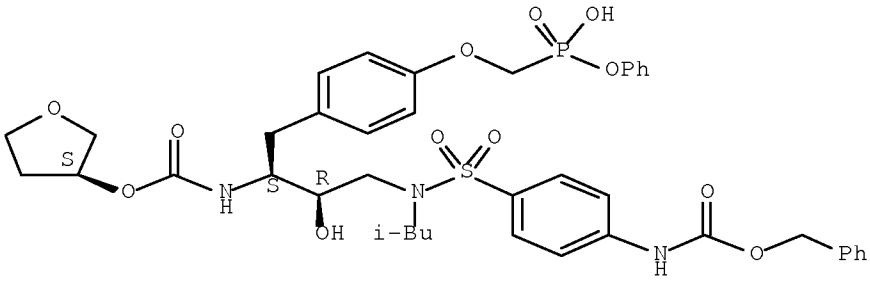
CN Carbamic acid, [(1S,2R)-1-[[4-[(diphenoxyphosphinyl)methoxy]phenyl]methyl]-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



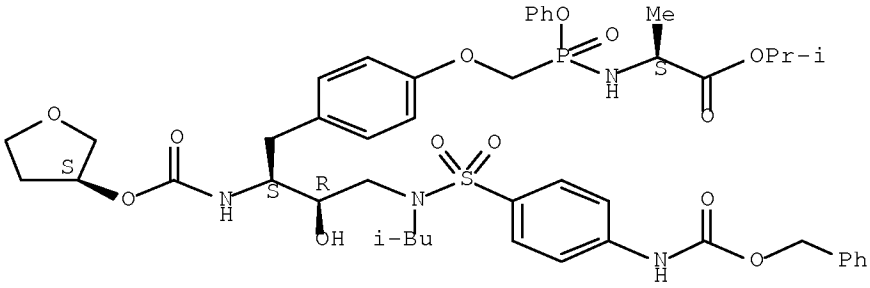
RN 622866-26-6 CAPLUS
CN Carbamic acid, [4-[[[(2R,3S)-2-hydroxy-4-[4-[(hydroxyphenoxyphosphinyl)methoxy]phenyl]-3-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 622866-27-7 CAPLUS
CN L-Alanine, N-[[[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]methyl]phenoxyphosphinyl]-, 1-methylethyl ester (CA INDEX NAME)

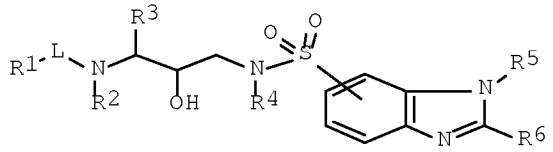
Absolute stereochemistry.



L3 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:737734 CAPLUS Full-text
DOCUMENT NUMBER: 139:261299
TITLE: Preparation of broad spectrum substituted benzimidazolesulfonamide HIV protease inhibitors
INVENTOR(S): Surleraux, Dominique Louis Nestor Ghislain; Wigerinck, Piet Tom Bert Paul; Voets, Marieke Christiane Johanna; Vendeville, Sandrine Marie Helene; De Kock, Herman Augustinus; Vergouwen, Bernhard Joanna Bernard
PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003076413 | A1 | 20030918 | WO 2003-EP50057 | 20030312 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2479012 | A1 | 20030918 | CA 2003-2479012 | 20030312 |
| AU 2003219159 | A1 | 20030922 | AU 2003-219159 | 20030312 |
| BR 2003003373 | A | 20040323 | BR 2003-3373 | 20030312 |
| EP 1485358 | A1 | 20041215 | EP 2003-714954 | 20030312 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2005519952 | T | 20050707 | JP 2003-574633 | 20030312 |
| CN 1653053 | A | 20050810 | CN 2003-810472 | 20030312 |
| ZA 2004007242 | A | 20051004 | ZA 2004-7242 | 20040909 |
| US 20050171175 | A1 | 20050804 | US 2004-508561 | 20040910 |
| MX 2004008929 | A | 20041126 | MX 2004-8929 | 20040913 |
| PRIORITY APPLN. INFO.: | | | EP 2002-75999 A | 20020312 |
| | | | WO 2003-EP50057 W | 20030312 |

OTHER SOURCE(S): MARPAT 139:261299
GI



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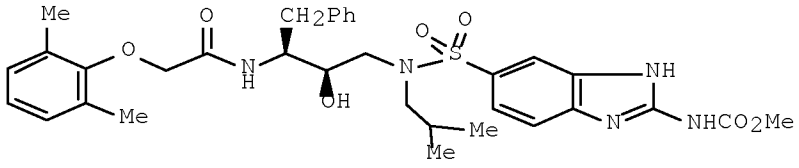


II

AB Title compds. I [R1 = H, alkyl, alkenyl, aralkyl, aralkenyl, cycloalkyl, cycloalkylalkyl, aryl, heterocyclic, heterocyclylalkyl, aminoalkyl; R2 = H, alkyl; R3 = (un)substituted alkyl, aryl, cycloalkyl; R4 = H, (un)substituted CO2H, CONH2, cycloalkyl, alkenyl, alkynyl, OH, NH2; R5 = H, (un)substituted alkyl; R6 = H, (un)substituted alkyl, NH2; L = CO, CO2, (un)substituted NHCO, OXCO,NHXCO, SO2, SO3, NHSO2, NHXSO2, where either CO or SOI2 is attached to NR2; X = alkanediyl] were prepared Thus, Me 2-benzimidazolecarbamate was chlorosulfonylated, treated with (1S,2R)-PhCH2CH(NHBoc)CH(OH)CH2NHCH2CHMe2, deblocked, and treated with 2,6-Me2C6H3OCH2CO2H to give the title compound II which had pIC50 against HIV-1 strain LAI of 8.5.

IT 602312-16-3P

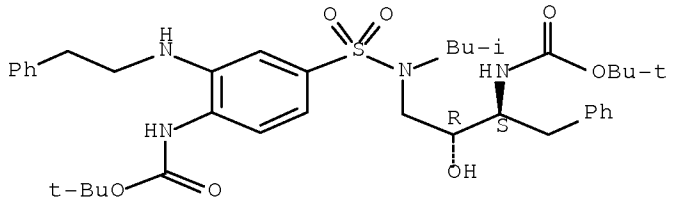
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of broad spectrum substituted benzimidazolesulfonamide HIV protease inhibitors)

RN 602312-16-3 CAPLUS

CN Carbamic acid, [4-[[[(2R,3S)-3-[[(1,1-dimethylethoxy)carbonyl]amino]-2-hydroxy-4-phenylbutyl](2-methylpropyl)amino]sulfonyl]-2-[(2-phenylethyl)amino]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:58128 CAPLUS Full-text

DOCUMENT NUMBER: 138:105628

TITLE: Activated haptens comprising HIV protease inhibitor conjugates for raising antibodies useful in immunoassay

INVENTOR(S): Deras, Ina; Hui, Raymond; Sigler, Gerald F.; Huber, Erasmus J.; Von der Eltz, Herbert W.; Ghoshal, Mitali; Root, Richard Terry; Metz, Sigrun

PATENT ASSIGNEE(S): Roche Diagnostics G.m.b.H., Germany; F. Hoffmann-La Roche A.-G.

SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 23

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003006506 | A2 | 20030123 | WO 2002-EP7843 | 20020715 |
| WO 2003006506 | A3 | 20031106 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2449243 | A1 | 20030123 | CA 2002-2449243 | 20020715 |
| AU 2002321216 | A1 | 20030129 | AU 2002-321216 | 20020715 |
| EP 1409546 | A2 | 20040421 | EP 2002-754883 | 20020715 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2005508877 | T | 20050407 | JP 2003-512276 | 20020715 |
| US 20080036187 | A1 | 20080214 | US 2007-622070 | 20070111 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 2001-305192P | P | 20010713 |
| US 1992-878571 | B2 | 19920505 |
| US 1993-40978 | B1 | 19930331 |
| US 1995-505036 | A1 | 19950721 |
| US 1997-905877 | A2 | 19970804 |
| US 1999-409625 | A2 | 19991001 |
| US 1999-448337 | A2 | 19991123 |
| US 1999-448338 | A2 | 19991123 |
| US 2000-639299 | A2 | 20000815 |
| US 2002-58706 | A2 | 20020128 |
| US 2002-114533 | A2 | 20020402 |
| US 2002-192052 | A | 20020710 |
| WO 2002-EP7843 | W | 20020715 |
| US 2003-365129 | A2 | 20030212 |

AB Activated haptens useful for generating immunogens to HIV protease inhibitors, immunogens useful for producing antibodies to HIV protease inhibitors, and antibodies and labeled conjugates useful in immunoassays for HIV protease inhibitors. The novel haptens feature an activated functionality at the central, non-terminal hydroxyl group common to all HIV protease inhibitors, e.g., saquinavir, nelfinavir, indinavir, amprenavir, ritonavir and lopinavir.

IT 485799-62-0P 485799-63-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(activated haptens comprising HIV protease inhibitor conjugates for raising antibodies useful in immunoassay)

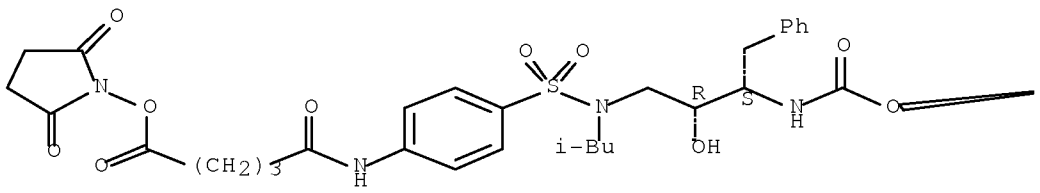
RN 485799-62-0 CAPLUS

CN Pentanoic acid, 5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[[(3S)-tetrahydro-

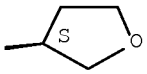
3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxo-, 2,5-dioxo-1-pyrrolidinyl ester (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

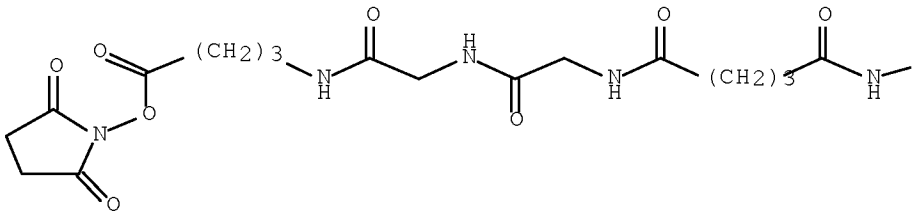


RN 485799-63-1 CAPLUS

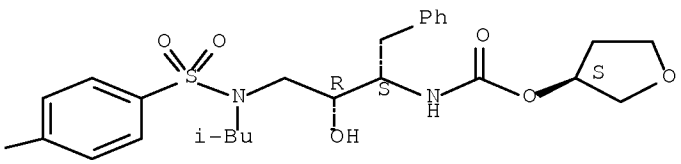
CN Glycinamide, N-[5-[[4-[[[(2R,3S)-2-hydroxy-4-phenyl-3-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-1,5-dioxopentyl]glycyl-N-[4-[(2,5-dioxo-1-pyrrolidinyl)oxy]-4-oxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

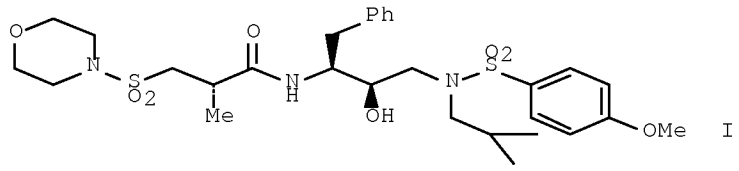
L3 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:785899 CAPLUS Full-text
DOCUMENT NUMBER: 133:335236
TITLE: Preparation of hydroxyethylamino bis-sulfonamides as retroviral protease inhibitors
INVENTOR(S): Freskos, John N.; Getman, Daniel P.; Talley, John J.; Sikorski, James A.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 60 pp., Cont.-in-part of U.S. Ser. No. 376,337, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6143747 | A | 20001107 | US 1998-875025 | 19980226 |
| WO 9622287 | A1 | 19960725 | WO 1996-US607 | 19960118 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE | | | | |
| EP 1586558 | A2 | 20051019 | EP 2005-13695 | 19960118 |
| EP 1586558 | A3 | 20051026 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| US 6384036 | B1 | 20020507 | US 2000-635896 | 20000811 |
| US 20030013751 | A1 | 20030116 | US 2002-76607 | 20020219 |
| US 20040063771 | A1 | 20040401 | US 2003-417340 | 20030417 |
| US 7091219 | B2 | 20060815 | | |
| US 20060199822 | A1 | 20060907 | US 2006-349352 | 20060208 |
| US 7297793 | B2 | 20071120 | | |
| US 20080176842 | A1 | 20080724 | US 2007-940035 | 20071114 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1995-376337 | B2 | 19950120 |
| WO 1996-US607 | W | 19960118 |
| EP 1996-902700 | A3 | 19960118 |
| US 1998-875025 | A1 | 19980226 |
| US 2000-635896 | A1 | 20000811 |
| US 2002-76607 | A1 | 20020219 |
| US 2003-417340 | A1 | 20030417 |
| US 2006-349352 | A1 | 20060208 |

OTHER SOURCE(S): MARPAT 133:335236
GI



I

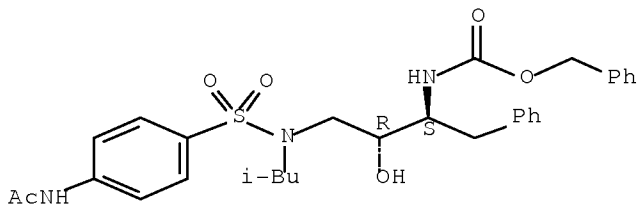
AB R10R11NSOw(CR7R8)tCHR1C(:Y)NR6CHR2CH(OH)NR3SOxR4 [R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, alkyl, alkenyl, alkynyl, heterocyclyl, amino acid sidechain, etc.; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl, heteroaryl, heteroaralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocyclyl, heteroaryl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heteroaryl, heterocyclyl, etc.; R6, R8 = H, alkyl; R7 = CO2H, amidino, N-alkylamidino, R1; R1R7 = atoms to form a (heterocyclic) ring; R10, R11 = H, alkyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, arylcarbonylalkyl, thioalkyl, etc.; R10R11N = heterocyclyl, heteroaryl, etc.; x, w = 0, 1, 2; t = 0-6; Y = O, S, NH], were prepared Thus, 3-(N-morpholinosulfonyl)-2(R)-methylpropionic acid (preparation given) in DMF at 0° was treated with hydroxybenzotriazole and EDC followed by addition of 3S-amino-1-[N-(2-methylpropyl)-N-(4-methoxyphenylsulfonyl)amino]-4-phenyl-2R-butanol (preparation given) in DMF to give 67% title compound (I). This inhibited HIV-1 in CEM cells with IC50 = 10 nM.

IT 157567-04-9 247047-35-4
RL: PRPH (Prophetic)
(Preparation of hydroxyethylamino bis-sulfonamides as retroviral protease inhibitors)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

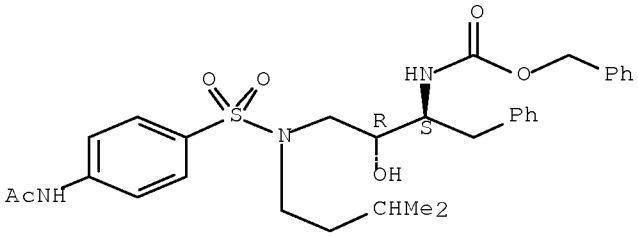
Absolute stereochemistry.



RN 247047-35-4 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

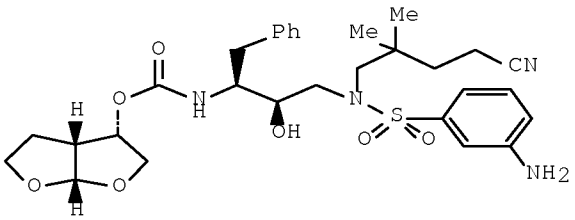
L3 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:573770 CAPLUS Full-text
DOCUMENT NUMBER: 133:177157
TITLE: Preparation of [1-benzyl-2-hydroxy-3-(arylsulfonamido)propyl]carbamates as HIV aspartyl protease inhibitors
INVENTOR(S): Hale, Michael R.; Baker, Christopher T.; Stammers, Timothy A.; Sherrill, Ronald G.; Spaltenstein, Andrew; Furfine, Eric S.; Maltais, Francois; Andrews, Clarence Webster, III; Miller, John F.; Samano, Vicente
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 369 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000047551 | A2 | 20000817 | WO 2000-US3288 | 20000209 |
| WO 2000047551 | A3 | 20010816 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6319946 | B1 | 20011120 | US 2000-500781 | 20000209 |
| EP 1159278 | A2 | 20011205 | EP 2000-913402 | 20000209 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002536430 | T | 20021029 | JP 2000-598472 | 20000209 |
| AT 311391 | T | 20051215 | AT 2000-913402 | 20000209 |
| EP 1637518 | A2 | 20060322 | EP 2005-25977 | 20000209 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |
| ES 2254156 | T3 | 20060616 | ES 2000-913402 | 20000209 |
| PT 1159278 | T | 20060630 | PT 2000-913402 | 20000209 |
| TW 260322 | B | 20060821 | TW 2000-89102108 | 20000209 |
| US 20020198388 | A1 | 20021226 | US 2001-927271 | 20010809 |

| | | | | | |
|---|---|---|---|---|---|
| US 6617350 | B2 | 20030909 | | | |
| US 20040127488 | A1 | 20040701 | US 2003-613650 | | 20030702 |
| US 7442718 | B2 | 20081028 | | | |
| US 20090042973 | A1 | 20090212 | US 2008-217517 | | 20080702 |
| PRIORITY APPLN. INFO.: | | | US 1999-120047P | P | 19990212 |
| | | | SY 2000-1090 | A | 20000207 |
| | | | EP 2000-913402 | A3 | 20000209 |
| | | | US 2000-500781 | A3 | 20000209 |
| | | | WO 2000-US3288 | W | 20000209 |
| | | | US 2001-927271 | A3 | 20010809 |
| | | | US 2003-613650 | A3 | 20030702 |

OTHER SOURCE(S): MARPAT 133:177157

GI



I

AB ABxN(Gx)CH(D)CH(OR7)CH2ND'E'E [wherein A = H, or (un)substituted Ht, R1Ht, or R1Ak; Ak = alkyl; Ht = cycloalkyl, cycloalkenyl, or (un)substituted aryl or heterocyclyl; R1 = CO(CO), (O)SO2, O2C, or (un)substituted NHSO2 or NHCO(CO); B = (un)substituted NHCH2CO; x = 0 or 1; G = H, R7, alkyl; or G may be bound to R7 to form a heterocyclic ring; R7 = H, (CH2O)xY(ZM)(:X)Z(M)x; etc.; M = H, Li, Na, K, Mg, Ca, Ba, alkyl, alkenyl, etc.; X = O or S; Y = P or S; Z = H, O, S, or (un)substituted NH2; D = independently Q or (un)subsititued (cyclo)alkyl or (cyclo)alkenyl; Q = (un)subsititued carbocylyl or heterocyclyl; D' = (un)substituted alkyl, alkenyl, alkynyl; E = Ht, OHt, HtHt, alkoxy, (un)substituted NH2, alkyl, or carbocyclyl; E' = CO or SO2] were prepared as antiviral agents against HIV-1 and HIV-2 viruses. Thus, 3-NO2C6H4SO2Cl was added to tert-Bu (1S,2R)-N-[1-benzyl-3-[(4-cyano-2,2-dimethylbutyl)amino]-2-hydroxypropyl]carbamate (preparation given) to form the 3-nitrophenylsulfonamide (55%). Reduction to the 3-aminophenylsulfonamide (85%), followed by transesterification with [(3S,3aR,6aS)-hexahydrofuro[2,3-b]furan-3-yl](4-nitrophenyl)carbonate (65%), gave I. In an antiviral activity assay, I inhibited HIV-1 protease in the MT4 cell line with Ki < 1 nM and IC50 < 0.1 μM.

IT 288291-58-7P

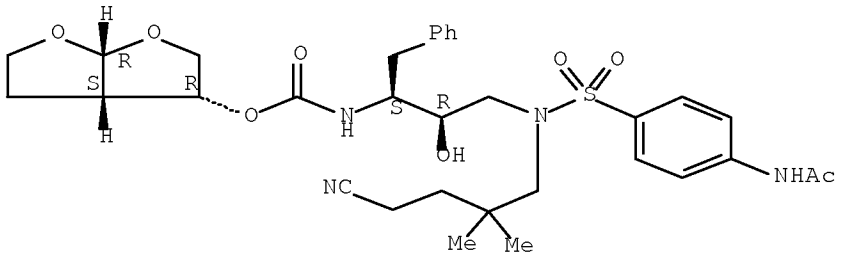
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(HIV inhibitor; preparation of heterocyclyl arylsulfonamidopropylcarbamate HIV protease inhibitors by reductive alkylation of amines and subsequent addition of arylsulfonyl chlorides)

RN 288291-58-7 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](4-cyano-2,2-dimethylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R,3aS,6aR)-hexahydrofuro[2,3-b]furan-3-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:304314 CAPLUS Full-text
DOCUMENT NUMBER: 132:322147
TITLE: Preparation of α- and β-amino acid hydroxyethylamino sulfonamides as retro viral protease inhibitors.
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Heintz, Robert M.; Bertenshaw, Deborah E.
PATENT ASSIGNEE(S): G.D.Searle and Co., USA
SOURCE: U.S., 93 pp., Cont.-in-part of Appl. PCT/US93/07814. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6060476 | A | 20000509 | US 1994-204827 | 19940302 |
| WO 9404492 | A1 | 19940303 | WO 1993-US7814 | 19930824 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| EP 810209 | A2 | 19971203 | EP 1997-113434 | 19930824 |
| EP 810209 | A3 | 19981202 | | |
| EP 810209 | B1 | 20020605 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| WO 9506030 | A1 | 19950302 | WO 1994-US9139 | 19940823 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN | | | | |
| RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9476697 | A | 19950321 | AU 1994-76697 | 19940823 |
| EP 715618 | A1 | 19960612 | EP 1994-927162 | 19940823 |
| EP 715618 | B1 | 19981216 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| AT 174587 | T | 19990115 | AT 1994-927162 | 19940823 |
| ES 2127938 | T3 | 19990501 | ES 1994-927162 | 19940823 |
| US 5968942 | A | 19991019 | US 1994-294468 | 19940823 |

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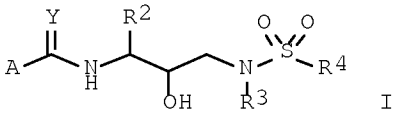
|---|---|---|---|---|---|
| US 6455581 | B1 | 20020924 | US 1995-451090 | | 19950525 |
| US 6248775 | B1 | 20010619 | US 1999-288080 | | 19990408 |
| US 6500832 | B1 | 20021231 | US 2000-525161 | | 20000314 |
| US 20020052399 | A1 | 20020502 | US 2001-798255 | | 20010305 |
| US 6417387 | B2 | 20020709 | | | |
| US 20030191319 | A1 | 20031009 | US 2002-157019 | | 20020530 |
| US 6646010 | B2 | 20031111 | | | |
| US 20040044047 | A1 | 20040304 | US 2002-199481 | | 20020722 |
| US 6846954 | B2 | 20050125 | | | |
| US 6924286 | B1 | 20050802 | US 2003-633376 | | 20030804 |
| US 20040229922 | A1 | 20041118 | US 2004-812343 | | 20040330 |
| US 7115618 | B2 | 20061003 | | | |
| US 20050267171 | A1 | 20051201 | US 2005-110943 | | 20050421 |
| US 7141609 | B2 | 20061128 | | | |
| US 20060276493 | A1 | 20061207 | US 2006-433797 | | 20060515 |
| US 20070078173 | A1 | 20070405 | US 2006-526101 | | 20060925 |
| US 7320983 | B2 | 20080122 | | | |
| US 20090023664 | A1 | 20090122 | US 2007-952520 | | 20071207 |
| PRIORITY APPLN. INFO.: | | | US 1992-934984 | B2 | 19920825 |
| | | | WO 1993-US7814 | A2 | 19930824 |
| | | | EP 1993-923714 | A3 | 19930824 |
| | | | US 1993-110911 | A | 19930824 |
| | | | US 1994-204827 | A | 19940302 |
| | | | US 1994-294468 | A1 | 19940823 |
| | | | WO 1994-US9139 | W | 19940823 |
| | | | US 1995-451090 | A3 | 19950525 |
| | | | US 1999-288080 | A1 | 19990408 |
| | | | US 2001-798255 | A1 | 20010305 |
| | | | US 2002-119481 | A3 | 20020410 |
| | | | US 2002-157019 | A1 | 20020530 |
| | | | US 2002-199481 | A3 | 20020722 |
| | | | US 2003-633376 | A1 | 20030804 |
| | | | US 2004-812343 | A1 | 20040330 |
| | | | US 2005-110943 | A1 | 20050421 |
| | | | US 2006-526101 | A1 | 20060925 |

OTHER SOURCE(S): MARPAT 132:322147

GI



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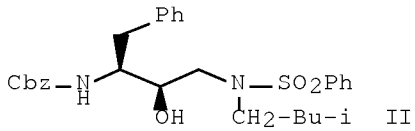


II

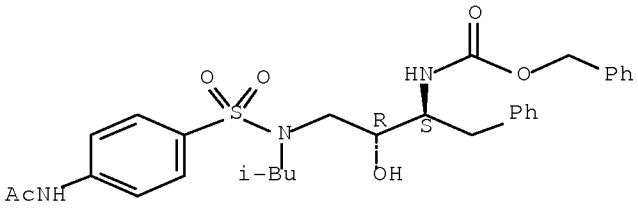
AB Amino acid hydroxyethylamino sulfonamide compds. I [R2 = (un)substituted aryl, (cyclo)alkyl, aralkyl, cycloalkylalkyl; R3 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxy-, alkoxy-, alkylthio-, or alkylsulfonylalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, or heteroaralkyl; R4 = heterocycloalkyl, heteroaryl or aryl; Y = O or

S; A = heterocycloalkyl, heterocycloalkoxy, heterocycloalkylalkoxy, heteroaralkyl, heteroarylalkoxy, heteroaryloxy or heteroaryl] were prepared as retroviral protease inhibitors, particular as inhibitors of HIV protease. Thus, compound II (Cbz = benzyloxycarbonyl) was prepared and assayed for HIV inhibitory activity (IC50 = 16 nM). Compds. of formula I were tested for cytotoxicity and efficacy (IC50, EC50 and TD50 values at the nanomolar level are tabulated).

IT 157567-04-9 160230-21-7 247047-35-4
1097219-33-4 1097999-40-0 1097999-43-3
RL: PRPH (Prophetic)
(Preparation of α- and β-amino acid hydroxyethylamino sulfonamides as retro viral protease inhibitors.)

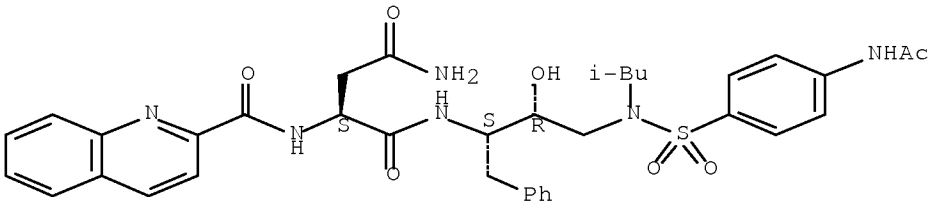
RN 157567-04-9 CAPLUS
CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



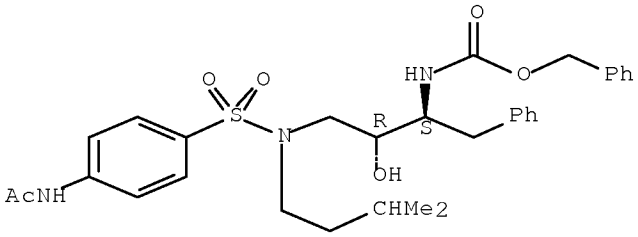

RN 160230-21-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



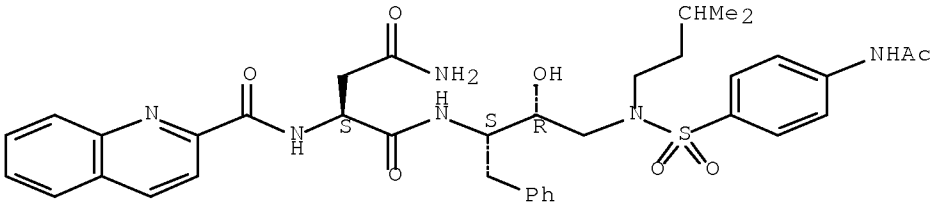

RN 247047-35-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



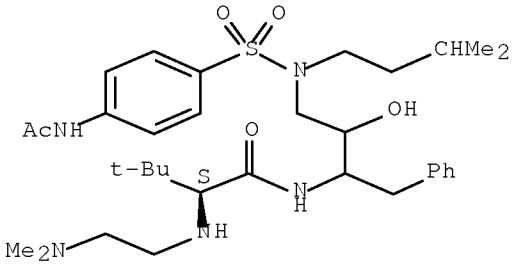
RN 1097219-33-4 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



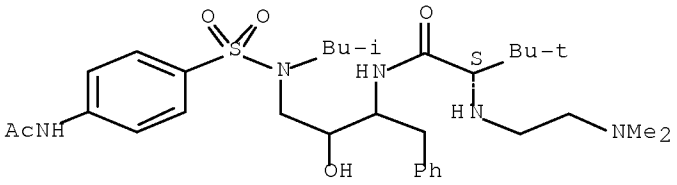
RN 1097999-40-0 CAPLUS
CN Butanamide, N-[3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[[2-(dimethylamino)ethyl]amino]-3,3-dimethyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1097999-43-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:220728 CAPLUS Full-text
DOCUMENT NUMBER: 132:265504
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors.
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertebshaw, Deborah E.; Heintz, Robert M.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 119 pp., Cont.-in-part of U.S. 204,872, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6046190 | A | 20000404 | US 1996-586866 | 19960124 |
| WO 9404492 | A1 | 19940303 | WO 1993-US7814 | 19930824 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| EP 810209 | A2 | 19971203 | EP 1997-113434 | 19930824 |
| EP 810209 | A3 | 19981202 | | |
| EP 810209 | B1 | 20020605 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| WO 9506030 | A1 | 19950302 | WO 1994-US9139 | 19940823 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN | | | | |
| RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1992-934984 | B2 | 19920825 |
| WO 1993-US7814 | A2 | 19930824 |
| US 1994-204872 | B2 | 19940302 |
| WO 1994-US9139 | W | 19940823 |
| EP 1993-923714 | A3 | 19930824 |
| US 1993-110911 | A | 19930824 |
| US 1994-204827 | A | 19940302 |

OTHER SOURCE(S): MARPAT 132:265504
AB Hydroxyethylamino sulfonamide compds.
R9R10N(CR7R8)pCHR1C(:Y)NR6CHR2CH(OH)CH2NR3S(:O)xR4 [I: R1 = H, CH2SO2NH2,

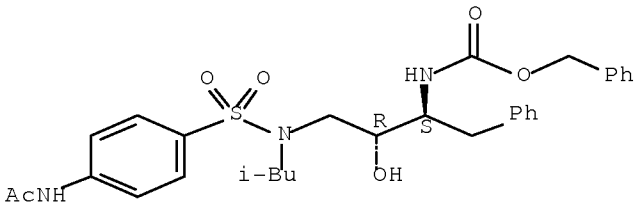
CH2CO2CH3, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R2 = (un)substituted alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, aryl, heteroaryl, mono- and disubstituted aminoalkyl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, aryl, (un)saturated heterocycle, (un)substituted aromatic heterocycloalkyl, etc.; R6 = H, alkyl; Y = O, S, NR3; R7,R8 = independently H, R1, or together with R1 and the carbon atoms to which they are attached represent a cycloalkyl radical; R9 = H, R3, or R3SO2; R10 = H, alkoxycarbonyl, alkylcarbonyl, aroyl, aryloxycarbonyl, heterocyclylalkoxycarbonyl, mono- and disubstituted aminocarbonyl, or aminoalkanoyl, etc.; or R9R10N = heterocycloalkyl or heteroaryl; x = 0-2; p = 0-1] or their pharmaceutically acceptable salts, prodrugs, or esters were prepared as inhibitors of retroviral proteases such as human immunodeficiency virus (HIV). Many inhibitors were prepared by (1) preparing an N-protected amino epoxide and (2) reacting this with an amine and (3) preparing a sulfonamide by reacting with a sulfonyl chloride or sulfonyl anhydride in the presence of an acid scavenger. The amino function of the sulfonamide was then (4) deprotected and (5) reacted with a carboxylate. Thus, N1-[2R-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1S-(phenylmethyl)propyl]-2S-[(2-quinolinylcarbonyl)amino]butanediamide was prepared and assayed for HIV protease inhibitory activity (IC50 = 1.5 nM). Compds. of formula I were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

IT 157567-04-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1999:670116 CAPLUS Full-text

DOCUMENT NUMBER: 131:295568

TITLE: α- and β-Amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors

INVENTOR(S): Vazques, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel P.; Decrescenzo, Gary A.; Freskos, John N.; Bertenshaw, Deborah E.; Heintz, Robert M.

PATENT ASSIGNEE(S): G. D. Searle and Co., USA

SOURCE: U.S., 130 pp., Cont.-in-part of U. S. 204,827.

CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5968942 | A | 19991019 | US 1994-294468 | 19940823 |
| WO 9404492 | A1 | 19940303 | WO 1993-US7814 | 19930824 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| EP 810209 | A2 | 19971203 | EP 1997-113434 | 19930824 |
| EP 810209 | A3 | 19981202 | | |
| EP 810209 | B1 | 20020605 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| US 6060476 | A | 20000509 | US 1994-204827 | 19940302 |
| US 6248775 | B1 | 20010619 | US 1999-288080 | 19990408 |
| US 20020052399 | A1 | 20020502 | US 2001-798255 | 20010305 |
| US 6417387 | B2 | 20020709 | | |
| US 20030191319 | A1 | 20031009 | US 2002-157019 | 20020530 |
| US 6646010 | B2 | 20031111 | | |
| US 6924286 | B1 | 20050802 | US 2003-633376 | 20030804 |
| US 20050267171 | A1 | 20051201 | US 2005-110943 | 20050421 |
| US 7141609 | B2 | 20061128 | | |
| US 20060276493 | A1 | 20061207 | US 2006-433797 | 20060515 |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| US 1992-934984 | B2 | 19920825 |
| WO 1993-US7814 | A2 | 19930824 |
| US 1994-204827 | A2 | 19940302 |
| EP 1993-923714 | A3 | 19930824 |
| US 1993-110911 | A2 | 19930824 |
| US 1994-294468 | A1 | 19940823 |
| US 1999-288080 | A1 | 19990408 |
| US 2001-798255 | A1 | 20010305 |
| US 2002-157019 | A1 | 20020530 |
| US 2003-633376 | A1 | 20030804 |
| US 2005-110943 | A1 | 20050421 |

OTHER SOURCE(S): MARPAT 131:295568

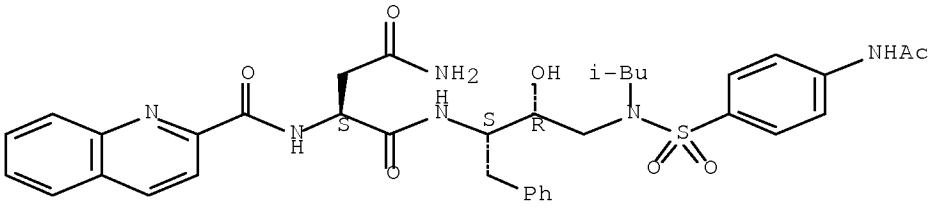
AB α- And β-Amino acid hydroxyethylamino sulfonamide compds. are effective as retroviral protease inhibitors, and in particular as inhibitors of HIV protease, as well as effective in preventing the growth of retroviruses in a solution General and specific schemes for chemical synthesis of the sulfonamide-containing hydroxyethylamine inhibitor compds. are described. Seventy-eight such compds. were tested for cytotoxicity and antiviral efficacy (IC50, EC50, and TD50 values at the nanomolar level are tabulated).

IT 160230-21-7 1097219-33-4 1097221-24-3
1097221-30-1
RL: PRPH (Prophetic)
(α- and β-Amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 160230-21-7 CAPLUS

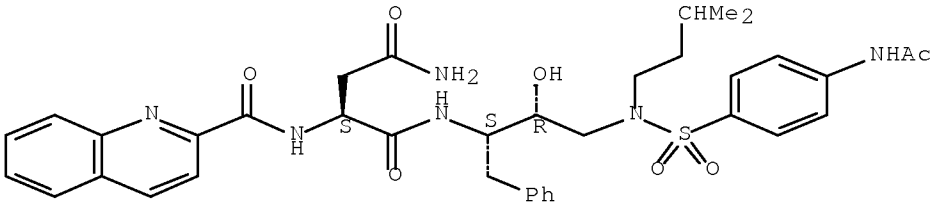
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



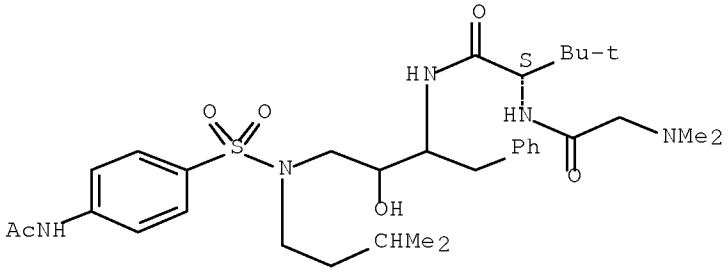
RN 1097219-33-4 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



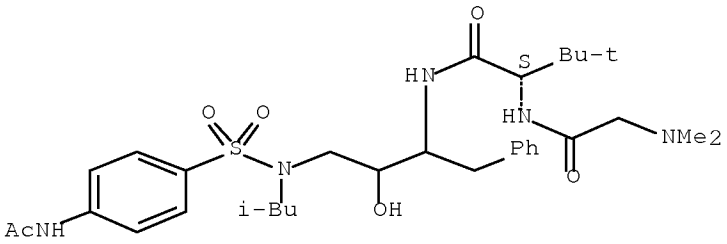
RN 1097221-24-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



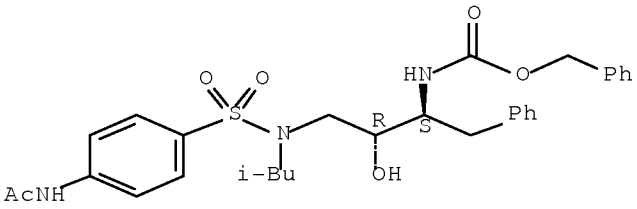
RN 1097221-30-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



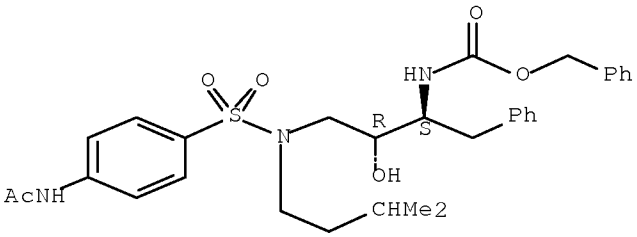
IT 157567-04-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)
RN 157567-04-9 CAPLUS
CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 247047-35-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)
RN 247047-35-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:460409 CAPLUS Full-text
DOCUMENT NUMBER: 131:87805
TITLE: Preparation of amprenavir prodrugs as HIV protease inhibitors
INVENTOR(S): Tung, Roger D.; Hale, Michael R.; Baker, Christopher T.; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Wieczyslaw; Spaltenstein, Andrew
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9933815 | A1 | 19990708 | WO 1998-US4595 | 19980309 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 6436989 | B1 | 20020820 | US 1997-998050 | 19971224 |
| AU 9865466 | A | 19990719 | AU 1998-65466 | 19980309 |
| AU 755087 | B2 | 20021205 | | |
| TR 200002615 | T2 | 20010122 | TR 2000-2615 | 19980309 |
| BR 9814480 | A | 20010925 | BR 1998-14480 | 19980309 |
| EE 200000385 | A | 20011217 | EE 2000-385 | 19980309 |
| EE 4466 | B1 | 20050415 | | |
| HU 2001001831 | A2 | 20020429 | HU 2001-1831 | 19980309 |
| HU 2001001831 | A3 | 20020828 | | |
| AP 1172 | A | 20030630 | AP 2000-1850 | 19980309 |
| W: GH, GM, KE, LS, MW, SD, SZ, UG, ZW | | | | |
| NZ 505776 | A | 20030630 | NZ 1998-505776 | 19980309 |
| IL 136941 | A | 20060611 | IL 1998-136941 | 19980309 |
| CA 2231700 | C | 19990624 | CA 1998-2231700 | 19980310 |
| CA 2231700 | A1 | 19990624 | | |
| JP 11209337 | A | 19990803 | JP 1998-58705 | 19980310 |
| JP 3736964 | B2 | 20060118 | | |
| EP 933372 | A1 | 19990804 | EP 1998-104292 | 19980310 |
| EP 933372 | B1 | 20071226 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, AL, MK | | | | |
| AT 382042 | T | 20080115 | AT 1998-104292 | 19980310 |
| ES 2299193 | T3 | 20080516 | ES 1998-104292 | 19980310 |
| EP 1944300 | A2 | 20080716 | EP 2007-24817 | 19980310 |
| EP 1944300 | A3 | 20081105 | | |
| R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, AL, LT, LV, MK, RO, SI | | | | |
| TW 486474 | B | 20020511 | TW 1998-87121460 | 19981222 |
| ZA 9811830 | A | 20000623 | ZA 1998-11830 | 19981223 |

| | | | | | |
|---|---|---|---|---|---|
| IN 1998CA02210 | A | 20051014 | IN 1998-CA2210 | | 19981223 |
| HK 1021737 | A1 | 20080718 | HK 2000-100695 | | 20000203 |
| NO 2000003304 | A | 20000821 | NO 2000-3304 | | 20000623 |
| NO 326265 | B1 | 20081027 | | | |
| MX 2000006315 | A | 20010219 | MX 2000-6315 | | 20000623 |
| US 6559137 | B1 | 20030506 | US 2000-602494 | | 20000623 |
| BG 104631 | A | 20010228 | BG 2000-104631 | | 20000724 |
| BG 64869 | B1 | 20060731 | | | |
| US 20030207871 | A1 | 20031106 | US 2003-370171 | | 20030219 |
| US 6838474 | B2 | 20050104 | | | |
| US 20050148548 | A1 | 20050707 | US 2004-958223 | | 20041004 |
| JP 2005350478 | A | 20051222 | JP 2005-205007 | | 20050713 |
| IN 2007KO00214 | A | 20080822 | IN 2007-KO214 | | 20070209 |
| PRIORITY APPLN. INFO.: | | | US 1997-998050 | A2 | 19971224 |
| | | | WO 1998-US4595 | W | 19980309 |
| | | | EP 1998-104292 | A3 | 19980310 |
| | | | JP 1998-58705 | A3 | 19980310 |
| | | | IN 1998-CA2210 | A3 | 19981223 |
| | | | US 2000-602494 | A3 | 20000623 |
| | | | US 2003-370171 | A3 | 20030219 |

OTHER SOURCE(S): MARPAT 131:87805

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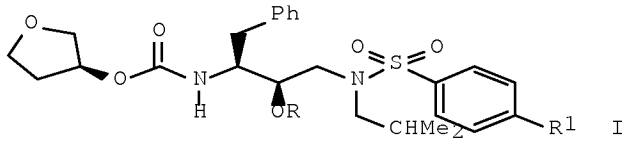



I

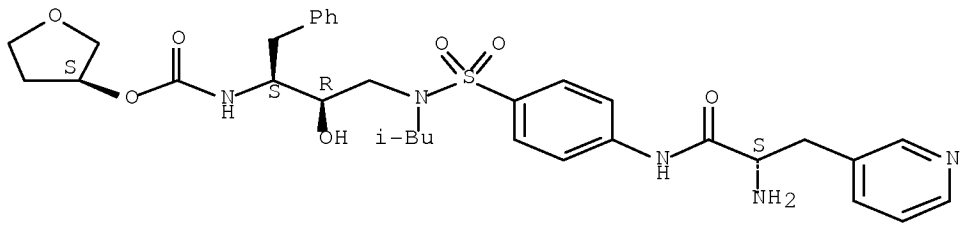
AB ABNGxCHDCH(OR7)CH2ND'SO2E [A = H, alkyl(carbonyl), aryl(carbonyl), etc.; B = bond or (un)substituted NHCH2CO; D,D' = (cyclo)alk(en)yl, heterocyclyl, etc.; E = (cyclo)alkyl(oxy), heterocyclyl(oxy), etc.; G = H, R7, alkyl, etc.; R7 = acyl(oxymethyl); x = 0 or 1] were prepared Thus, analog I (R = H, R1 = NO2) was converted in 4 steps to I [R = P(O)(ONa)2, R1 = NH2](II). Data for bioavailability of II were given.

IT 229495-63-0P 229495-64-1P 229495-65-2P
229495-66-3P 229495-67-4P 229495-68-5P
229495-69-6P 229495-70-9P 229495-78-7P
229495-79-8P 229495-80-1P 229495-81-2P
229495-82-3P 229495-83-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amprenavir prodrugs as HIV protease inhibitors)

RN 229495-63-0 CAPLUS

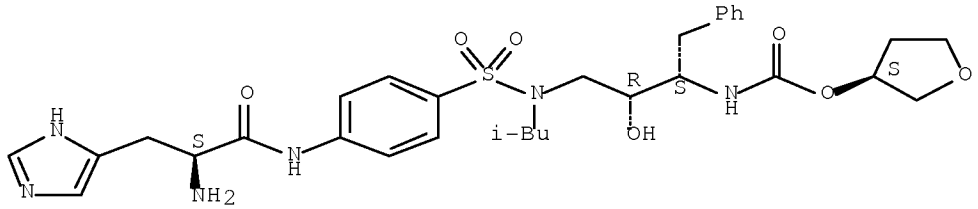
CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



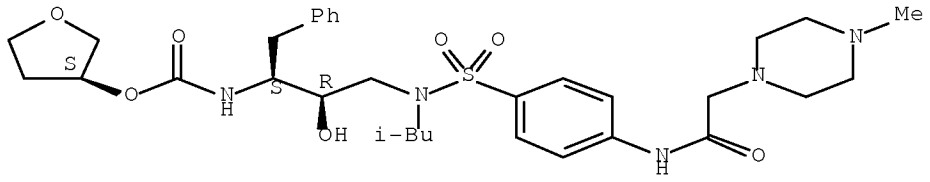
RN 229495-64-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 229495-65-2 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[(4-methyl-1-piperazinyl)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

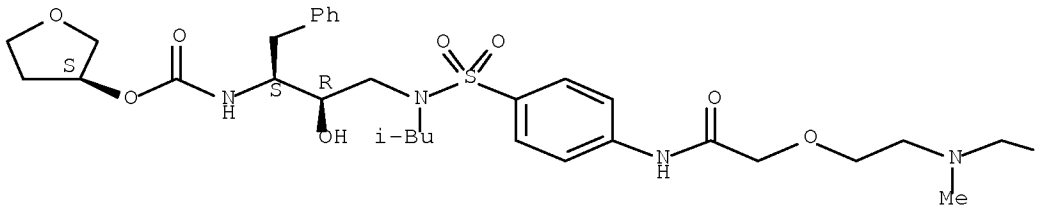
Absolute stereochemistry.



RN 229495-66-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[[2-[[2-(dimethylamino)ethyl]methylamino]ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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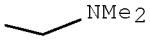
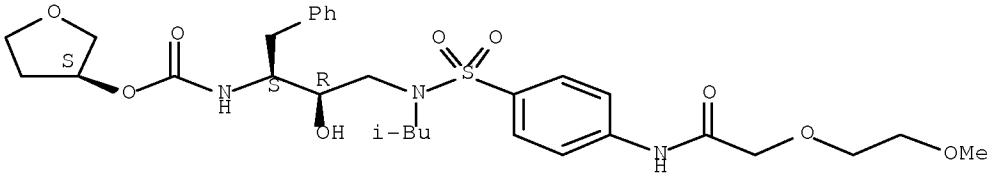


RN 229495-67-4 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[(2-methoxyethoxy)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

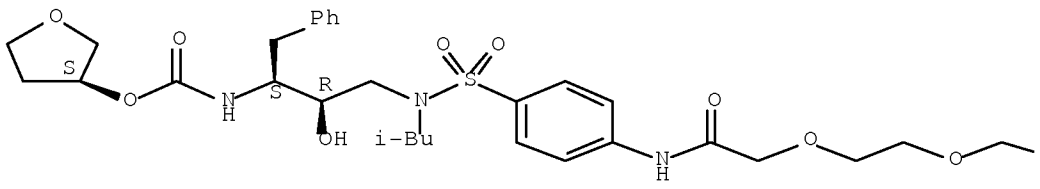
Absolute stereochemistry.

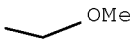


RN 229495-68-5 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

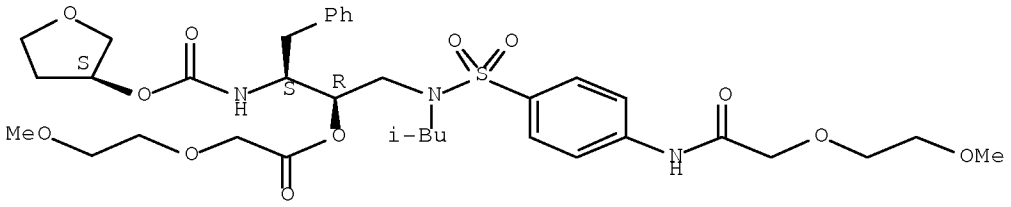
PAGE 1-A






RN 229495-69-6 CAPLUS
CN 5,8,11-Trioxa-2-azadodecanoic acid, 4-[[[[4-[[2-(2-methoxyethoxy)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]methyl]-6-oxo-3-(phenylmethyl)-, (3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

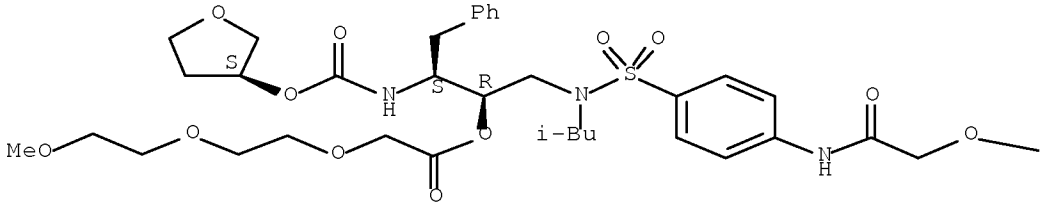
Absolute stereochemistry.




RN 229495-70-9 CAPLUS
CN 5,8,11,14-Tetraoxa-2-azapentadecanoic acid, 4-[[[[4-[[2-[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]methyl]-6-oxo-3-(phenylmethyl)-, (3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.





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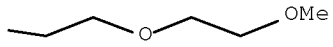
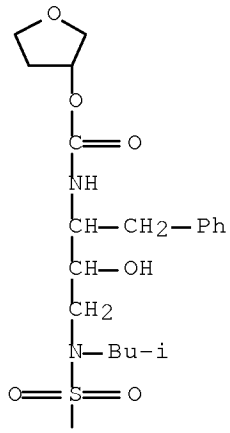
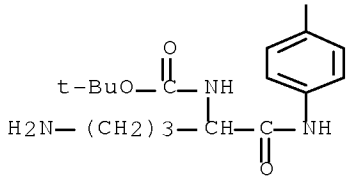



RN 229495-78-7 CAPLUS
CN Carbamic acid, [3-[[[4-[[5-amino-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

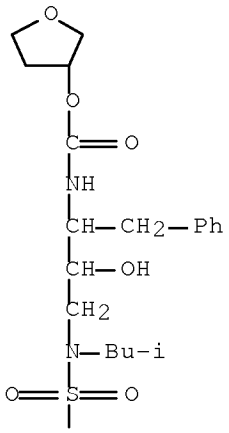



PAGE 2-A

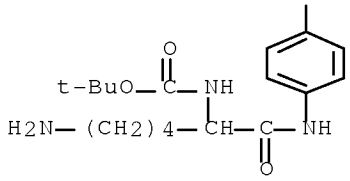



RN 229495-79-8 CAPLUS
CN Carbamic acid, [3-[[[4-[[6-amino-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxohexyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



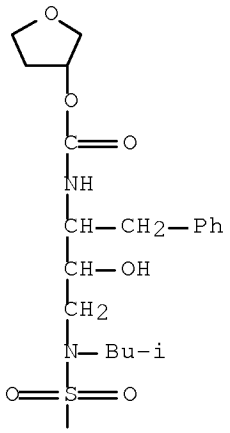
PAGE 2-A



RN 229495-80-1 CAPLUS

CN Carbamic acid, [3-[[[4-[[5-[(aminoiminomethyl)amino]-2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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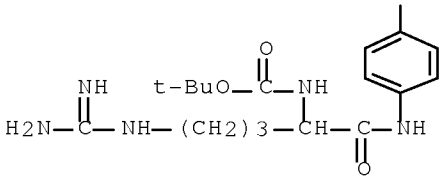

RN 229495-81-2 CAPLUS

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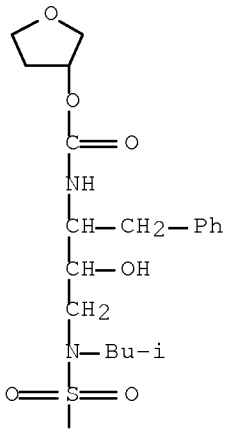
PAGE 1-A



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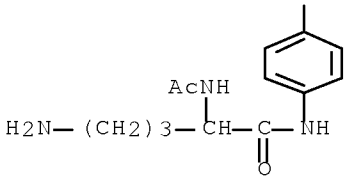

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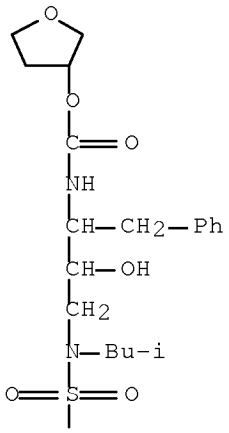
CN Carbamic acid, [3-[[[4-[[2-(acetylamino)-6-amino-1-oxohexyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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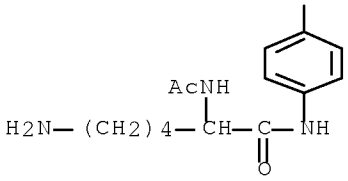

RN 229495-83-4 CAPLUS

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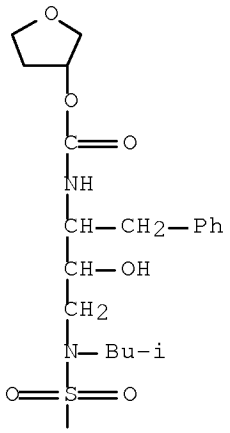
PAGE 1-A



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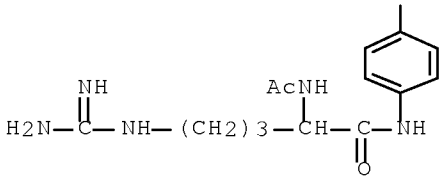
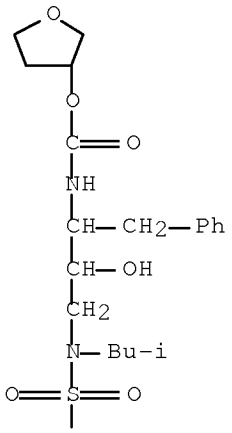


IT 229496-00-8P 229496-01-9P 229496-02-0P
229496-03-1P 229496-04-2P 229496-05-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amprenavir prodrugs as HIV protease inhibitors)

RN 229496-00-8 CAPLUS

CN Carbamic acid, [4-[[(1,1-dimethylethoxy)carbonyl]amino]-5-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxopentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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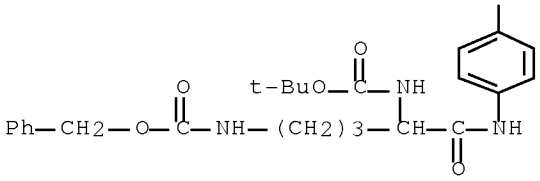

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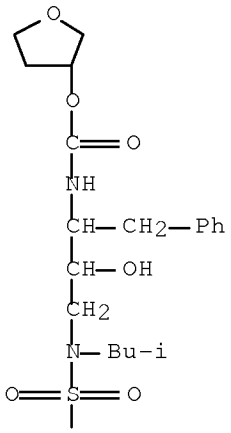
PAGE 1-A



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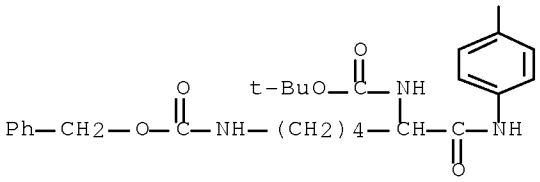
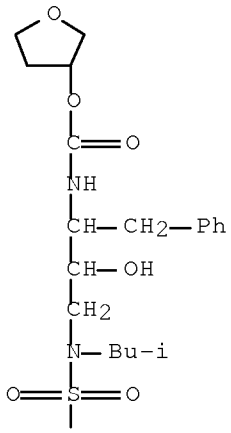


RN 229496-02-0 CAPLUS

CN 11-Oxa-2,7,9-triazadodecanoic acid, 3-[[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]carbonyl]-8-imino-10-oxo-12-phenyl-7-[(phenylmethoxy)carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 1-A



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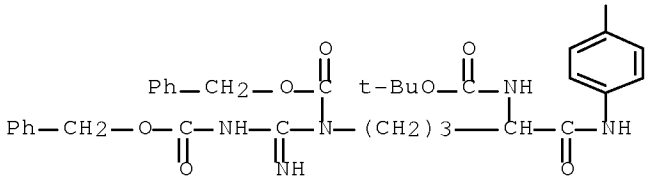
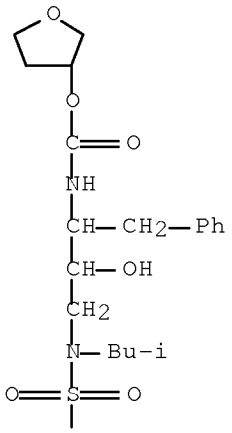


RN 229496-03-1 CAPLUS

CN Carbamic acid, [4-(acetylamino)-5-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-5-oxopentyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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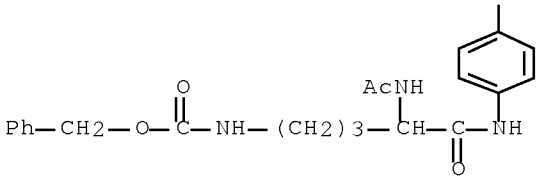
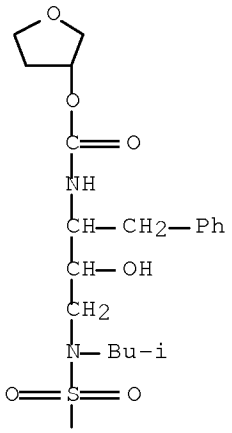


RN 229496-04-2 CAPLUS

CN Carbamic acid, [5-(acetylamino)-6-[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]-6-oxohexyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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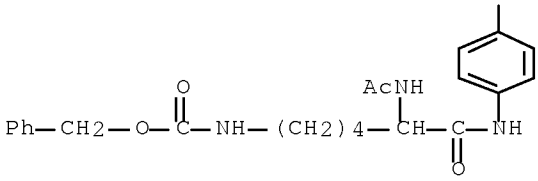
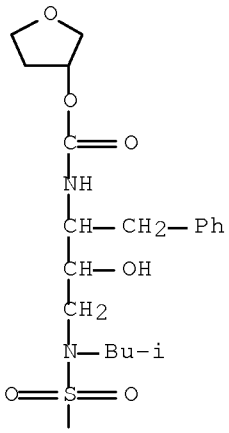


RN 229496-05-3 CAPLUS

CN 2-Oxa-4,6,11-triazatridecanoic acid, 10-[[[4-[[[2-hydroxy-4-phenyl-3-[[[(tetrahydro-3-furanyl)oxy]carbonyl]amino]butyl](2-methylpropyl)amino]sulfonyl]phenyl]amino]carbonyl]-5-imino-3,12-dioxo-1-phenyl-, phenylmethyl ester (CA INDEX NAME)

PAGE 1-A



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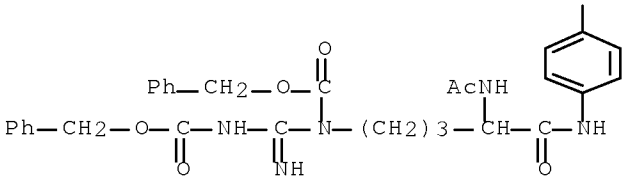

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:460393 CAPLUS Full-text
DOCUMENT NUMBER: 131:87804
TITLE: Preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors.
INVENTOR(S): Hale, Michael R.; Tung, Roger D.; Baker, Christopher T.; Spaltenstein, Andrew; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Mieczyslaw
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 9933793 | A2 | 19990708 | WO 1998-US27424 | 19981223 |
| WO 9933793 | A3 | 19990910 | | |

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| AU 9920925 | A | 19990719 | AU 1999-20925 | | 19981223 |
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| EP 1042280 | A2 | 20001011 | EP 1998-965466 | | 19981223 |
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| EE 200000386 | A | 20011217 | EE 2000-386 | | 19981223 |
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OTHER SOURCE(S): MARPAT 131:87804
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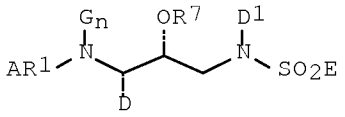

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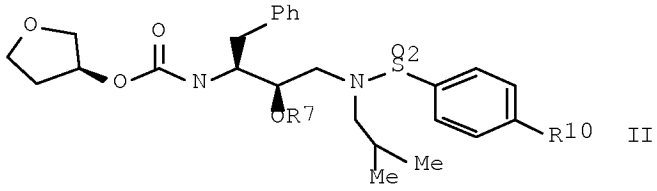


II

AB Title compds. [I; R1 = CO, SO2, COCO, O2C, OSO2, NR2SO2, etc.; A = (benzo- or heterocyclo-fused) 5-7 membered heterocyclyl(alkyl); D, D1 = Q, (substituted) alkyl, alkenyl, cycloalkyl, cycloalkenyl; G = H, R7, alkyl; E = Ht, OHt, HtHt, OR3, NR2R3, (substituted) alkyl, alkenyl, carbocyclyl, etc.; GR7 = atoms to form a heterocyclic ring; Q = (substituted) (unsatd.) 3-7 membered carbocyclyl, 5-7 membered heterocyclyl; R2 = H, (Q-substituted) alkyl; R3 = H, (substituted) Ht, alkyl, alkenyl; R7 = (CH2O)nY(ZM)(:X)ZMn, (CH2O)nCO(R9)nM1; M = H, Li, Na, K, Mg, Ca, Ba, ammonio, alkyl, alkenyl, etc.; M1 = H,

(substituted) alkyl, alkenyl, etc.; R9 = C(R2)2, O, NR2; Y = P, S; X = O, S; Ht = cycloalkyl, cycloalkenyl, (substituted) aryl, 5-7 membered heterocyclyl; n = 0, 1; with provisos], were prepared Thus, title compound (II; R7 = H; R10 = NO2) was heated with H3PO3 and DCC in pyridine to give 96% II (R7 = OP(O)(OH)H; R10 = NO2). This was heated with TMSOOTMS and (TMS)2NH to give 88% II (R7 = OP(O)(OH)2; R10 = NO2). The latter was hydrogenated and salified to give II (R7 = OP(O)(ONa)2; R10 = NH2) (III). III in a methylcellulose/EtOH/H2O formulation administered orally to dogs showed a relative availability of 60.4% relative to its metabolite VS-478.

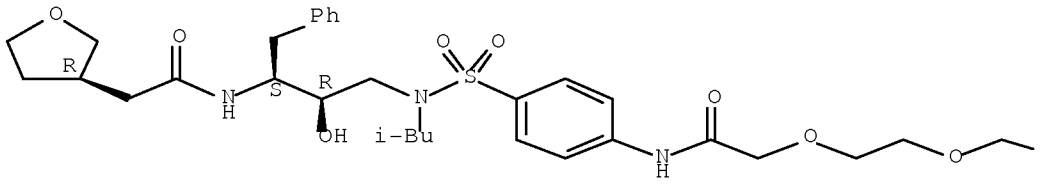
IT 1097675-85-8
RL: PRPH (Prophetic)
(Preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors.)

RN 1097675-85-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

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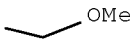


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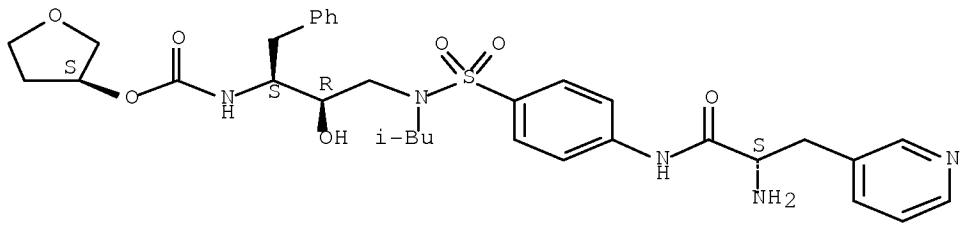
229495-69-6P 229495-70-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors)

RN 229495-63-0 CAPLUS

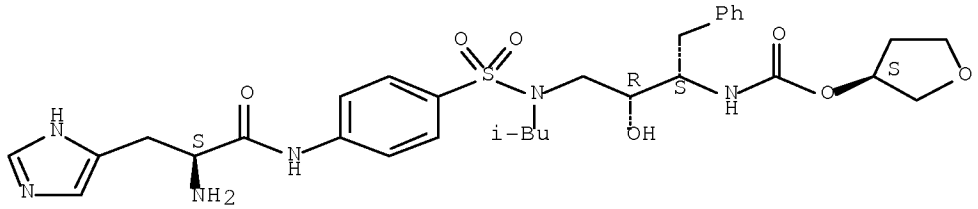
CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



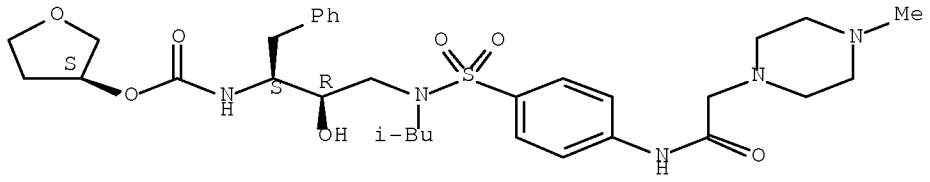
RN 229495-64-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 229495-65-2 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[(4-methyl-1-piperazinyl)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

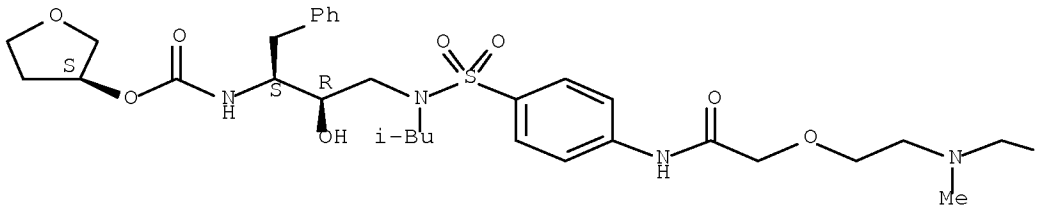
Absolute stereochemistry.



RN 229495-66-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[[2-[[2-(dimethylamino)ethyl]methylamino]ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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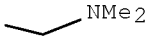

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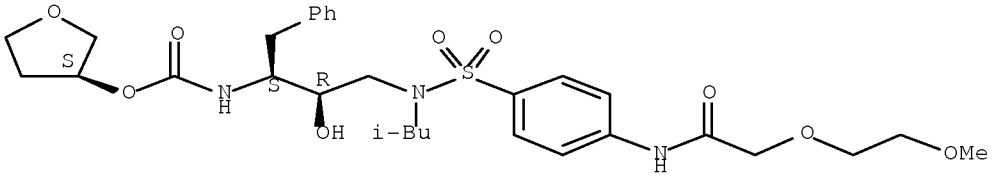
Absolute stereochemistry.



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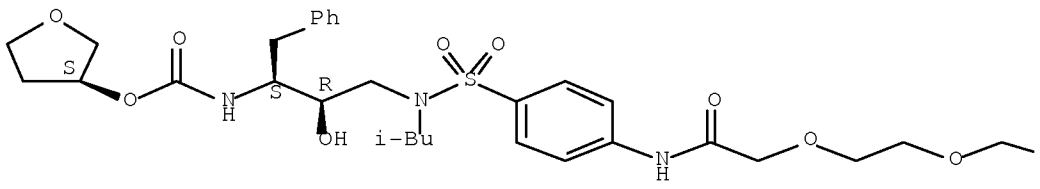
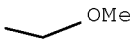
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

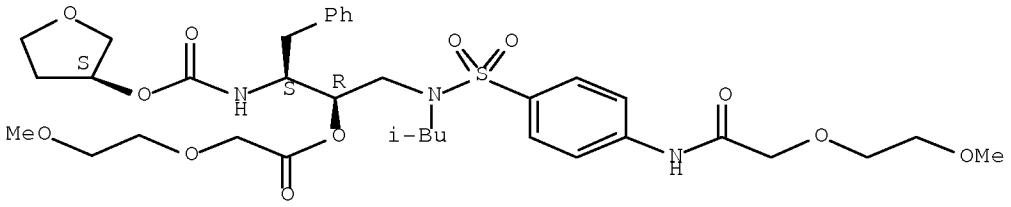
PAGE 1-A






RN 229495-69-6 CAPLUS
CN 5,8,11-Trioxa-2-azadodecanoic acid, 4-[[[[4-[[2-(2-methoxyethoxy)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]methyl]-6-oxo-3-(phenylmethyl)-, (3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

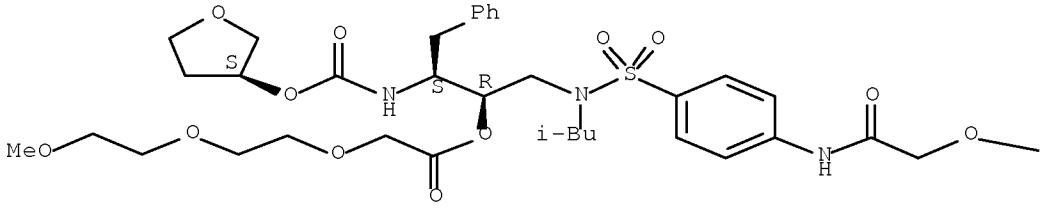
Absolute stereochemistry.

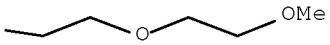



RN 229495-70-9 CAPLUS
CN 5,8,11,14-Tetraoxa-2-azapentadecanoic acid, 4-[[[[4-[[2-[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]methyl]-6-oxo-3-(phenylmethyl)-, (3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.





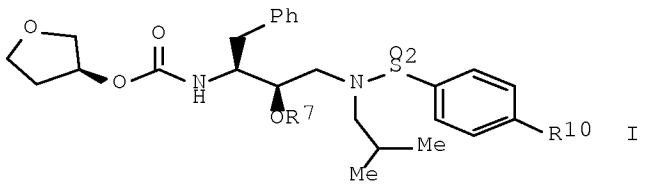



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:460392 CAPLUS Full-text
DOCUMENT NUMBER: 131:87803
TITLE: Preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors.
INVENTOR(S): Hale, Michael R.; Tung, Roger D.; Baker, Christopher T.; Spaltenstein, Andrew; Furfine, Eric Steven; Kaldor, Istvan; Kazmierski, Wieslaw Mieczyslaw
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9933792 | A2 | 19990708 | WO 1998-US27403 | 19981223 |
| WO 9933792 | A3 | 19990916 | | |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9920102 | A | 19990719 | AU 1999-20102 | 19981223 |
| PRIORITY APPLN. INFO.: | | | US 1997-68806P P | 19971224 |
| | | | WO 1998-US27403 W | 19981223 |

OTHER SOURCE(S): MARPAT 131:87803
GI




I

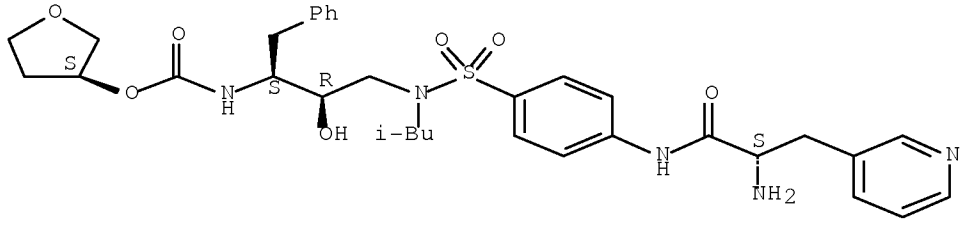
AB Z(CHD)pC(:G)(CXX1)mC(G1)N(D1)SO2E1 [Z = N(D)SO2E, NHA, NDA, NHE, NHCONDE, NH(Ht), Ht, ND(Ht); A = H, Ht, R1Ht, (substituted) R1Alk; Alk = alkyl, alkenyl; Ht = (substituted) cycloalkyl, cycloalkenyl, aryl, benzoheterocyclyl, heterocyclyl; D, D1 = R6, N(R2)2, (substituted) alkyl, alkenyl, cycloalkyl, etc.; E, E1 = Ht, OHt, HtHt, OR3, NR2R3, (substituted) alkyl, alkenyl; R1 = CO, SO2, COCO, O2C, OSO2, NR2CO, etc.; R2 = H, R6, R6-substituted alkyl; R3 = H, (substituted) Ht, alkyl, alkenyl; R6 = (substituted) aryl, carbocyclyl, heterocyclyl; G, G1 = H2, O; X, X1 = H, OH, NH2, SH, etc.; XX1 = O; m = 1-3; p = 0, 1], were prepared Thus, title compound (I; R7 = H; R10 = NO2) was heated with H3PO3 and DCC in pyridine to give 96% I (R7 = OP(O)(OH)H; R10 = NO2). This was heated with TMSOOTMS and (TMS)2NH to give 88% I (R7 = OP(O)(OH)2; R10 = NO2). The latter was hydrogenated and salified to give I (R7 = OP(O)(ONa)2; R10 = NH2) (II). II in a methylcellulose/EtOH/H2O formulation administered orally to dogs showed a relative availability of 60.4% relative to its metabolite VS-478.

IT 229495-63-0P 229495-64-1P 229495-65-2P
229495-66-3P 229495-67-4P 229495-68-5P
229495-69-6P 229495-70-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diacylamino-2-acyloxypropanes as prodrugs of aspartyl protease inhibitors)

RN 229495-63-0 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

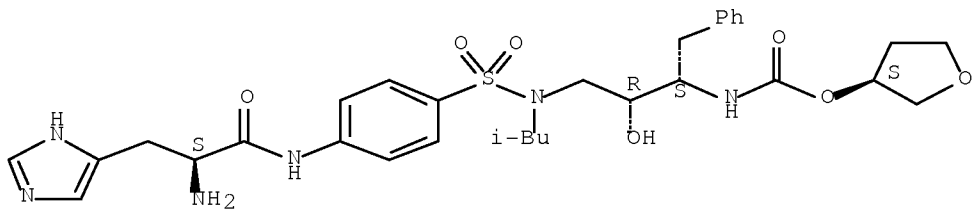
Absolute stereochemistry.



RN 229495-64-1 CAPLUS

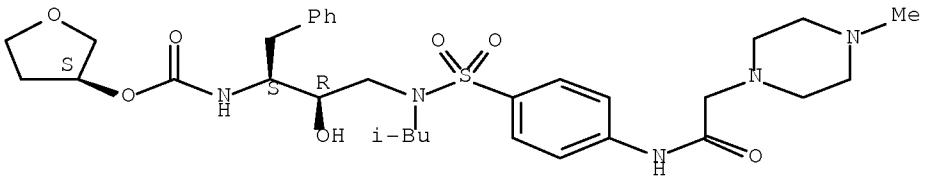
CN Carbamic acid, [(1S,2R)-3-[[[4-[[(2S)-2-amino-3-(1H-imidazol-4-yl)-1-oxopropyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 229495-65-2 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[(4-methyl-1-piperazinyl)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

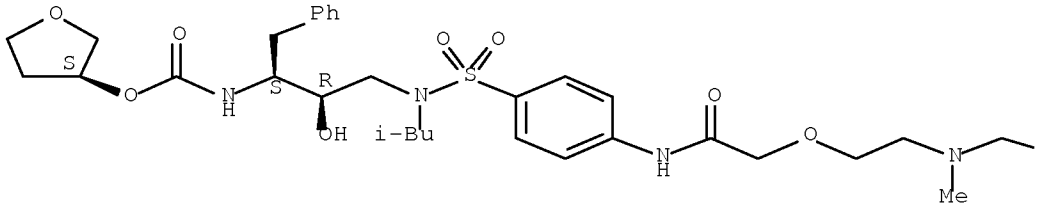
Absolute stereochemistry.



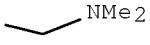
RN 229495-66-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-[[[2-[[2-(dimethylamino)ethyl]methylamino]ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

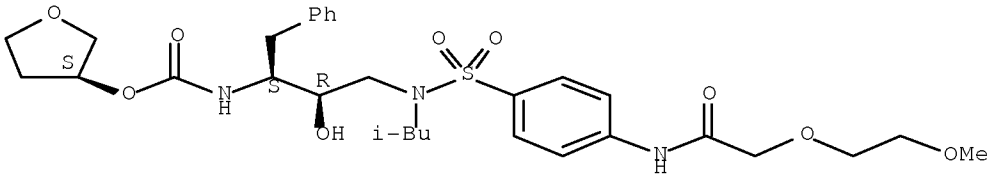


PAGE 1-B



RN 229495-67-4 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[(2-methoxyethoxy)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

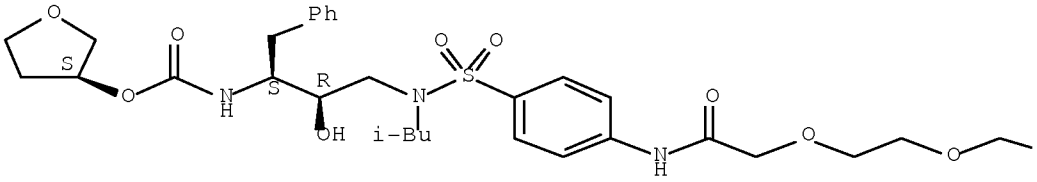
Absolute stereochemistry.



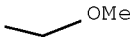
RN 229495-68-5 CAPLUS
CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[[[4-[[[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

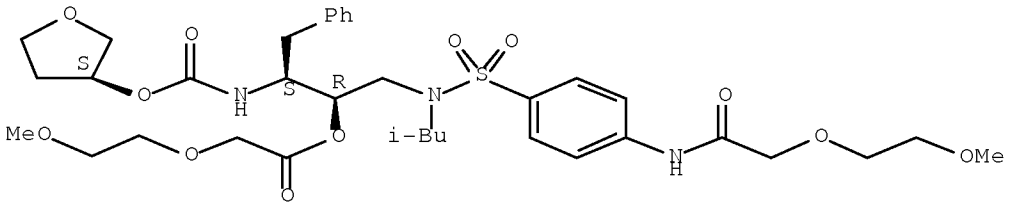


PAGE 1-B



RN 229495-69-6 CAPLUS
CN 5,8,11-Trioxa-2-azadodecanoic acid, 4-[[[[4-[[2-(2-methoxyethoxy)acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]methyl]-6-oxo-3-(phenylmethyl)-, (3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

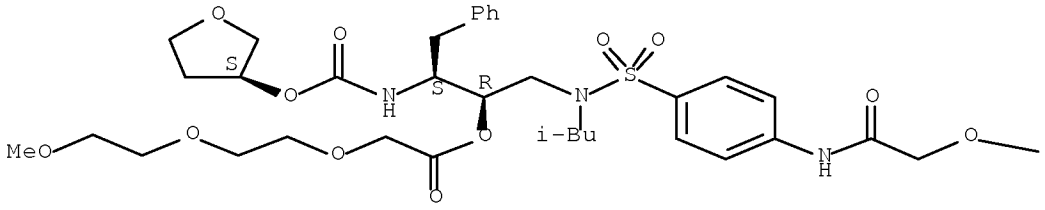
Absolute stereochemistry.



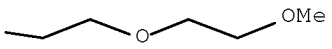
RN 229495-70-9 CAPLUS
CN 5,8,11,14-Tetraoxa-2-azapentadecanoic acid,
4-[[[[4-[[2-[2-(2-methoxyethoxy)ethoxy]acetyl]amino]phenyl]sulfonyl](2-methylpropyl)amino]methyl]-6-oxo-3-(phenylmethyl)-,
(3S)-tetrahydro-3-furanyl ester, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A




PAGE 1-B




REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:166638 CAPLUS Full-text
DOCUMENT NUMBER: 130:209983
TITLE: Non-immunosuppressive cyclosporins and their use in the prevention and treatment of HIV infection
INVENTOR(S): Rich, Daniel H.; Solomon, Michael E.
PATENT ASSIGNEE(S): Wisconsin Alumni Research Foundation, USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9910373 | A1 | 19990304 | WO 1998-US17542 | 19980825 |

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW

RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| AU 9889189 | A | 19990316 | AU 1998-89189 | | 19980825 |
| US 6270957 | B1 | 20010807 | US 1999-242723 | | 19990222 |
| PRIORITY APPLN. INFO.: | | | US 1997-57751P | P | 19970826 |
| | | | WO 1998-US17542 | W | 19980825 |

OTHER SOURCE(S): MARPAT 130:209983

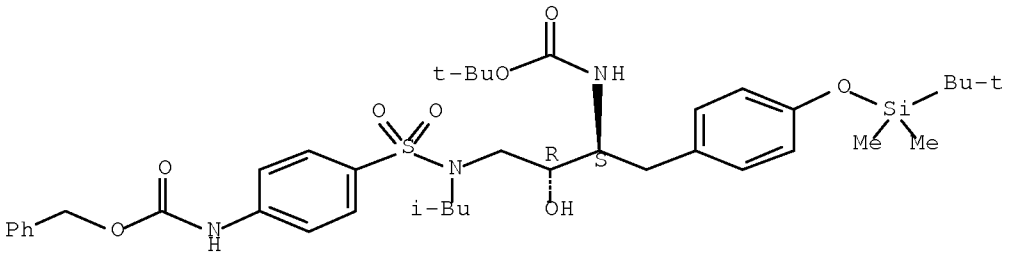
AB Non-immunosuppressive cyclosporins comprising cyclic undecapeptides, cyclo(V-Abu-W-X-Val-X'-Y(Z)-D-Ala-MeLeu-MeLeu-MeVal) [V = MeLeu(3-OH), MeLeu, MeSer, MeSer-PG, MeThr, MeThr-PG, where PG is a side-chain protecting group; W = D-N-Me amino acid or N-methylglycyl residue; X, X' = N-methylleucinyl or N-methylalanyl residue; Y = lysyl, homo-lysyl, ornithinyl, lysyl-PG, homo-lysyl-PG, or ornithinyl-PG residue; Z is absent or is an HIV protease inhibitor moiety conjugated to Y via a side-chain on Y], were prepared Also disclosed are conjugates of these cyclosporin analogs in which an HIV protease inhibitor moiety is conjugated to the position-7 amino acid residue of the cyclosporin. Thus, N-{(S)-3-hydroxytetrahydrofuryloxycarbonyl}-(2S,3S)-3-amino-2-hydroxy-4-(4- ethylacetoxyphenyl)-1-(isobutylamino)butane was prepared and its conjugate with [MeLeu(3-OH)1, D-MeSer3, Lys7]-cyclosporin A were prepared and assayed for anti-Hiv activity.

IT 220871-76-1P 220871-77-2P 220871-78-3P
220871-80-7P 220871-81-8P 220871-83-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(non-immunosuppressive cyclosporins and their use in prevention and treatment of HIV infection)

RN 220871-76-1 CAPLUS

CN Carbamic acid, [4-[[[(2R,3S)-3-[[(1,1-dimethylethoxy)carbonyl]amino]-4-[4-[[(1,1-dimethylethyl)dimethylsilyl]oxy]phenyl]-2-hydroxybutyl](2-methylpropyl)amino]sulfonyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

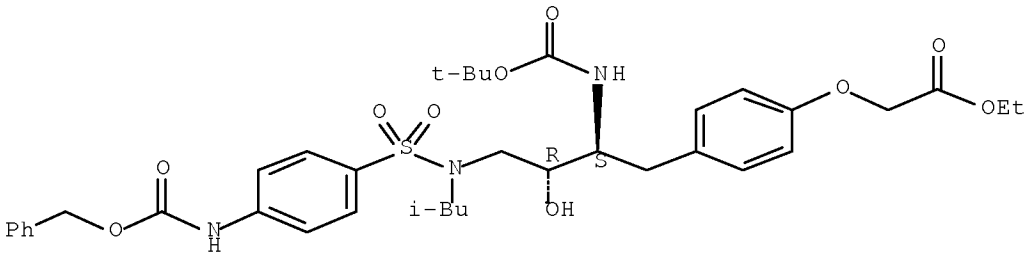
Absolute stereochemistry. Rotation (+).




RN 220871-77-2 CAPLUS

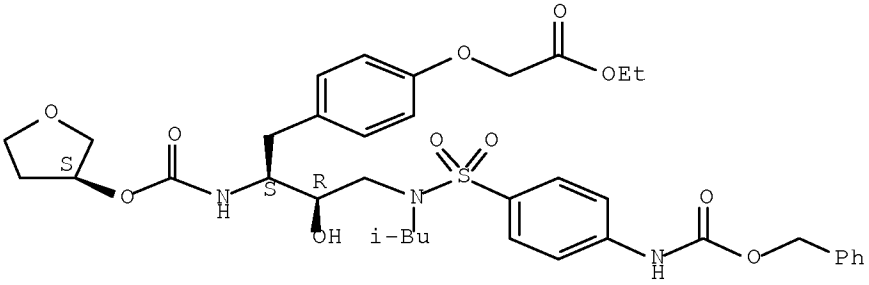
CN Acetic acid, 2-[4-[(2S,3R)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-hydroxy-4-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]butyl]phenoxy]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 220871-78-3 CAPLUS
CN Acetic acid, 2-[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]-, ethyl ester (CA INDEX NAME)

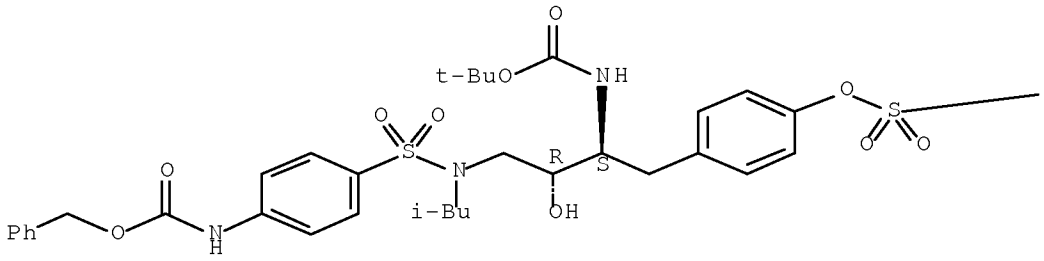
Absolute stereochemistry.

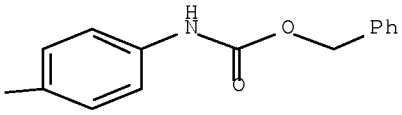


RN 220871-80-7 CAPLUS
CN Benzenesulfonic acid, 4-[[(phenylmethoxy)carbonyl]amino]-, 4-[(2S,3R)-2-[[(1,1-dimethylethoxy)carbonyl]amino]-3-hydroxy-4-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]butyl]phenyl ester (CA INDEX NAME)

Absolute stereochemistry.

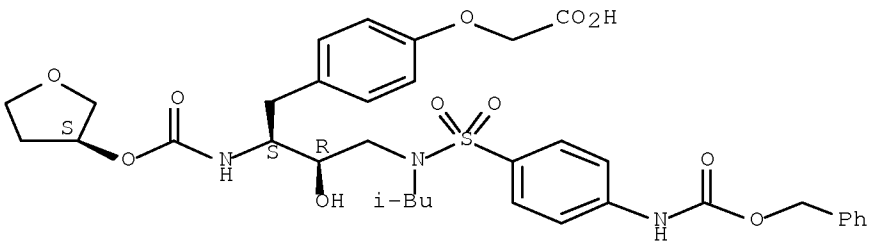






RN 220871-81-8 CAPLUS
CN Acetic acid, 2-[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]- (CA INDEX NAME)

Absolute stereochemistry.

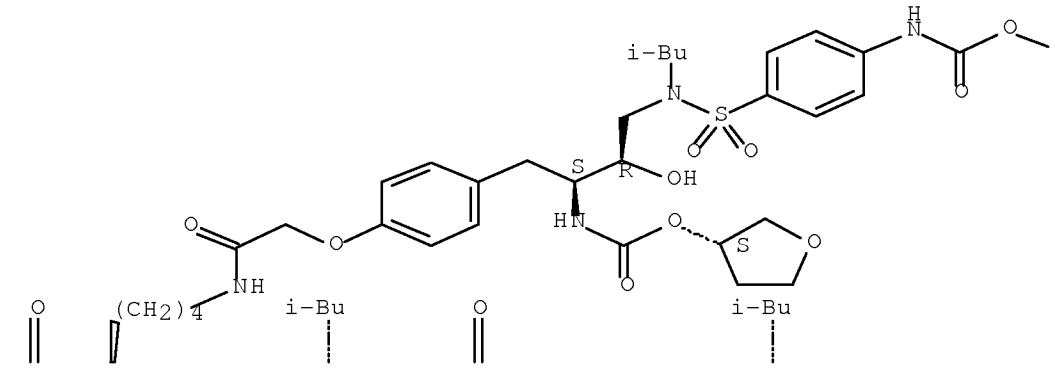


RN 220871-83-0 CAPLUS
CN Cyclo[D-alanyl-N-methyl-L-leucyl-N-methyl-L-leucyl-N-methyl-L-valyl-(3R)-3-hydroxy-N-methyl-L-leucyl-(2S)-2-aminobutanoyl-N-methyl-D-seryl-N-methyl-L-leucyl-L-valyl-N-methyl-L-leucyl-N6-[[4-[(2S,3R)-3-hydroxy-4-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-2-[[[[(3S)-tetrahydro-3-furanyl]oxy]carbonyl]amino]butyl]phenoxy]acetyl]-L-lysyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.

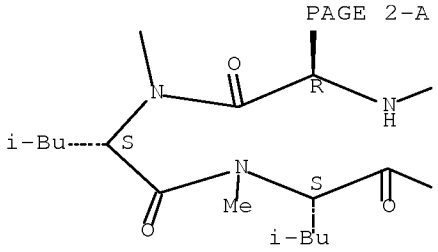


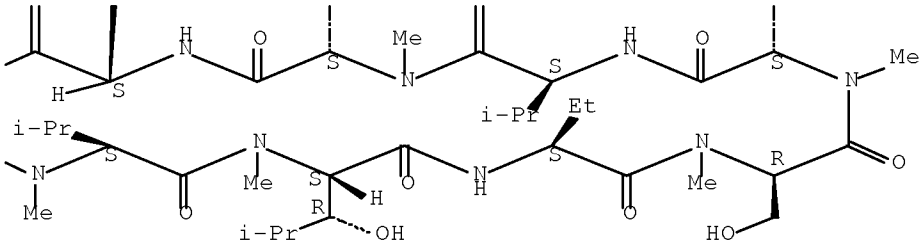
PAGE 1-B



PAGE 1-C

PAGE 2-A





REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:799692 CAPLUS Full-text
DOCUMENT NUMBER: 130:38712
TITLE: Preparation of α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA
SOURCE: U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 934,984, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5843946 | A | 19981201 | US 1993-110911 | 19930824 |
| EP 810209 | A2 | 19971203 | EP 1997-113434 | 19930824 |
| EP 810209 | A3 | 19981202 | | |
| EP 810209 | B1 | 20020605 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| AT 172717 | T | 19981115 | AT 1993-923714 | 19930824 |
| ES 2123065 | T3 | 19990101 | ES 1993-923714 | 19930824 |
| AT 218541 | T | 20020615 | AT 1997-113434 | 19930824 |
| PT 810209 | T | 20020930 | PT 1997-113434 | 19930824 |
| ES 2177868 | T3 | 20021216 | ES 1997-113434 | 19930824 |
| WO 9506030 | A1 | 19950302 | WO 1994-US9139 | 19940823 |
| W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN | | | | |
| RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9476697 | A | 19950321 | AU 1994-76697 | 19940823 |
| EP 715618 | A1 | 19960612 | EP 1994-927162 | 19940823 |
| EP 715618 | B1 | 19981216 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| AT 174587 | T | 19990115 | AT 1994-927162 | 19940823 |
| ES 2127938 | T3 | 19990501 | ES 1994-927162 | 19940823 |
| FI 9500650 | A | 19950214 | FI 1995-650 | 19950214 |

| | | | | | |
|---|---|---|---|---|---|
| FI 112471 | B1 | 20031215 | | | |
| US 5786483 | A | 19980728 | US 1995-487662 | | 19950607 |
| US 5830897 | A | 19981103 | US 1995-473698 | | 19950607 |
| US 6172082 | B1 | 20010109 | US 1995-476788 | | 19950607 |
| US 5744481 | A | 19980428 | US 1997-845392 | | 19970425 |
| US 6248775 | B1 | 20010619 | US 1999-288080 | | 19990408 |
| US 6335460 | B1 | 20020101 | US 2000-510189 | | 20000222 |
| US 6472407 | B1 | 20021029 | US 2000-511005 | | 20000222 |
| US 6534493 | B1 | 20030318 | US 2000-694785 | | 20001024 |
| US 20020052399 | A1 | 20020502 | US 2001-798255 | | 20010305 |
| US 6417387 | B2 | 20020709 | | | |
| US 20030191319 | A1 | 20031009 | US 2002-157019 | | 20020530 |
| US 6646010 | B2 | 20031111 | | | |
| US 6924286 | B1 | 20050802 | US 2003-633376 | | 20030804 |
| PRIORITY APPLN. INFO.: | | | US 1992-934984 | B2 | 19920825 |
| | | | EP 1993-923714 | A3 | 19930824 |
| | | | US 1993-110911 | A | 19930824 |
| | | | WO 1993-US7814 | A2 | 19930824 |
| | | | US 1994-204827 | A | 19940302 |
| | | | US 1994-294468 | A1 | 19940823 |
| | | | WO 1994-US9139 | W | 19940823 |
| | | | US 1995-476788 | A1 | 19950607 |
| | | | US 1995-485524 | B1 | 19950607 |
| | | | US 1999-288080 | A1 | 19990408 |
| | | | US 2001-798255 | A1 | 20010305 |
| | | | US 2002-157019 | A1 | 20020530 |

OTHER SOURCE(S): MARPAT 130:38712

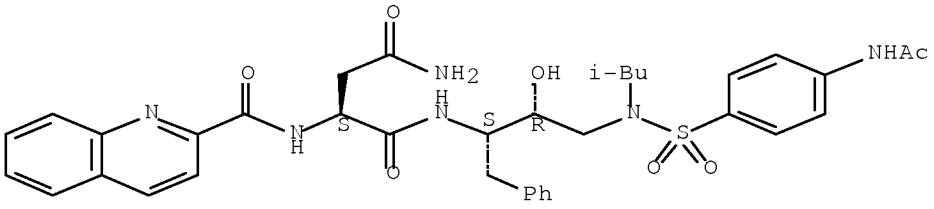
AB Amino acid hydroxyethylamino sulfonamide compds. P1NHCHR2CH(OH)CH2NR3SO2R4 [P1 = alkoxycarbonyl, aralkoxycarbonyl, alkanoyl, cycloalkylcarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkanoyl, aralkanoyl, aroyl, aryloxycarbonyl, heterocyclylcarbonyl, heterocyclyloxycarbonyl, heterocyclylalkoxycarbonyl, heteroaralkoxycarbonyl, heteroaryloxycarbonyl, heteroaroyl; R2 = alkyl, aryl, cycloalkyl, cycloalkylalkyl, (un)substituted aralkyl; R3 = H, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heteroaryl, heterocyclylalkyl, aryl, aralkyl, heteroaralkyl; R4 = alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl] were preparation as retroviral protease inhibitors. Thus, N-[2R-hydroxy-3-[[(4-methoxyphenyl)sulfonyl](2-methylpropyl)amino]-1S- (phenylmethyl)propyl]-4-pyridinecarboxamide was prepared by amidation of isonicotinoyl chloride hydrochloride with 2R-hydroxy-3-[(2-methylpropyl)[(4-methoxyphenyl)sulfonyl]amino]-1S- (phenylmethyl)propylamine. Protease inhibitory data are tabulated.

IT 160230-21-7 247047-35-4 1097219-33-4
1097221-24-3 1097221-30-1
RL: PRPH (Prophetic)
(Preparation of α- and β-amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)

RN 160230-21-7 CAPLUS

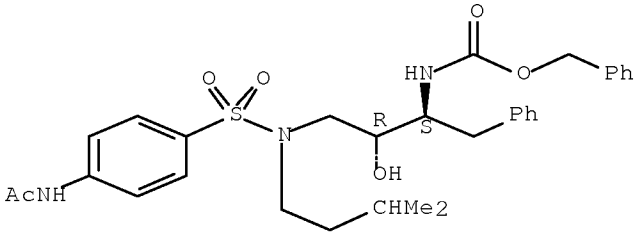
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



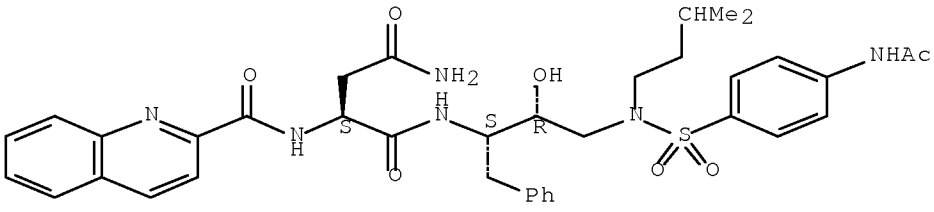
RN 247047-35-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



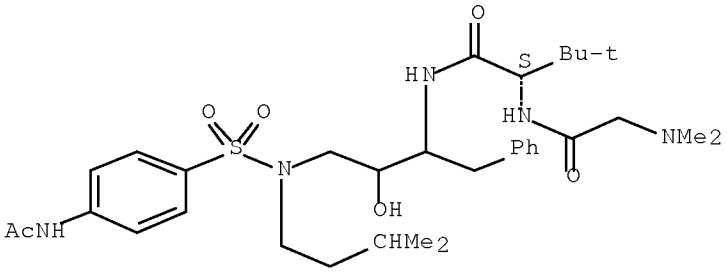
RN 1097219-33-4 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](3-methylbutyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



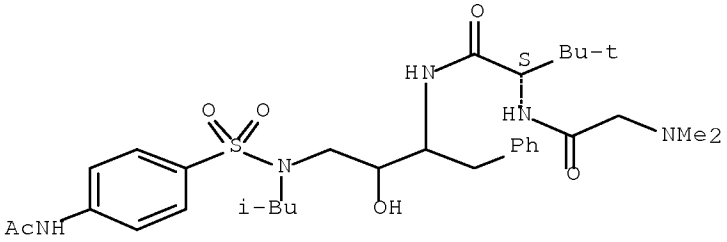
RN 1097221-24-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



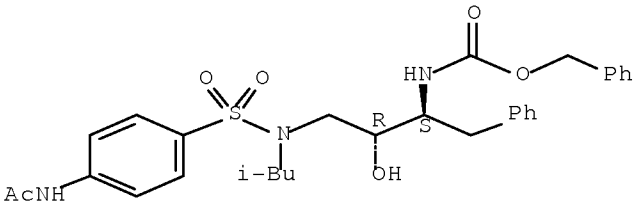

RN 1097221-30-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.




IT 157567-04-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid hydroxyethylamino sulfonamides useful as retroviral protease inhibitors)
RN 157567-04-9 CAPLUS
CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

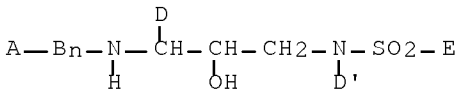
ACCESSION NUMBER: 1998:502547 CAPLUS Full-text
DOCUMENT NUMBER: 129:136097
ORIGINAL REFERENCE NO.: 129:27828h,27829a
TITLE: Preparation of heterocyclic sulfonamide inhibitors of aspartyl protease
INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
SOURCE: U.S., 87 pp., Cont.-in-part of U.S. 5,585,397.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5783701 | A | 19980721 | US 1995-393460 | 19950223 |
| EP 885887 | A2 | 19981223 | EP 1998-113921 | 19930907 |
| EP 885887 | A3 | 19990203 | | |
| EP 885887 | B1 | 20030528 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| US 5585397 | A | 19961217 | US 1993-142327 | 19931124 |
| US 5723490 | A | 19980303 | US 1995-424819 | 19950419 |
| US 5977137 | A | 19991102 | US 1998-115394 | 19980714 |
| US 6392046 | B1 | 20020521 | US 1999-409808 | 19990930 |
| US 20030064977 | A1 | 20030403 | US 2002-94763 | 20020308 |
| US 6720335 | B2 | 20040413 | | |
| US 20040167116 | A1 | 20040826 | US 2004-786997 | 20040224 |
| US 7321063 | B2 | 20080122 | | |
| US 20080293727 | A1 | 20081127 | US 2007-1993 | 20071212 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1992-941982 | B2 | 19920908 |
| US 1993-142327 | A2 | 19931124 |
| EP 1993-921428 | A3 | 19930907 |
| WO 1993-US8458 | W | 19930907 |
| US 1995-393460 | B2 | 19950223 |
| US 1998-115394 | A3 | 19980714 |
| US 1999-409808 | A3 | 19990930 |
| US 2002-94763 | A1 | 20020308 |
| US 2004-786997 | A1 | 20040224 |

OTHER SOURCE(S): MARPAT 129:136097
GI



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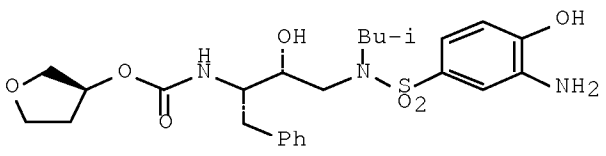


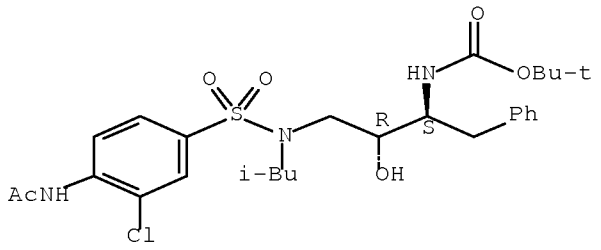
II

AB The title compds. I [A = H, -Ht, -R1Ht, (un)substituted -R1-alk(en)yl; R1 = CO, SO2, COCO, OCO, OSO2, NR2SO2, NR2CO, NR2COCO; Ht = (un)substituted cycloalk(en)yl, aryl, (benzo)heterocyclyl; R2 = H, alkyl, -alkyl-R7; B = NR2C(R3)2CO; n = 0, 1; R3 = (un)substituted alk(en)yl or cycloalk(en)yl; n = 1, 2; D, D' = R7, (un)substituted alk(en)yl or cycloalk(en)yl; R7 = (un)substituted Ph, carbocyclyl, or heterocyclyl; E = Ht, -O-Ht, -Ht-Ht, OR3, NR2R3, (un)substituted alk(en)yl or carbocyclyl; R4 = OR2, CONHR2, SO2NHR2, halo, NR2COR2, cyano] are prepared as inhibitors of HIV aspartyl protease. The invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity. The invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the invention compds., and to methods for screening compds. for anti-HIV activity. Prepns. of almost 200 compds. are described, and some of these plus addnl. compds. are claimed. Some of the compds., e.g., II, inhibit HIV replication (IC90) in CCRM-CEM cells in vitro at concns. of ≤ 100 nM.

IT 160232-32-6P 160232-65-5P 160232-75-7P
160232-95-1P 160233-13-6P 186463-25-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of heterocyclic sulfonamide derivs. as inhibitors of HIV aspartyl protease)

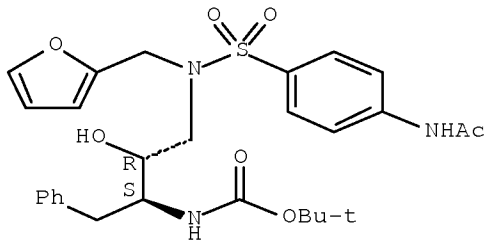
RN 160232-32-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



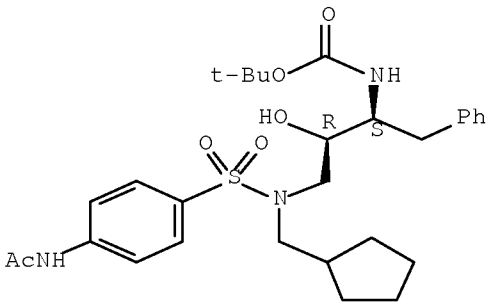

RN 160232-65-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



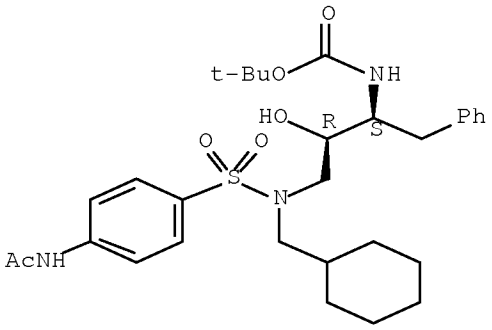
RN 160232-75-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



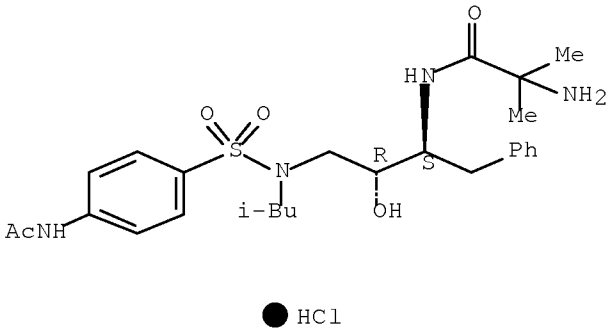

RN 160232-95-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



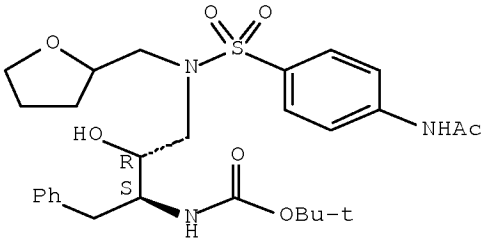

RN 160233-13-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-amino-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 186463-25-2 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

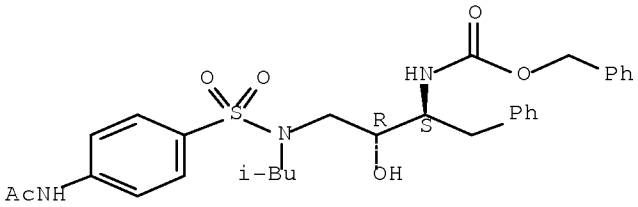



IT 157567-04-9P 160230-19-3P 160230-21-7P
160230-27-3P 160230-29-5P 160230-36-4P
160230-37-5P 160230-38-6P 160230-39-7P
160230-40-0P 160230-43-3P 160230-45-5P
160230-47-7P 160230-48-8P 160230-49-9P
160230-50-2P 160230-51-3P 160230-52-4P
160230-56-8P 160230-60-4P 160230-72-8P
160230-88-6P 160230-94-4P 160230-98-8P
160231-02-7P 160231-03-8P 160231-06-1P
160231-12-9P 160231-22-1P 160231-27-6P
160231-30-1P 160231-33-4P 160231-36-7P
160231-39-0P 160231-49-2P 160231-51-6P
160231-58-3P 160231-63-0P 160231-66-3P
160231-91-4P 160231-92-5P 160231-96-9P
160333-41-5P 160333-42-6P 160333-44-8P
186463-15-0P 186463-19-4P 210537-81-8P
210537-82-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic sulfonamide derivs. as inhibitors of HIV aspartyl protease)

RN 157567-04-9 CAPLUS
CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester

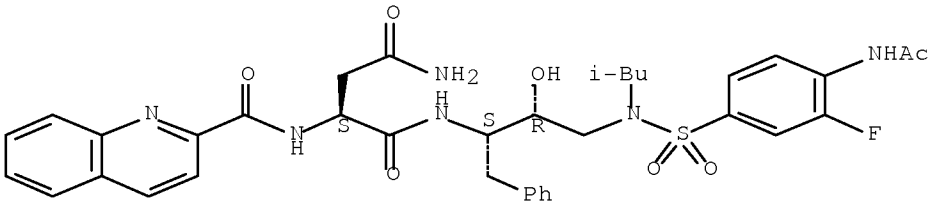
(CA INDEX NAME)

Absolute stereochemistry.



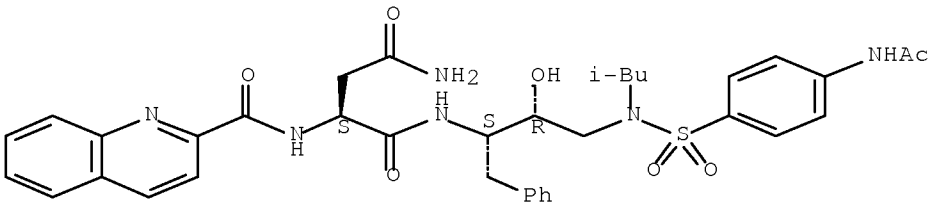
RN 160230-19-3 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-21-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

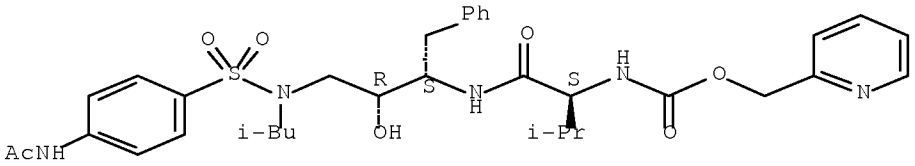


RN 160230-27-3 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

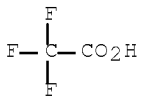
CRN 160230-26-2
CMF C34 H45 N5 O7 S

Absolute stereochemistry.




CM 2

CRN 76-05-1
CMF C2 H F3 O2

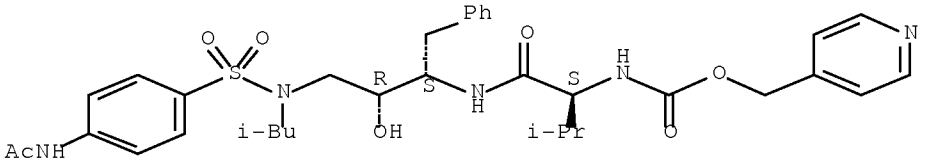



RN 160230-29-5 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 4-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

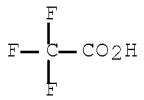
CRN 160230-28-4
CMF C34 H45 N5 O7 S

Absolute stereochemistry.



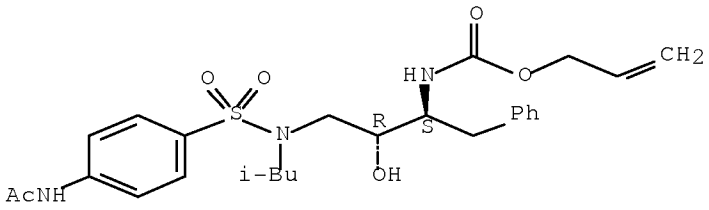

CM 2

CRN 76-05-1
CMF C2 H F3 O2



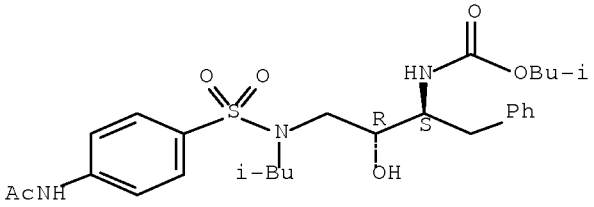
RN 160230-36-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



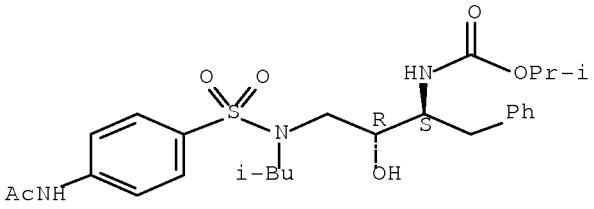
RN 160230-37-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



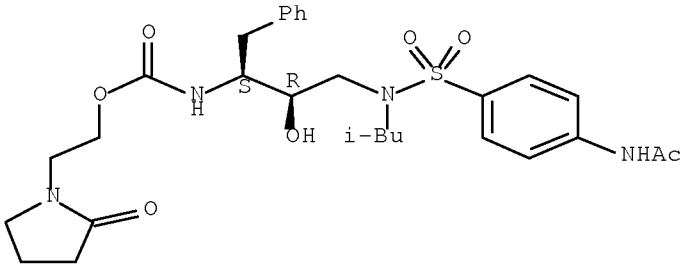
RN 160230-38-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



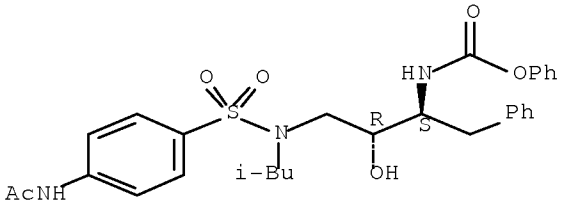

RN 160230-39-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-(2-oxo-1-pyrrolidinyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



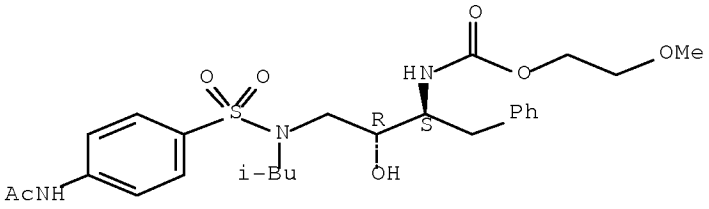

RN 160230-40-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



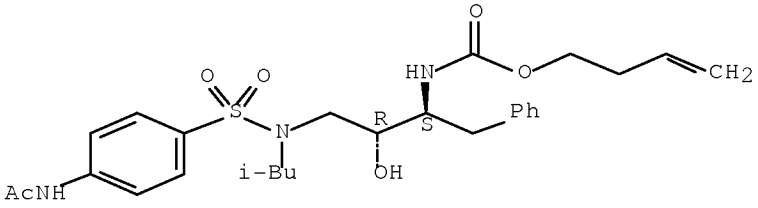

RN 160230-43-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



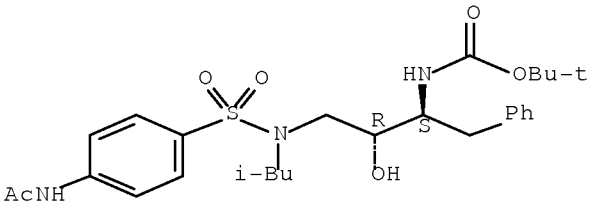

RN 160230-45-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-butenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



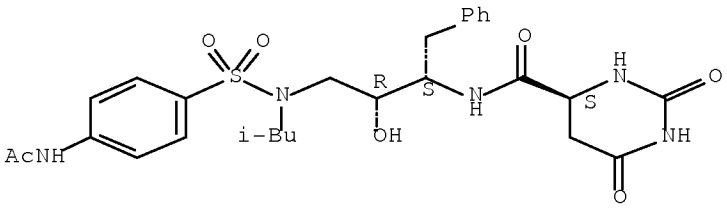

RN 160230-47-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



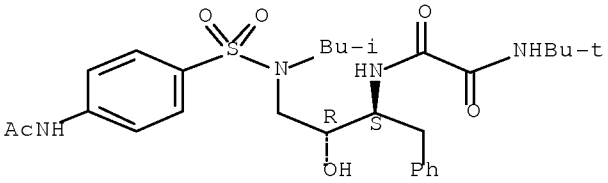

RN 160230-48-8 CAPLUS
CN 4-Pyrimidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]hexahydro-2,6-dioxo-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



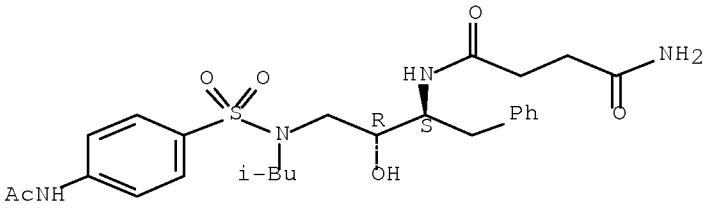
RN 160230-49-9 CAPLUS
CN Ethanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



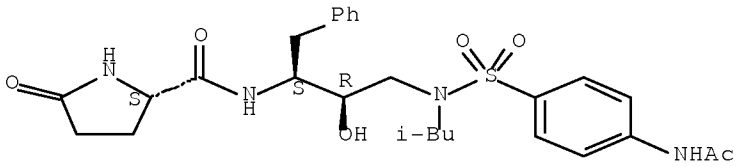
RN 160230-50-2 CAPLUS
CN Butanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



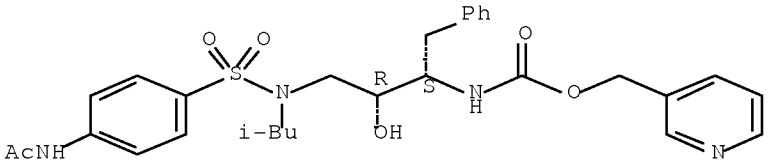
RN 160230-51-3 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-oxo-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



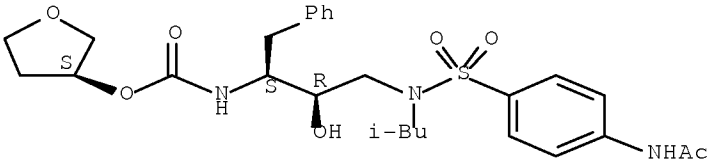

RN 160230-52-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



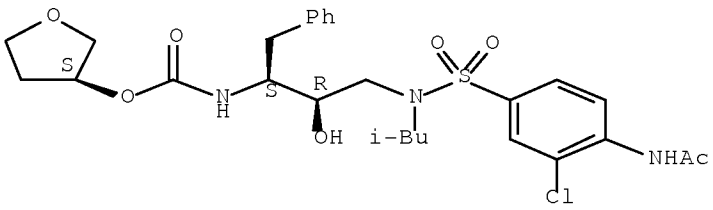

RN 160230-56-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



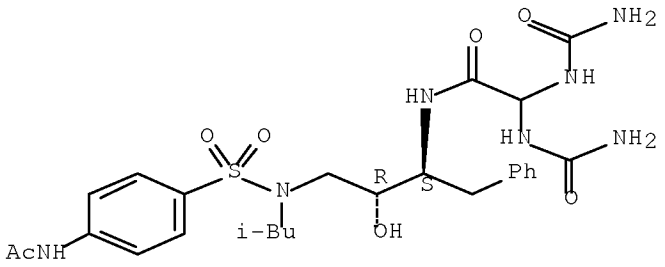

RN 160230-60-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



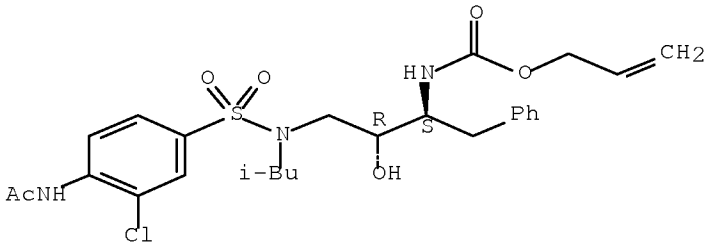
RN 160230-72-8 CAPLUS
CN Acetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2-bis[(aminocarbonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



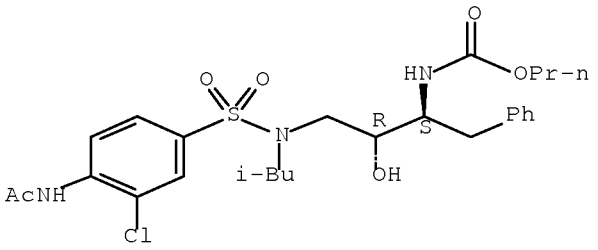
RN 160230-88-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



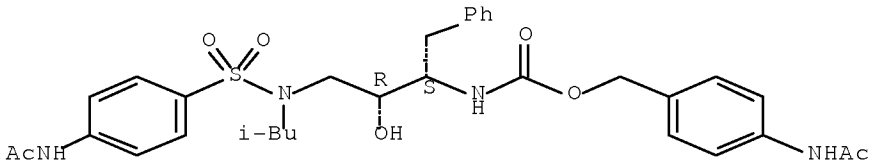
RN 160230-94-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



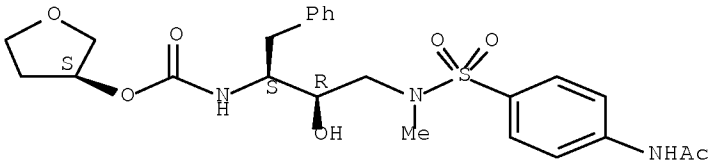

RN 160230-98-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [4-(acetylamino)phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



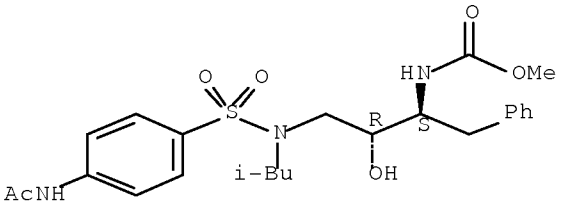

RN 160231-02-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



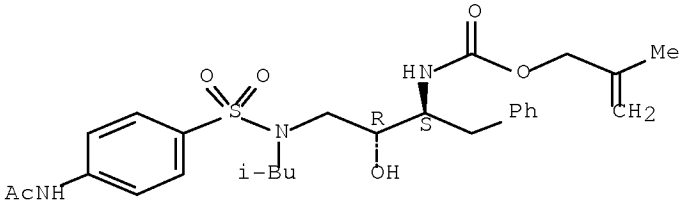

RN 160231-03-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



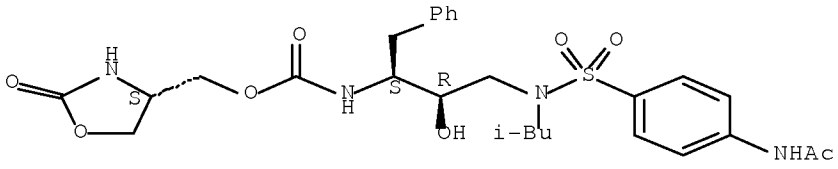

RN 160231-06-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



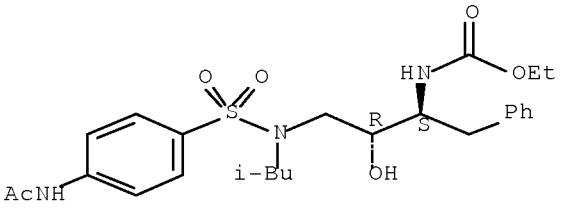

RN 160231-12-9 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [(4S)-2-oxo-4-oxazolidinyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



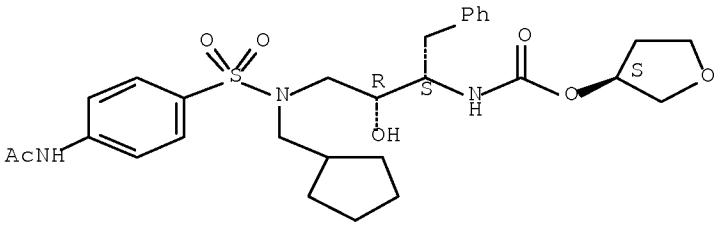

RN 160231-22-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



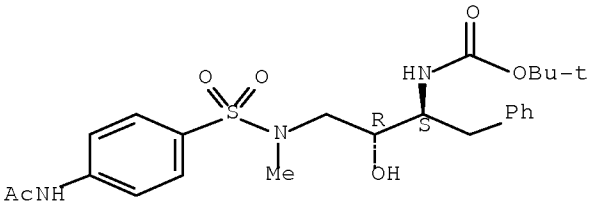

RN 160231-27-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



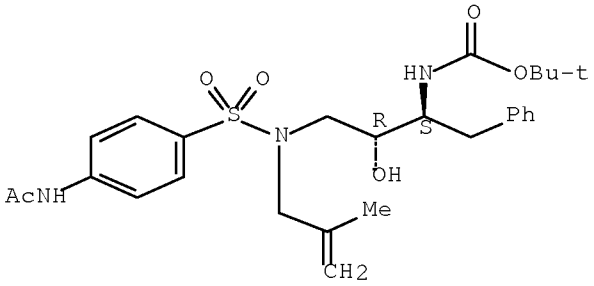

RN 160231-30-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



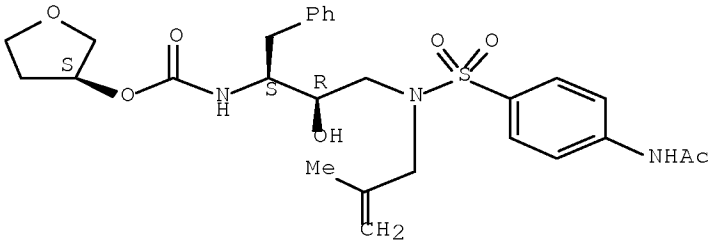

RN 160231-33-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



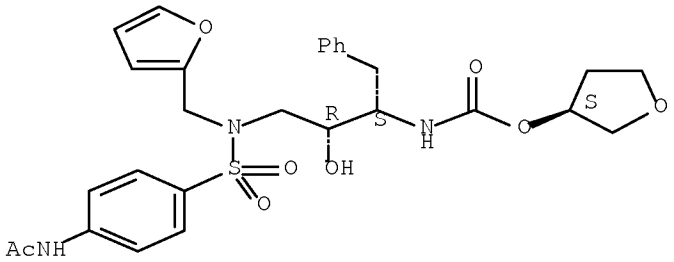

RN 160231-36-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



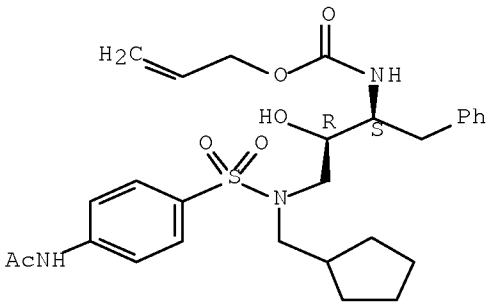

RN 160231-39-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 160231-49-2 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

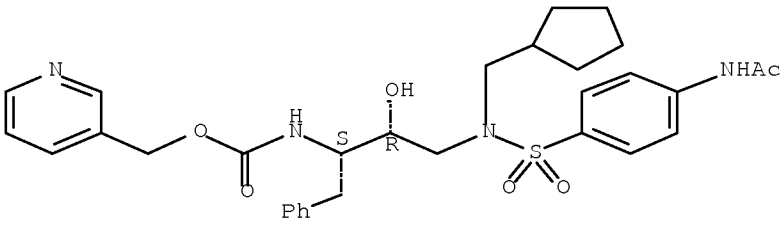


RN 160231-51-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

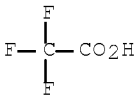
CRN 160231-50-5
CMF C31 H38 N4 O6 S

Absolute stereochemistry.



CM 2

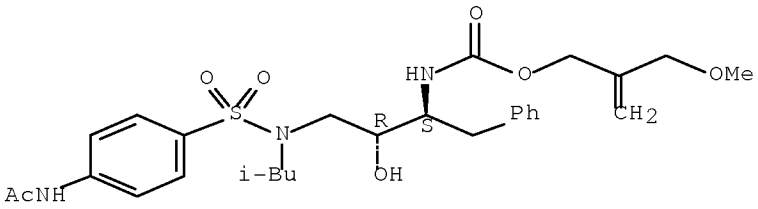
CRN 76-05-1
CMF C2 H F3 O2



RN 160231-58-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-

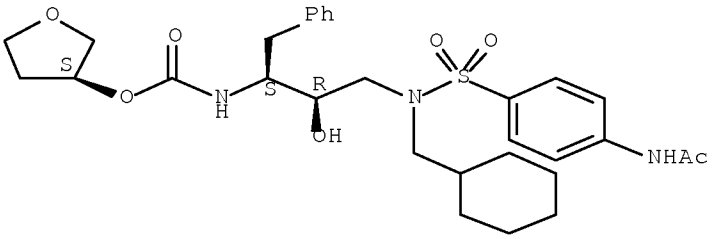
methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-,
2-(methoxymethyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



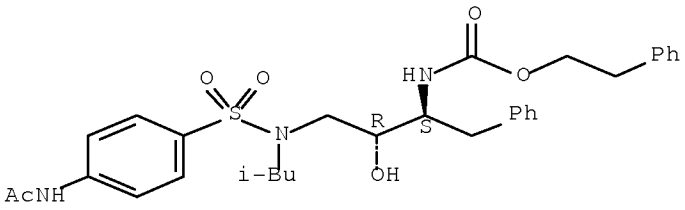

RN 160231-63-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-
(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-
(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX
NAME)

Absolute stereochemistry.



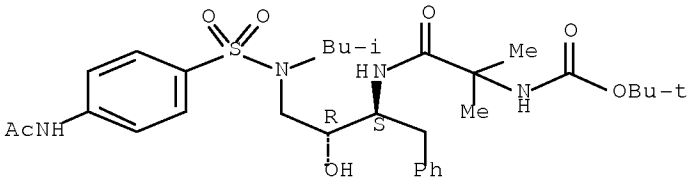

RN 160231-66-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-
methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-phenylethyl
ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



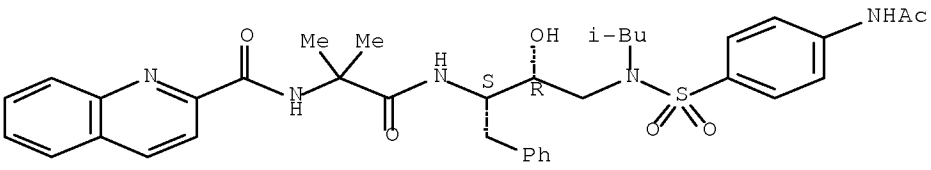

RN 160231-91-4 CAPLUS
CN Carbamic acid, [2-[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-
methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-
oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



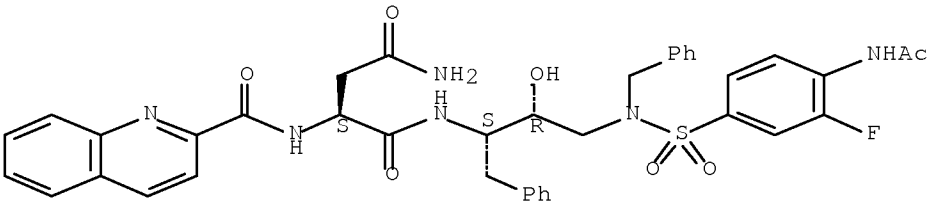
RN 160231-92-5 CAPLUS
CN 2-Quinolinecarboxamide, N-[2-[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



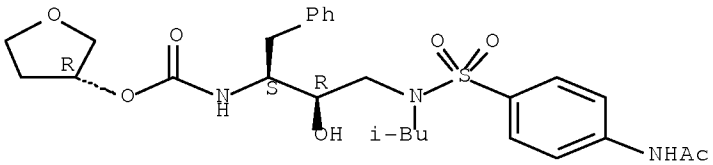
RN 160231-96-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



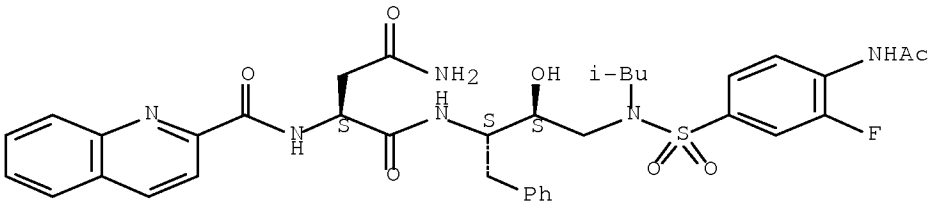
RN 160333-41-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



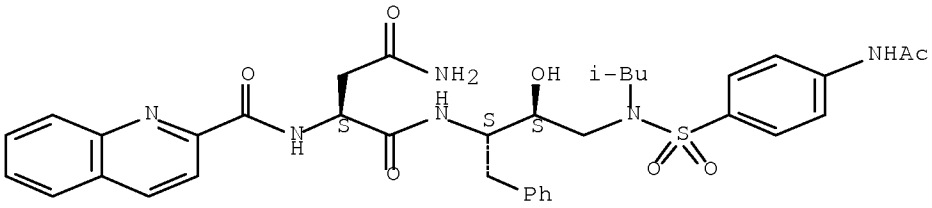
RN 160333-42-6 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



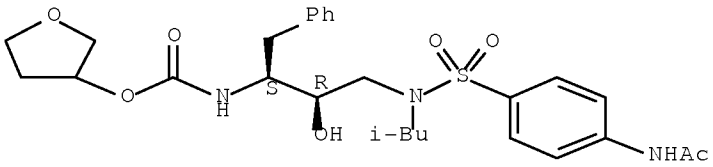
RN 160333-44-8 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



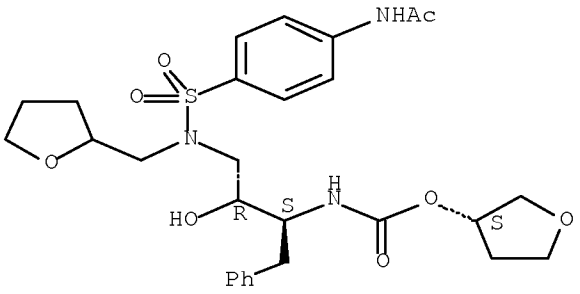
RN 186463-15-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



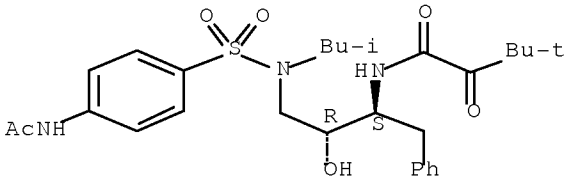
RN 186463-19-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



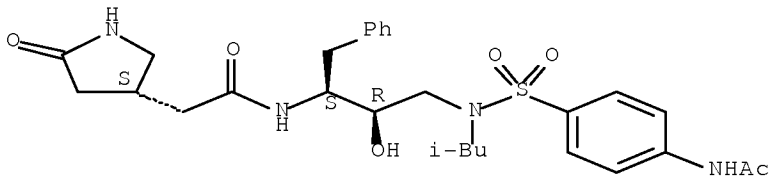
RN 210537-81-8 CAPLUS
CN Butanamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-3,3-dimethyl-2-oxo- (CA INDEX NAME)

Absolute stereochemistry.



RN 210537-82-9 CAPLUS
CN 3-Pyrrolidineacetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

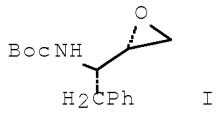
L3 ANSWER 28 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:157421 CAPLUS Full-text
DOCUMENT NUMBER: 128:204795
ORIGINAL REFERENCE NO.: 128:40503a
TITLE: Preparation of THF-containing sulfonamides as inhibitors of aspartyl protease
INVENTOR(S): Tung, Roger D.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: U.S., 30 pp., Cont.-in-part of U.S. Ser. No. 393,460, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5723490 | A | 19980303 | US 1995-424819 | 19950419 |
| EP 885887 | A2 | 19981223 | EP 1998-113921 | 19930907 |
| EP 885887 | A3 | 19990203 | | |
| EP 885887 | B1 | 20030528 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| US 5585397 | A | 19961217 | US 1993-142327 | 19931124 |
| US 5783701 | A | 19980721 | US 1995-393460 | 19950223 |
| CA 2217737 | A1 | 19961024 | CA 1996-2217737 | 19960418 |
| WO 9633184 | A1 | 19961024 | WO 1996-US5475 | 19960418 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML | | | | |
| AU 9655596 | A | 19961107 | AU 1996-55596 | 19960418 |
| AU 706732 | B2 | 19990624 | | |
| CN 1181755 | A | 19980513 | CN 1996-193364 | 19960418 |
| EP 846110 | A1 | 19980610 | EP 1996-912942 | 19960418 |
| EP 846110 | B1 | 20020828 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI | | | | |
| JP 10509739 | T | 19980922 | JP 1996-531954 | 19960418 |
| JP 3046357 | B2 | 20000529 | | |
| BR 9608032 | A | 19990112 | BR 1996-8032 | 19960418 |
| HU 9801877 | A2 | 19990928 | HU 1998-1877 | 19960418 |
| HU 9801877 | A3 | 20010228 | | |
| HU 224027 | B1 | 20050530 | | |

| | | | | | |
|---|---|---|---|---|---|
| NZ 306903 | A | 20000228 | NZ 1996-306903 | | 19960418 |
| AP 950 | A | 20010328 | AP 1997-1119 | | 19960418 |
| W: LS, MW, KE, UG, SD, SZ | | | | | |
| AT 222761 | T | 20020915 | AT 1996-912942 | | 19960418 |
| CZ 291054 | B6 | 20021211 | CZ 1997-3293 | | 19960418 |
| PT 846110 | T | 20021231 | PT 1996-912942 | | 19960418 |
| ES 2181882 | T3 | 20030301 | ES 1996-912942 | | 19960418 |
| EE 4307 | B1 | 20040615 | EE 1997-266 | | 19960418 |
| RO 119302 | B1 | 20040730 | RO 1997-1926 | | 19960418 |
| SK 284785 | B6 | 20051103 | SK 1997-1431 | | 19960418 |
| PL 195368 | B1 | 20070928 | PL 1996-322877 | | 19960418 |
| NO 9704722 | A | 19971013 | NO 1997-4722 | | 19971013 |
| NO 317734 | B1 | 20041213 | | | |
| BG 63677 | B1 | 20020930 | BG 1997-102048 | | 19971117 |
| PRIORITY APPLN. INFO.: | | | US 1992-941982 | B2 | 19920908 |
| | | | US 1993-142327 | A2 | 19931124 |
| | | | US 1995-393460 | B2 | 19950223 |
| | | | EP 1993-921428 | A3 | 19930907 |
| | | | WO 1993-US8458 | W | 19930907 |
| | | | US 1995-424819 | A | 19950419 |
| | | | WO 1996-US5475 | W | 19960418 |

OTHER SOURCE(S): MARPAT 128:204795

GI




I

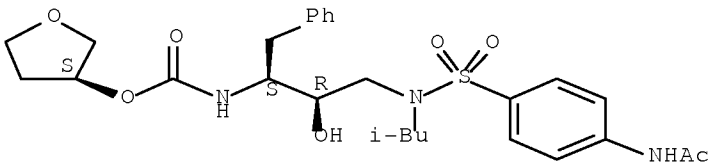
AB THF-containing sulfonamides (THF)R1NHCHDCH(OH)CH2ND'SO2E [I, R1 = CO, SO2, COCO, etc.; D, D' = aryl, carbocyclyl, heterocyclyl, alkyl, alkenyl; E = alkenyl, Het, O(Het), (Het)(Het), etc. with Het = carbocyclyl, aryl, heterocyclyl], which are aspartyl protease inhibitors, were prepared. E.g., epoxide II was treated with isobutylamine, 4-FC6H4SO2Cl, then deprotected and treated with N-succinimidyl-(S)-3-tetrahydrofuranyl carbonate to give a THF-containing sulfonamide. I are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as anti-viral agents against the HIV-1 and HIV-2 viruses.

IT 160230-56-8P 160230-60-4P 160231-02-7P
160231-27-6P 160231-36-7P 160231-39-0P
160231-63-0P 160333-41-5P 186463-15-0P
186463-19-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of THF-containing sulfonamides as inhibitors of aspartyl protease)

RN 160230-56-8 CAPLUS

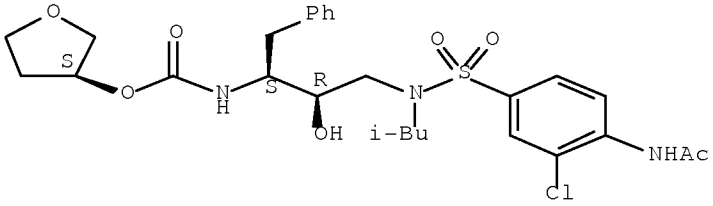
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



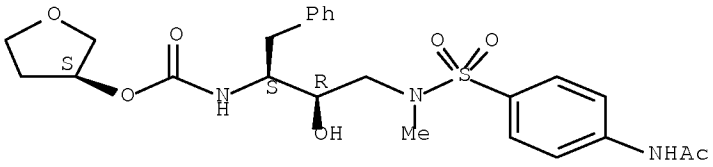
RN 160230-60-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



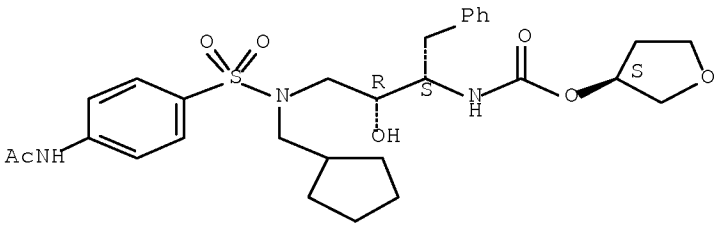
RN 160231-02-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



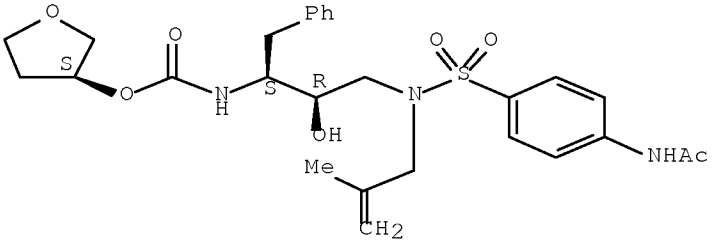
RN 160231-27-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



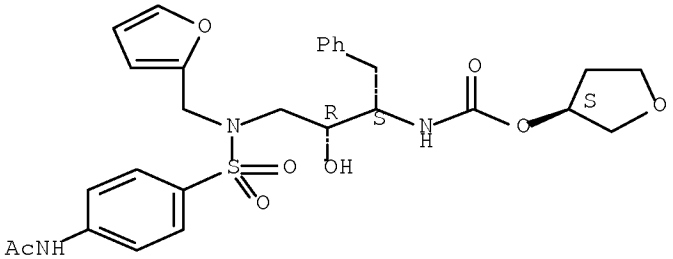
RN 160231-36-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



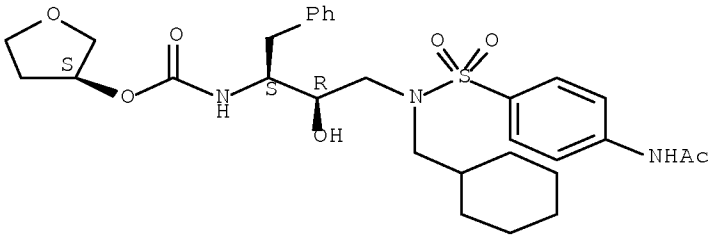
RN 160231-39-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



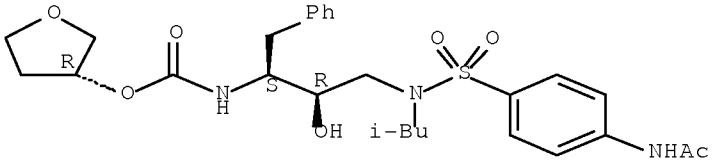
RN 160231-63-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



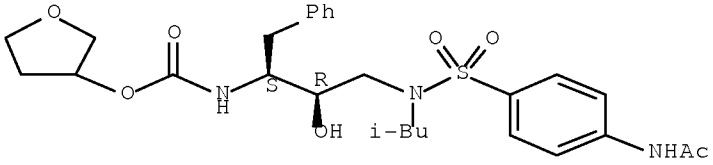
RN 160333-41-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



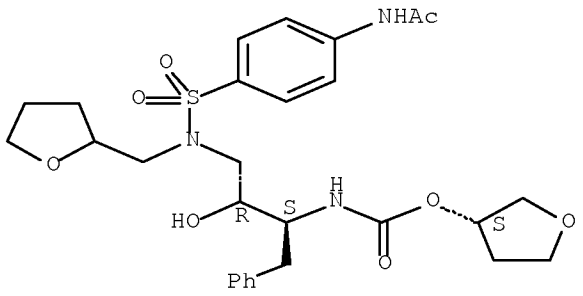
RN 186463-15-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



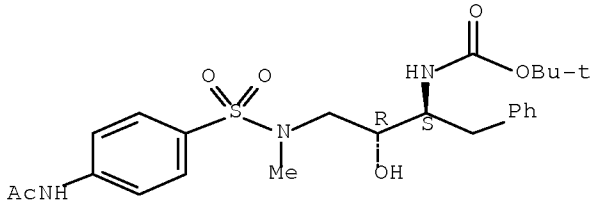
RN 186463-19-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



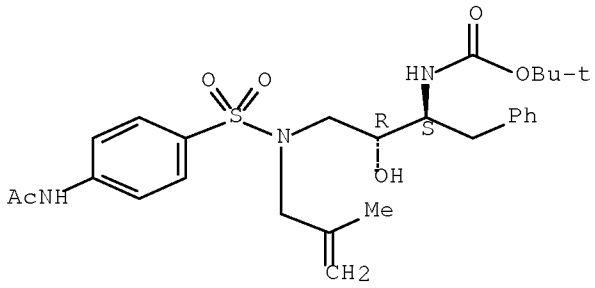

IT 160231-30-1P 160231-33-4P 203851-92-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of THF-containing sulfonamides as inhibitors of aspartyl protease)
RN 160231-30-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



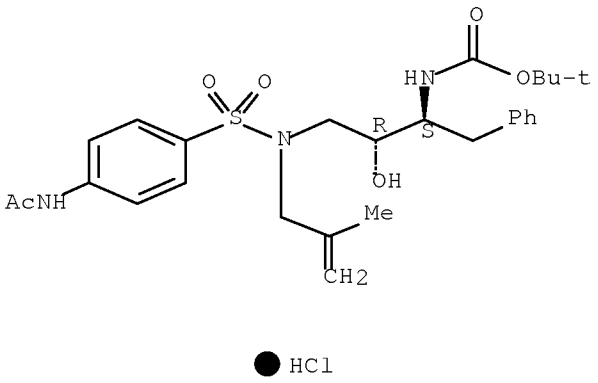

RN 160231-33-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 203851-92-7 CAPLUS
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

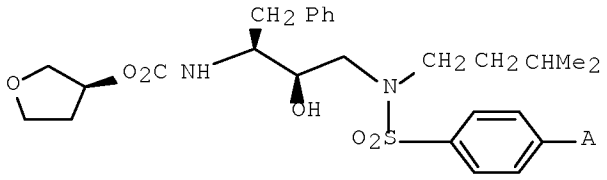



REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

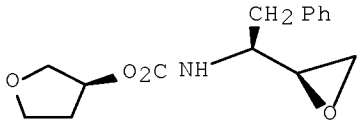
L3 ANSWER 29 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:399636 CAPLUS Full-text
DOCUMENT NUMBER: 127:34116
ORIGINAL REFERENCE NO.: 127:6575a,6578a
TITLE: Preparation of optically active tetrahydrofuryl carbamates as HIV protease inhibitors
INVENTOR(S): Kamijo, Tetsukiyo; Yamaguchi, Toshiaki; Yanagi, Takashi; Tsuchiya, Ikuo; Takeuchi, Hideki
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09124630 | A | 19970513 | JP 1995-314611 | 19951026 |

PRIORITY APPLN. INFO.: JP 1995-314611 19951026
OTHER SOURCE(S): CASREACT 127:34116; MARPAT 127:34116
GI



I



II

AB The title compds. I [A = NO2, (protected) NH2], useful as HIV protease inhibitors for treatment of AIDS (no data), are prepared by treatment of optically active epoxy compound II with 4-AC6H4SO2NHCH2CHMe2 (III: A = same as above) in the presence of bases. II (70 mg) was refluxed with 57 mg III (A = NH2) and Et3N in THF for 20 h to give 33 mg I (A = NH2).

IT 160230-56-8P 190444-94-1P

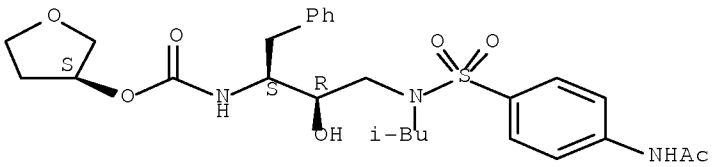
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of optically active tetrahydrofuryl carbamates as HIV protease inhibitors for treatment of AIDS)

RN 160230-56-8 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

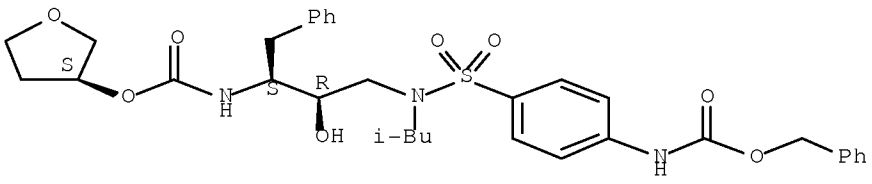
Absolute stereochemistry.



RN 190444-94-1 CAPLUS

CN Carbamic acid, [(1S,2R)-2-hydroxy-3-[(2-methylpropyl)[[4-[[(phenylmethoxy)carbonyl]amino]phenyl]sulfonyl]amino]-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

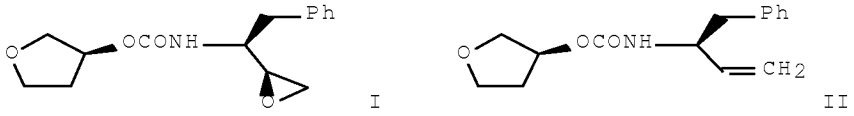
Absolute stereochemistry.



L3 ANSWER 30 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:344267 CAPLUS Full-text
DOCUMENT NUMBER: 127:17583
ORIGINAL REFERENCE NO.: 127:3553a,3556a
TITLE: Preparation of optically-active epoxybutane derivative as intermediate for HIV protease inhibitor
INVENTOR(S): Kamijo, Tetsukiyo; Yamaguchi, Toshiaki; Yanagi, Takashi; Tsuchiya, Ikuo; Takeuchi, Hideki
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09071584 | A | 19970318 | JP 1995-267597 | 19950907 |

PRIORITY APPLN. INFO.: JP 1995-267597 19950907
GI

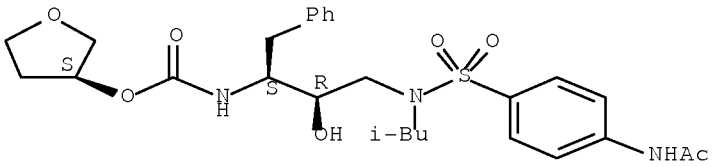



AB The title derivative I, useful as an intermediate for a HIV protease inhibitor, is prepared by treatment of vinyl compound II with a brominating agent, followed by alkali treatment. Treatment of 27 mg II with N-bromosuccinimide in THF/H2O at room temperature for 2 h gave 19 mg bromohydrin, which in THF was treated with an aqueous NaOH solution for 30 min to give 13 mg I.

IT 160230-56-8P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of optically-active epoxybutane derivative as intermediate for benzenesulfonamide derivative as HIV protease inhibitor)

RN 160230-56-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L3 ANSWER 31 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:231106 CAPLUS Full-text
DOCUMENT NUMBER: 127:17575
ORIGINAL REFERENCE NO.: 127:3552h,3553a
TITLE: Preparation of carbamic acid tetrahydrofuryl ester derivative as HIV protease inhibitor
INVENTOR(S): Kamijo, Tetsukiyo; Yamaguchi, Toshiaki; Yanagi, Takashi; Tsuchiya, Ikuo; Takeuchi, Hideki
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09071574 | A | 19970318 | JP 1995-267598 | 19950907 |
| PRIORITY APPLN. INFO.: | | | JP 1995-267598 | 19950907 |

GI

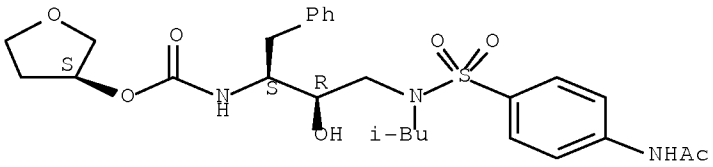
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compound (I) was prepared by hydrolysis of appropriate amide (II) using concentrate HCl in EtOH at 80° for 4 h. I, possessing HIV protease inhibitory, is useful for prevention and treatment of AIDS (no data).

IT 160230-56-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of carbamic acid tetrahydrofuryl ester derivative as HIV protease inhibitor)

RN 160230-56-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

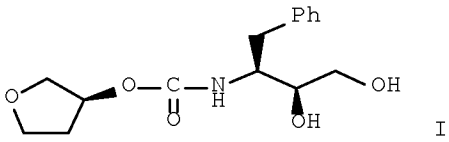
Absolute stereochemistry.



L3 ANSWER 32 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:220680 CAPLUS Full-text
DOCUMENT NUMBER: 127:17577
ORIGINAL REFERENCE NO.: 127:3553a,3556a
TITLE: Process for preparation of optical active phenylbutyl sulfonate derivatives
INVENTOR(S): Kamijo, Tetsukiyo; Yamaguchi, Toshiaki; Yanagi, Takashi; Tsuchiya, Ikuo; Takeuchi, Hideki
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09071572 | A | 19970318 | JP 1995-267595 | 19950907 |

PRIORITY APPLN. INFO.: JP 1995-267595 19950907
OTHER SOURCE(S): CASREACT 127:17577; MARPAT 127:17577
GI



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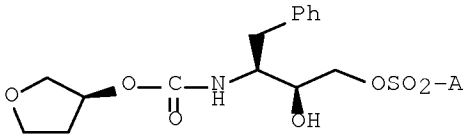
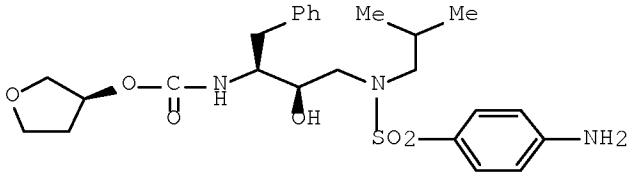


II



III

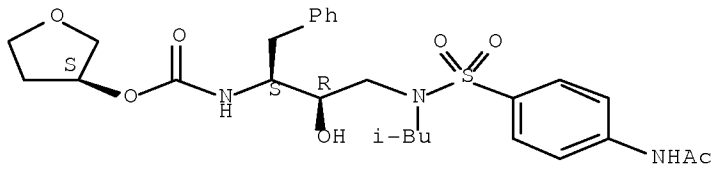
AB Diol derivative (I) is reacted with ASO3H (A = lower alkyl, substituted Ph) to give the title compound II (A = same as above). II are useful as intermediates in the production of HIV protease inhibitor (III).

IT 187946-06-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(process for preparation of optical active phenylbutyl sulfonate derivs.)

RN 187946-06-1 CAPLUS

CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3R*(1R*,2S*)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.




L3 ANSWER 33 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:215831 CAPLUS Full-text

DOCUMENT NUMBER: 127:17576

ORIGINAL REFERENCE NO.: 127:3553a

TITLE: Preparation of optical active phenylbutanol derivatives by addition of aldehydes with nitromethane

INVENTOR(S): Kamijo, Tetsukiyo; Yamaguchi, Toshiaki; Yanagi, Takashi; Tsuchiya, Ikuo; Takeuchi, Hideki

PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

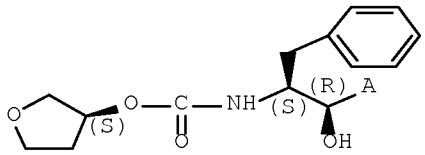
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 09071573 | A | 19970318 | JP 1995-267596 | 19950907 |

PRIORITY APPLN. INFO.: JP 1995-267596 19950907

OTHER SOURCE(S): CASREACT 127:17576

GI



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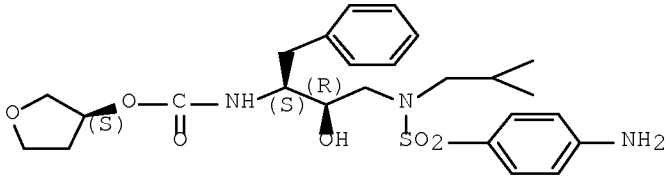


II

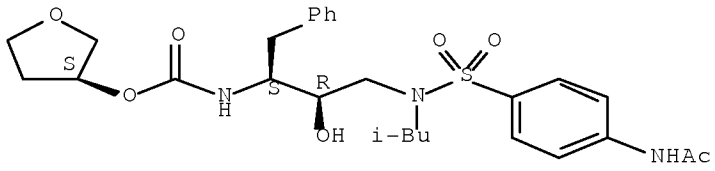
AB Aldehyde (I; A = CHO) is added with MeNO2 over asym. catalysts to give the title compound I (A = CH2B, B = NO2), which is further reduced to give amine derivative I (A = CH2B, B = NH2) (II). II are useful as intermediates in the production of HIV protease inhibitor (III).

IT 187946-06-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of optical active phenylbutanol derivs. by addition of aldehydes
with nitromethane)

RN 187946-06-1 CAPLUS

CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3R*(1R*,2S*)]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L3 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:9928 CAPLUS Full-text

DOCUMENT NUMBER: 126:144117

ORIGINAL REFERENCE NO.: 126:27857a,27860a

TITLE: Preparation of sulfonamide inhibitors of aspartyl protease

INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda R.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA

SOURCE: U.S., 87 pp., Cont.-in-part of U.S. Ser. No. 941,982,abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent

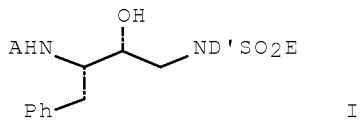
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5585397 | A | 19961217 | US 1993-142327 | 19931124 |
| WO 9405639 | A1 | 19940317 | WO 1993-US8458 | 19930907 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| EP 885887 | A2 | 19981223 | EP 1998-113921 | 19930907 |
| EP 885887 | A3 | 19990203 | | |
| EP 885887 | B1 | 20030528 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE | | | | |
| US 5783701 | A | 19980721 | US 1995-393460 | 19950223 |
| US 5723490 | A | 19980303 | US 1995-424819 | 19950419 |
| US 5856353 | A | 19990105 | US 1995-477937 | 19950607 |
| US 6372778 | B1 | 20020416 | US 1995-484326 | 19950607 |
| US 5977137 | A | 19991102 | US 1998-115394 | 19980714 |
| US 6004957 | A | 19991221 | US 1998-121008 | 19980722 |
| US 6392046 | B1 | 20020521 | US 1999-409808 | 19990930 |
| US 20030064977 | A1 | 20030403 | US 2002-94763 | 20020308 |
| US 6720335 | B2 | 20040413 | | |
| US 20030069222 | A1 | 20030410 | US 2002-94790 | 20020308 |
| US 20040167116 | A1 | 20040826 | US 2004-786997 | 20040224 |
| US 7321063 | B2 | 20080122 | | |
| US 20060189810 | A1 | 20060824 | US 2006-408287 | 20060419 |
| US 20080293727 | A1 | 20081127 | US 2007-1993 | 20071212 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US 1992-941982 | B2 | 19920908 |
| WO 1993-US8458 | W | 19930907 |
| EP 1993-921428 | A3 | 19930907 |
| US 1993-142327 | A2 | 19931124 |
| US 1995-393460 | B2 | 19950223 |
| US 1995-484326 | A3 | 19950607 |
| US 1998-115394 | A3 | 19980714 |
| US 1999-409808 | A3 | 19990930 |
| US 2002-94763 | A1 | 20020308 |
| US 2002-94790 | A3 | 20020308 |
| US 2004-786997 | A1 | 20040224 |

OTHER SOURCE(S): MARPAT 126:144117
GI




I

AB The title compds. I [A = 3-tetrahydrofuryloxycarbonyl; D' = (un)substituted alkyl; E = (un)substituted aryl] are prepared This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well suited for inhibiting HIV-1 and HIV-2 protease activity and consequently, may be advantageously used as

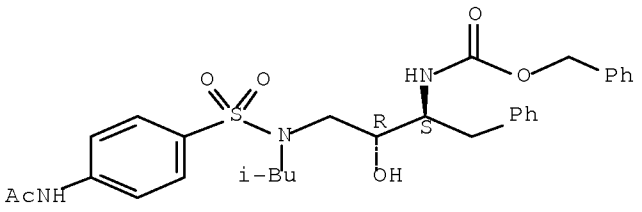
antiviral agents against the HIV-1 and HIV-2 viruses. This invention also relates to methods for inhibiting the activity of HIV aspartyl protease using the compds. of this invention and methods for screening compds. for anti-HIV activity. The title compds. inhibit HIV replication at concentration of ≤ 100 nM.

IT 157567-04-9P 160230-19-3P 160230-21-7P
160230-27-3P 160230-29-5P 160230-36-4P
160230-37-5P 160230-38-6P 160230-39-7P
160230-40-0P 160230-43-3P 160230-45-5P
160230-47-7P 160230-48-8P 160230-49-9P
160230-50-2P 160230-51-3P 160230-52-4P
160230-56-8P 160230-60-4P 160230-72-8P
160230-88-6P 160230-94-4P 160230-98-8P
160231-02-7P 160231-03-8P 160231-06-1P
160231-12-9P 160231-22-1P 160231-27-6P
160231-30-1P 160231-33-4P 160231-36-7P
160231-39-0P 160231-49-2P 160231-51-6P
160231-58-3P 160231-63-0P 160231-66-3P
160231-91-4P 160231-92-5P 160231-96-9P
160333-41-5P 160333-42-6P 160333-44-8P
186463-15-0P 186463-19-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of sulfonamide inhibitors of aspartyl protease)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

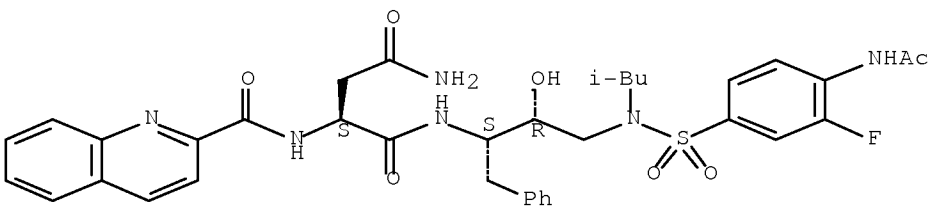
Absolute stereochemistry.




RN 160230-19-3 CAPLUS

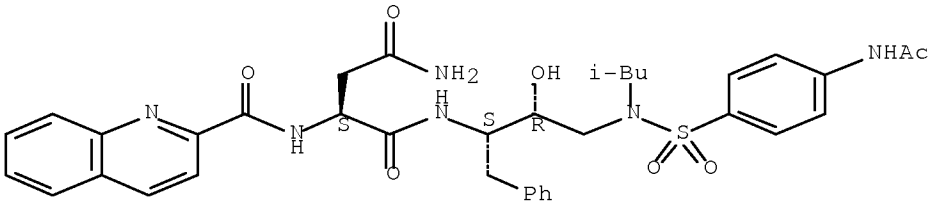
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-21-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

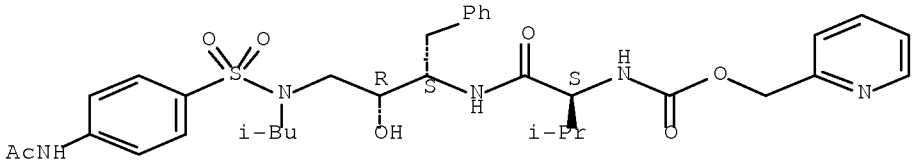


RN 160230-27-3 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

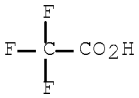
CRN 160230-26-2
CMF C34 H45 N5 O7 S

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



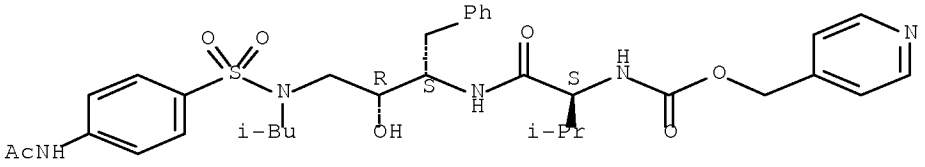
RN 160230-29-5 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 4-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

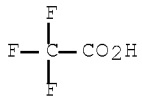
CRN 160230-28-4
CMF C34 H45 N5 O7 S

Absolute stereochemistry.



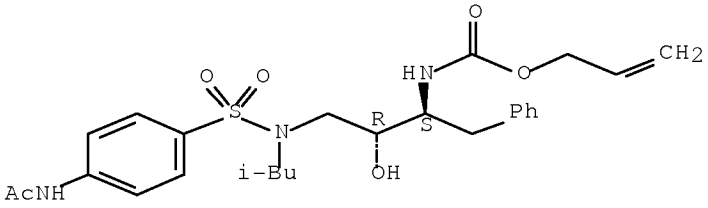

CM 2

CRN 76-05-1
CMF C2 H F3 O2



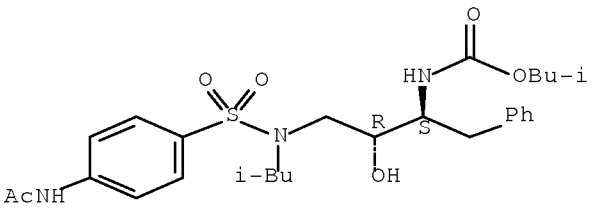

RN 160230-36-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



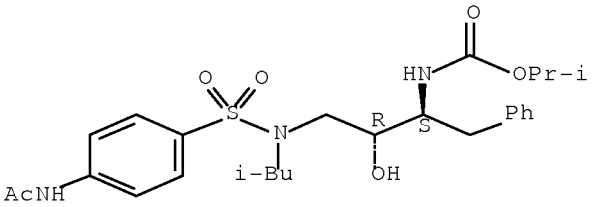

RN 160230-37-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



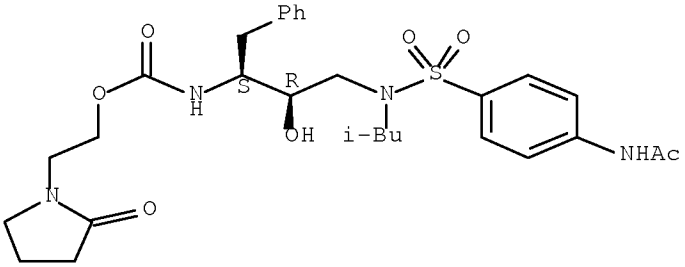

RN 160230-38-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



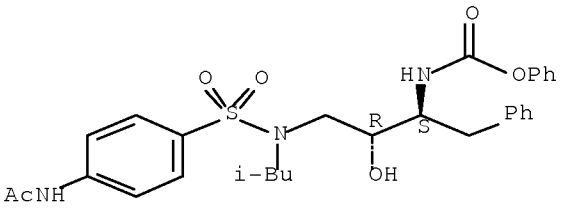

RN 160230-39-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-(2-oxo-1-pyrrolidinyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



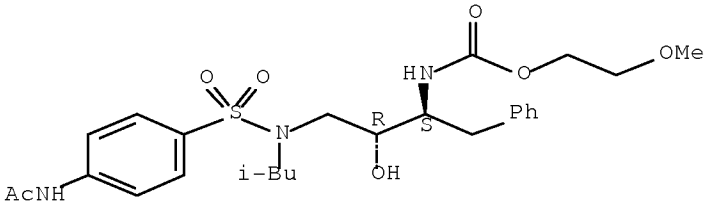

RN 160230-40-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



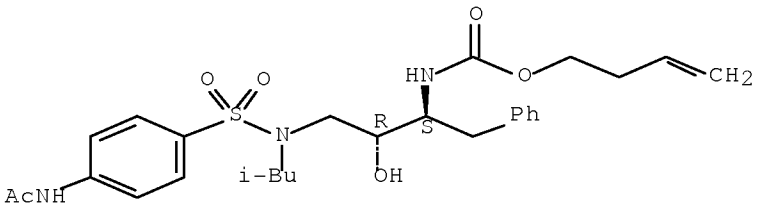

RN 160230-43-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



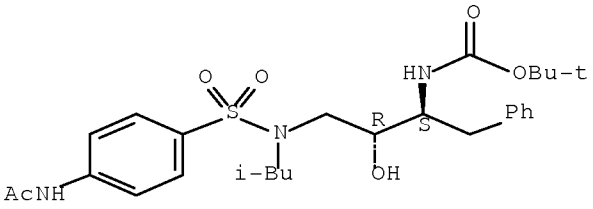

RN 160230-45-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-butenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



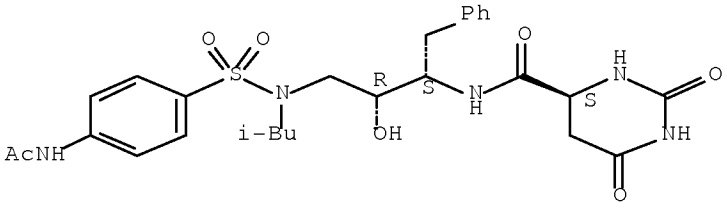

RN 160230-47-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



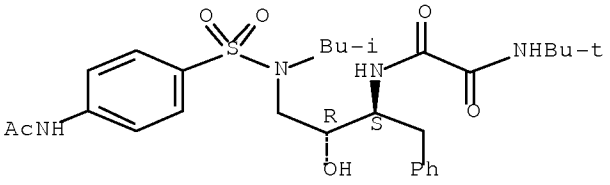

RN 160230-48-8 CAPLUS
CN 4-Pyrimidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]hexahydro-2,6-dioxo-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



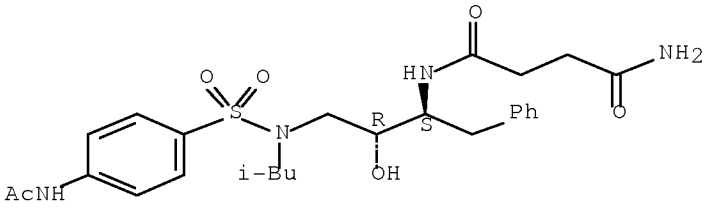

RN 160230-49-9 CAPLUS
CN Ethanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



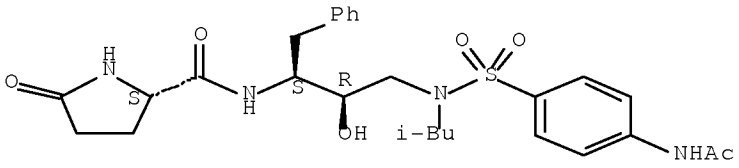

RN 160230-50-2 CAPLUS
CN Butanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



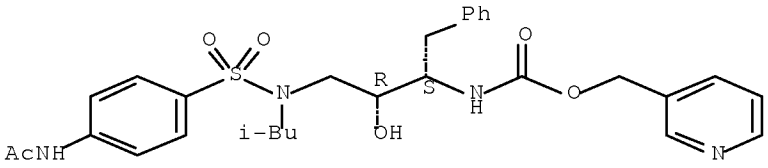
RN 160230-51-3 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-oxo-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



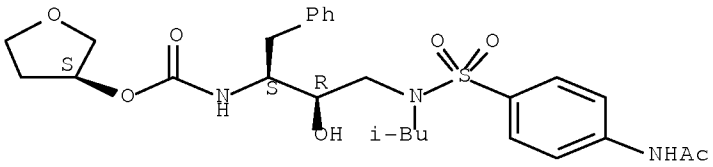
RN 160230-52-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



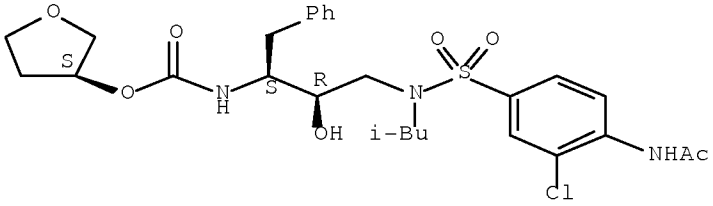
RN 160230-56-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



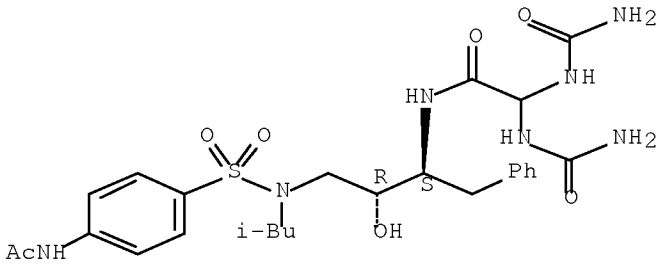
RN 160230-60-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



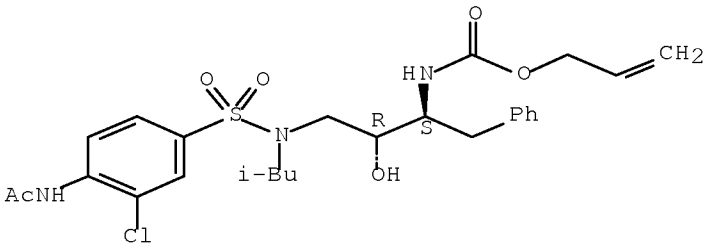
RN 160230-72-8 CAPLUS
CN Acetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2-bis[(aminocarbonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



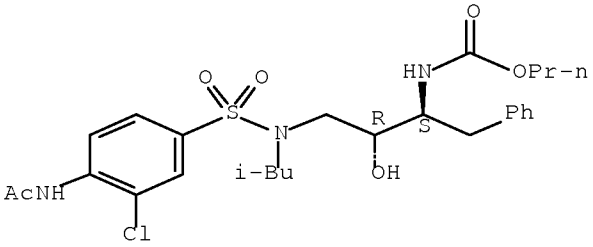
RN 160230-88-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



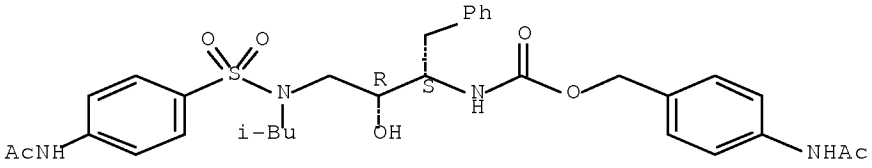

RN 160230-94-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



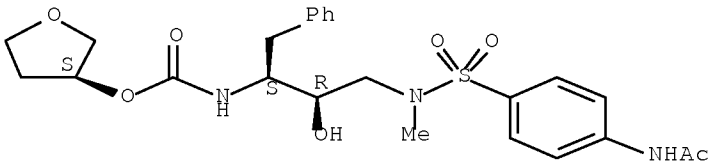

RN 160230-98-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [4-(acetylamino)phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



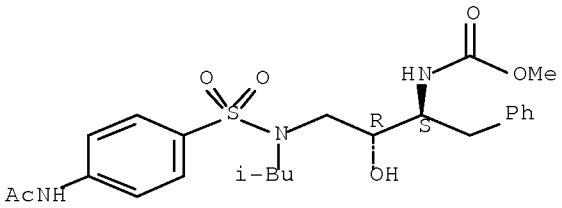

RN 160231-02-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



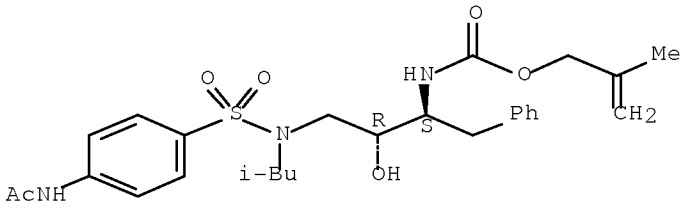
RN 160231-03-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



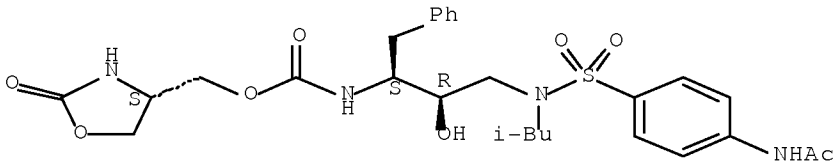
RN 160231-06-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



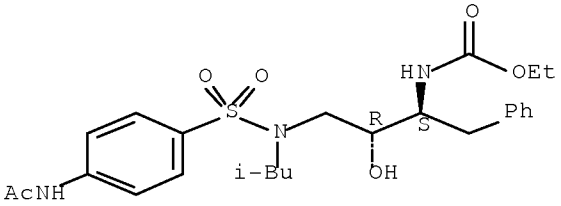
RN 160231-12-9 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [(4S)-2-oxo-4-oxazolidinyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



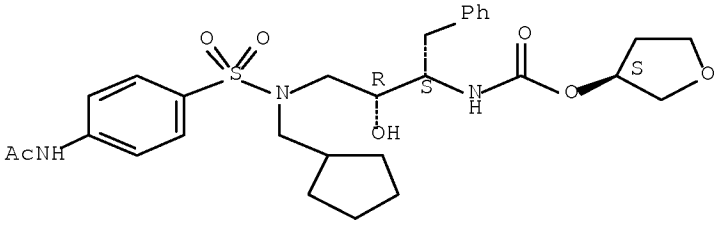
RN 160231-22-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



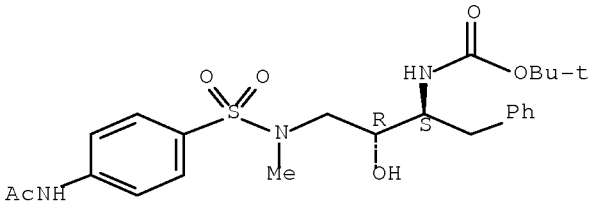
RN 160231-27-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



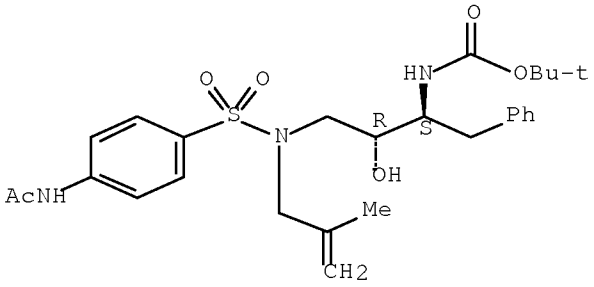
RN 160231-30-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



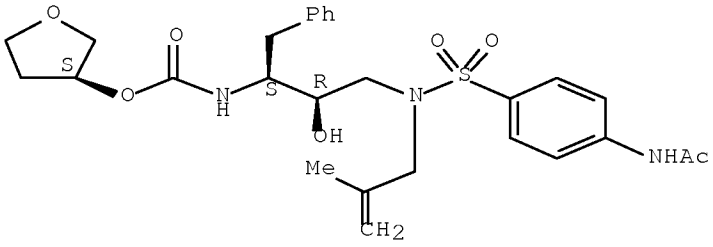
RN 160231-33-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



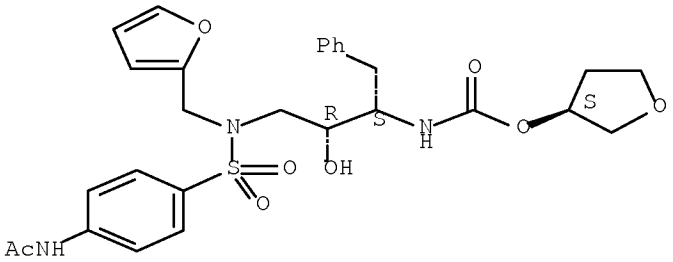
RN 160231-36-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



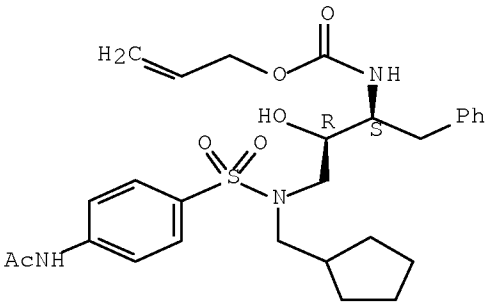
RN 160231-39-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160231-49-2 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

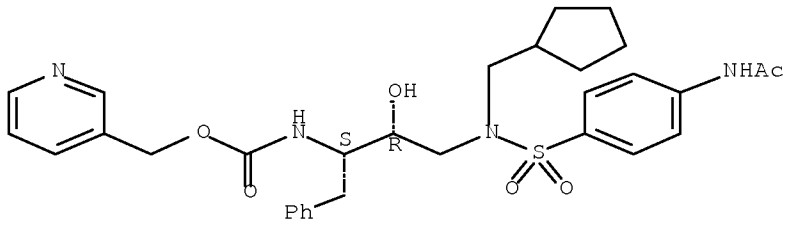


RN 160231-51-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

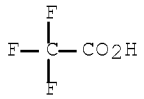
CRN 160231-50-5
CMF C31 H38 N4 O6 S

Absolute stereochemistry.



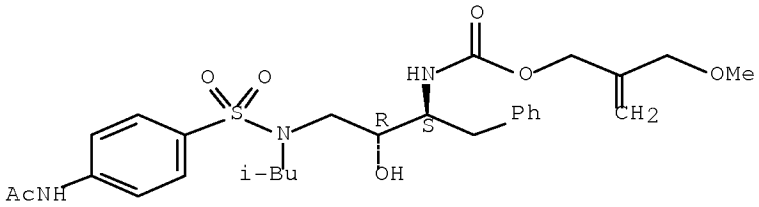
CM 2

CRN 76-05-1
CMF C2 H F3 O2



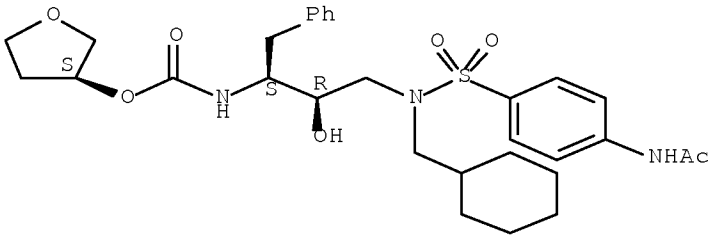
RN 160231-58-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-(methoxymethyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



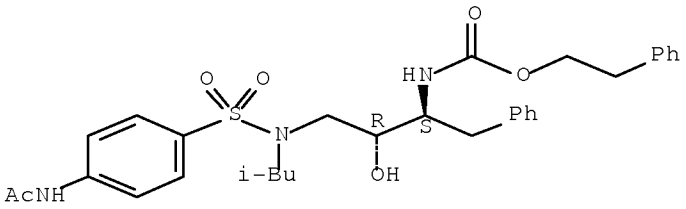
RN 160231-63-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



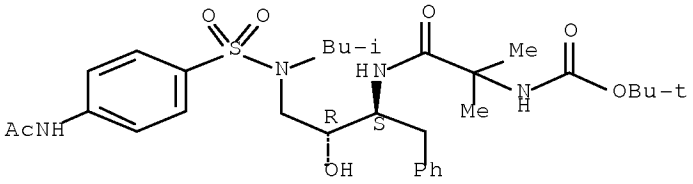
RN 160231-66-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



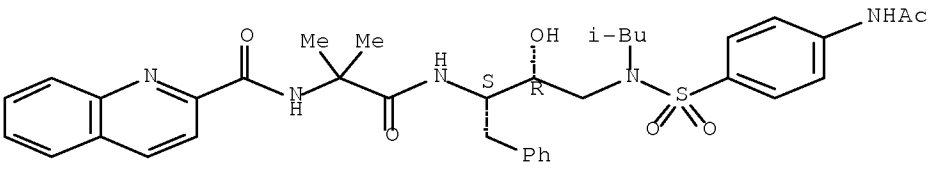
RN 160231-91-4 CAPLUS
CN Carbamic acid, [2-[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



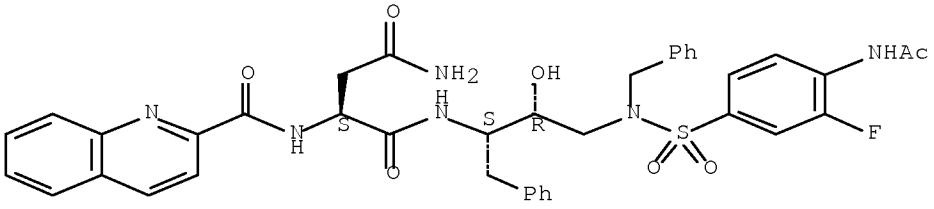
RN 160231-92-5 CAPLUS
CN 2-Quinolinecarboxamide, N-[2-[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



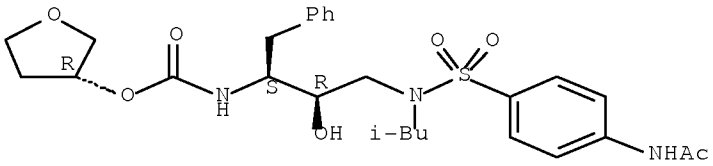
RN 160231-96-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



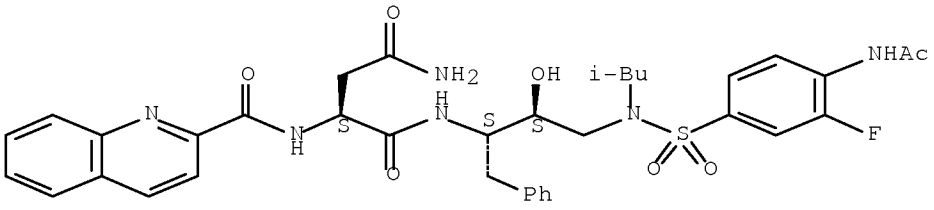
RN 160333-41-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



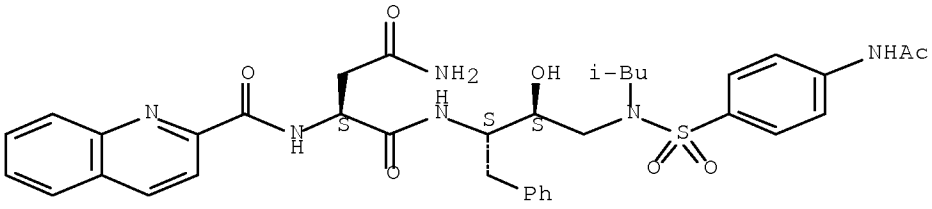
RN 160333-42-6 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



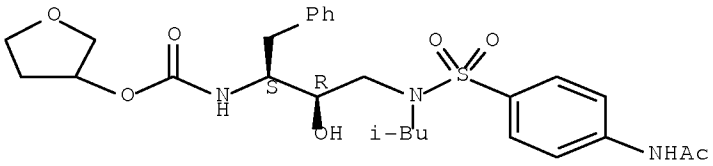
RN 160333-44-8 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



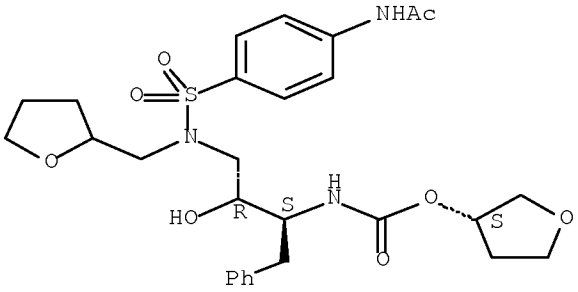
RN 186463-15-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 186463-19-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

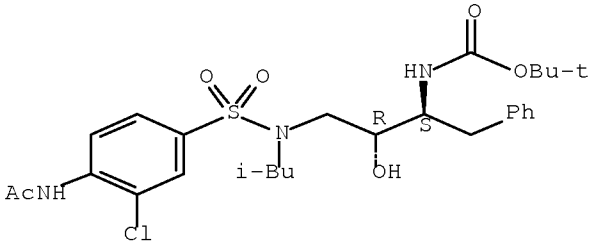
Absolute stereochemistry.



IT 160232-32-6P 160232-65-5P 160232-75-7P
160232-95-1P 160233-13-6P 186463-25-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfonamide inhibitors of aspartyl protease)

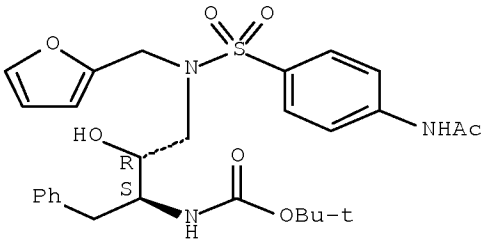
RN 160232-32-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



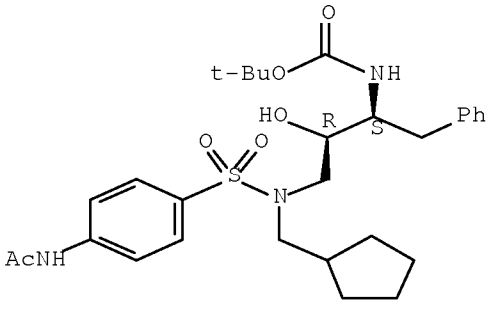

RN 160232-65-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 160232-75-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

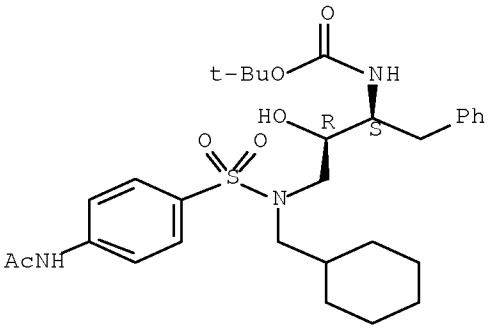
Absolute stereochemistry.




RN 160232-95-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-

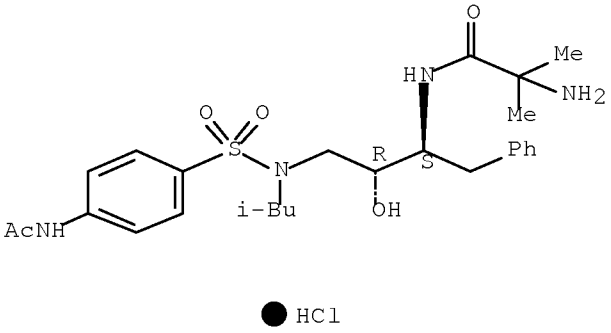
(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI)  (CA INDEX NAME)

Absolute stereochemistry.



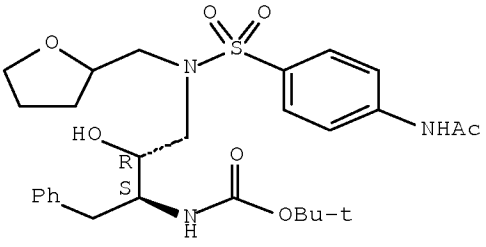
RN  160233-13-6  CAPLUS
CN  Propanamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-amino-2-methyl-, monohydrochloride (9CI)  (CA INDEX NAME)

Absolute stereochemistry.



RN  186463-25-2  CAPLUS
CN  Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI)  (CA INDEX NAME)

Absolute stereochemistry.



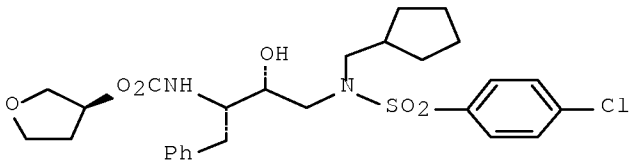
REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1997:5844 CAPLUS Full-text
DOCUMENT NUMBER: 126:31265
ORIGINAL REFERENCE NO.: 126:6361a,6364a
TITLE: Preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl protease for treatment of HIV infection.
INVENTOR(S): Tung, Roger D.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

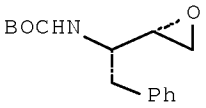
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9633184 | A1 | 19961024 | WO 1996-US5475 | 19960418 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML | | | | |
| US 5723490 | A | 19980303 | US 1995-424819 | 19950419 |
| AU 9655596 | A | 19961107 | AU 1996-55596 | 19960418 |
| AU 706732 | B2 | 19990624 | | |
| EP 846110 | A1 | 19980610 | EP 1996-912942 | 19960418 |
| EP 846110 | B1 | 20020828 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI | | | | |
| JP 10509739 | T | 19980922 | JP 1996-531954 | 19960418 |
| JP 3046357 | B2 | 20000529 | | |
| BR 9608032 | A | 19990112 | BR 1996-8032 | 19960418 |
| HU 9801877 | A2 | 19990928 | HU 1998-1877 | 19960418 |
| HU 9801877 | A3 | 20010228 | | |
| HU 224027 | B1 | 20050530 | | |
| NZ 306903 | A | 20000228 | NZ 1996-306903 | 19960418 |
| AT 222761 | T | 20020915 | AT 1996-912942 | 19960418 |
| EE 4307 | B1 | 20040615 | EE 1997-266 | 19960418 |
| RO 119302 | B1 | 20040730 | RO 1997-1926 | 19960418 |
| SK 284785 | B6 | 20051103 | SK 1997-1431 | 19960418 |
| PL 195368 | B1 | 20070928 | PL 1996-322877 | 19960418 |
| NO 9704722 | A | 19971013 | NO 1997-4722 | 19971013 |
| NO 317734 | B1 | 20041213 | | |
| BG 63677 | B1 | 20020930 | BG 1997-102048 | 19971117 |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| US 1995-424819 | A | 19950419 |
| US 1992-941982 | B2 | 19920908 |
| US 1993-142327 | A2 | 19931124 |
| US 1995-393460 | B2 | 19950223 |
| WO 1996-US5475 | W | 19960418 |

OTHER SOURCE(S): MARPAT 126:31265
GI




I




II

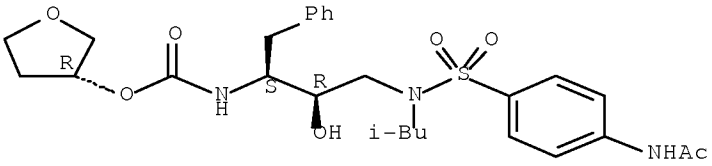
AB R1QNHCHR2CH(OH)CH2NR3SO2E [R1 = tetrahydrofuryl; Q = CO, SO2, COCO, O2C, OSO2, iminosulfonyl, aminocarbonyl, etc.; R2, R3 = (substituted) alkyl, alkenyl, carbocyclyl, cycloalkenyl, aryl, heterocyclyl; E = (substituted) heterocyclyl, carbocyclyl, aryl, heterocyclyloxy, carbocyclyloxy, aryloxy, amino, alkoxy, alkenyloxy, etc.], were prepared Thus, title compound (I), prepared from epoxide (II), showed Ki <0.1 nM against HIV-1 protease.

IT 160333-41-5P 184489-98-3P 184490-01-5P
184490-11-7P 184490-13-9P 184490-29-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl
protease for treatment of HIV infection)

RN 160333-41-5 CAPLUS

CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

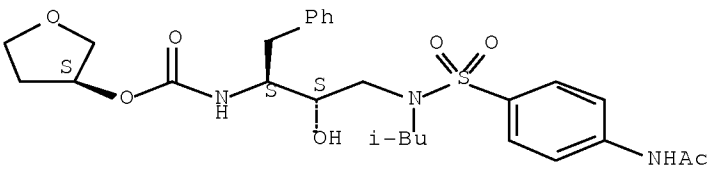
Absolute stereochemistry.




RN 184489-98-3 CAPLUS

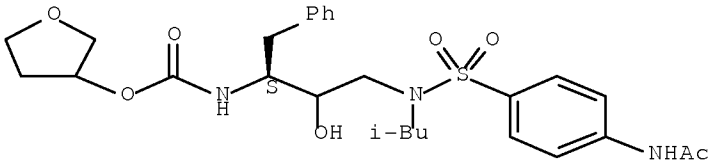
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3S-[3R*(1R*,2R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



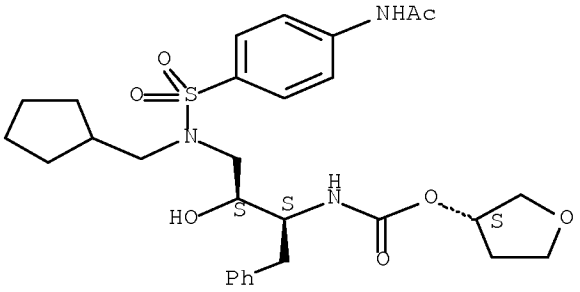
RN 184490-01-5 CAPLUS
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3(1S)]-[partial]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



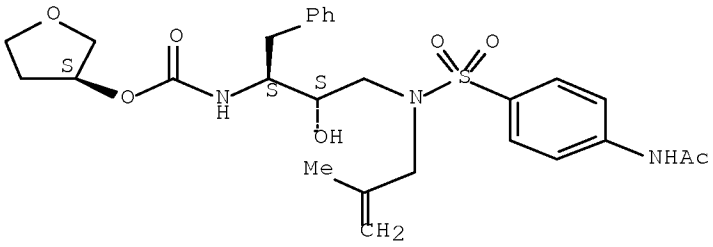
RN 184490-11-7 CAPLUS
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3S-[3R*(1R*,2R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



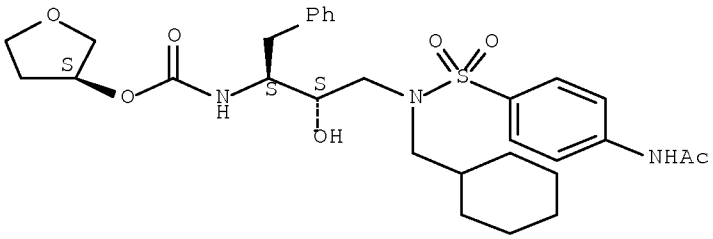
RN 184490-13-9 CAPLUS
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3S-[3R*(1R*,2R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 184490-29-7 CAPLUS
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester, [3S-[3R*(1R*,2R*)]]- (9CI) (CA INDEX NAME)

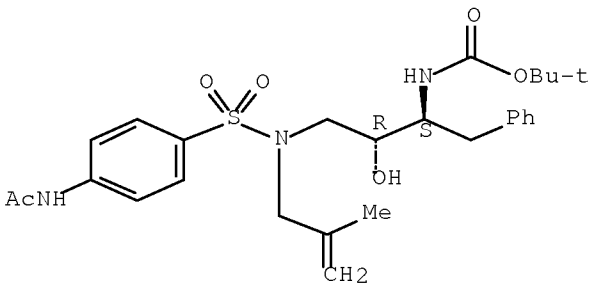
Absolute stereochemistry.




IT 160231-33-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tetrahydrofuran-containing sulfonamide inhibitors of aspartyl protease for treatment of HIV infection)

RN 160231-33-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

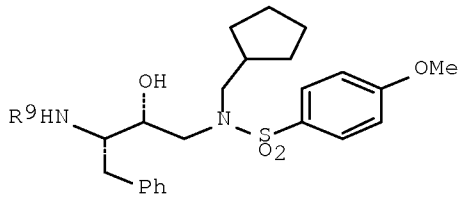
L3 ANSWER 36 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:746507 CAPLUS Full-text
DOCUMENT NUMBER: 126:18882
ORIGINAL REFERENCE NO.: 126:3925a,3928a
TITLE: Preparation of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors
INVENTOR(S): Tung, Roger D.; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 75 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9633187 | A1 | 19961024 | WO 1996-US5473 | 19960418 |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML | | | | |
| US 5691372 | A | 19971125 | US 1995-424810 | 19950419 |
| ZA 9602891 | A | 19961015 | ZA 1996-2891 | 19960411 |
| TW 404945 | B | 20000911 | TW 1996-85104278 | 19960411 |
| CA 2217745 | A1 | 19961024 | CA 1996-2217745 | 19960418 |
| AU 9656655 | A | 19961107 | AU 1996-56655 | 19960418 |
| AU 712913 | B2 | 19991118 | | |
| EP 833826 | A1 | 19980408 | EP 1996-913811 | 19960418 |
| EP 833826 | B1 | 20020123 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI | | | | |
| CN 1184474 | A | 19980610 | CN 1996-193903 | 19960418 |
| CN 1110491 | C | 20030604 | | |
| BR 9608033 | A | 19990112 | BR 1996-8033 | 19960418 |
| JP 11504628 | T | 19990427 | JP 1996-531953 | 19960418 |
| JP 4240532 | B2 | 20090318 | | |
| HU 9801948 | A2 | 19990928 | HU 1998-1948 | 19960418 |
| HU 9801948 | A3 | 20020429 | | |
| AP 862 | A | 20000804 | AP 1997-1104 | 19960418 |
| W: KE, LS, MW, SD, SZ, UG | | | | |
| EP 1136479 | A1 | 20010926 | EP 2001-113575 | 19960418 |
| EP 1136479 | B1 | 20051228 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI | | | | |
| AT 212344 | T | 20020215 | AT 1996-913811 | 19960418 |
| PT 833826 | T | 20020628 | PT 1996-913811 | 19960418 |
| ES 2171670 | T3 | 20020916 | ES 1996-913811 | 19960418 |
| AT 314360 | T | 20060115 | AT 2001-113575 | 19960418 |
| EP 1637528 | A1 | 20060322 | EP 2005-28389 | 19960418 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, AL | | | | |
| ES 2256117 | T3 | 20060716 | ES 2001-113575 | 19960418 |
| NO 9704744 | A | 19971014 | NO 1997-4744 | 19971014 |
| US 5990155 | A | 19991123 | US 1997-977365 | 19971124 |
| HK 1009811 | A1 | 20021220 | HK 1998-110833 | 19980922 |
| HK 1042892 | A1 | 20061103 | HK 2002-102257 | 19980922 |

| PRIORITY APPLN. INFO.: | | | |
|---|---|---|---|
| US 1995-424810 | A | 19950419 | |
| EP 1996-913811 | A3 | 19960418 | |
| EP 2001-113575 | A3 | 19960418 | |
| WO 1996-US5473 | W | 19960418 | |
| HK 1998-110833 | A | 19980922 | |

OTHER SOURCE(S): MARPAT 126:18882
GI




II

AB R1ZNHCHR7CH(OH)CH2NR8SO2R [I; R = heterocyclyl(oxy), (di)(alkyl)amino, alkyl, etc.; R1 = O-containing heterocyclyl(alkyl); R7,R8 = (cyclo)alkyl, aryl, heterocyclyl, etc.; Z = O, CO, SO2, NHCO, etc.] were prepared Thus, glycerol formal was esterified by ClCO2C6H4(NO2)-4 and 1 of the 2 products amidated by aminohydroxyalkylsulfonamide II (R9 = H) to give II (R9 = 1,3-dioxan-5-yloxycarbonyl). Data for biol. activity of I were given.

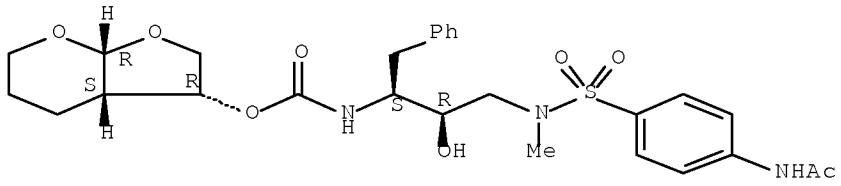
IT 184155-34-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

RN 184155-34-8 CAPLUS

CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, hexahydro-4H-furo[2,3-b]pyran-3-yl ester, [3R-[3α(1S*,2R*),3aβ,7aβ]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



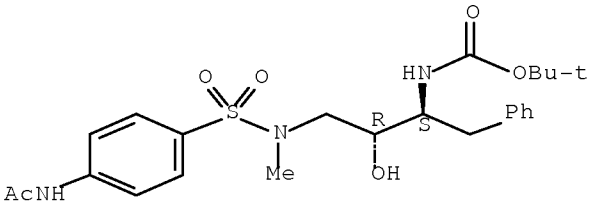

IT 160231-30-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)

RN 160231-30-1 CAPLUS

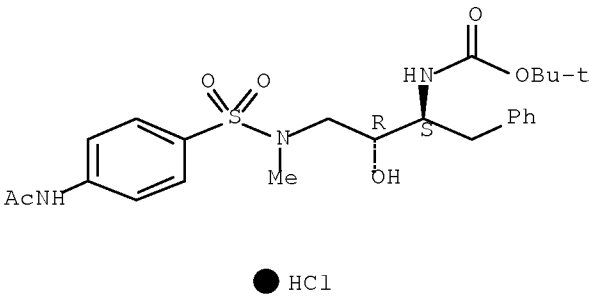
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.




IT 184155-45-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxygen-heterocyclyl N-(sulfonamidohydroxyalkyl)carbamates and analogs as aspartyl protease inhibitors)
RN 184155-45-1 CAPLUS
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester, monohydrochloride, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:380218 CAPLUS Full-text
DOCUMENT NUMBER: 125:142289
ORIGINAL REFERENCE NO.: 125:26629a,26632a
TITLE: Sulfonylalkanoylamino hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G. D. Searle and Co., USA
SOURCE: U.S., 25 pp., Cont.-in-part of U.S. Ser. No. 935,071, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

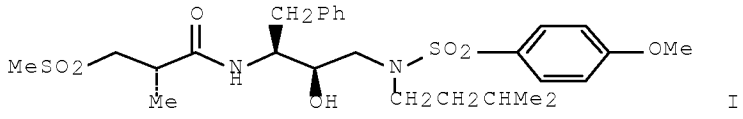
| | | | | |
|---|---|---|---|---|
| US 5521219 | A | 19960528 | US 1993-110913 | 19930824 |
| AT 161828 | T | 19980115 | AT 1993-920214 | 19930824 |
| ES 2112430 | T3 | 19980401 | ES 1993-920214 | 19930824 |
| FI 9500651 | A | 19950214 | FI 1995-651 | 19950214 |
| US 5508294 | A | 19960416 | US 1995-455051 | 19950531 |
| US 5510388 | A | 19960423 | US 1995-455947 | 19950531 |
| US 5639769 | A | 19970617 | US 1996-587688 | 19960117 |
| US 5760064 | A | 19980602 | US 1997-867430 | 19970606 |
| US 5965588 | A | 19991012 | US 1998-48034 | 19980326 |
| US 6147117 | A | 20001114 | US 1999-352215 | 19990713 |
| US 6743929 | B1 | 20040601 | US 2000-655844 | 20000906 |
| US 20040267022 | A1 | 20041230 | US 2004-750213 | 20040102 |

PRIORITY APPLN. INFO.:

US 1992-935071 B2 19920825
US 1993-110913 A3 19930824
US 1996-587688 A1 19960117
US 1997-867430 A1 19970606
US 1998-48034 A1 19980326
US 1999-352215 A1 19990713
US 2000-655844 A3 20000906

OTHER SOURCE(S): CASREACT 125:142289; MARPAT 125:142289

GI




I

AB RSO2(CH2)tCH2CHR1C(:Y)NHCHR2CH(OH)CH2NR3SO2R4 (R = alkyl, alkenyl, aryl, etc.; R1 = H, CMe2SMe, alkyl, haloalkyl, amino acid side chain, etc.; R2 = alkyl, aryl, cycloalkyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, etc.; R4 = alkyl, cycloalkyl, aryl, etc.; t = 0, 1; Y = O, S) and their salts were prepared as retroviral protease inhibitors. Thus, I was prepared in several steps and shown to have an IC50 of 3.2 nanomolar when tested against HIV protease.

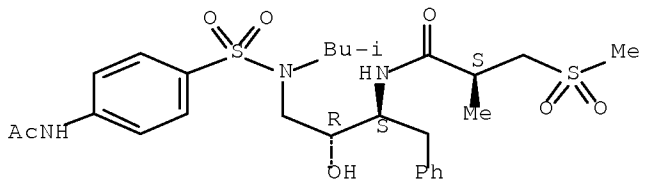
IT 157566-84-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(sulfonylalkanoylamino hydroxyethylamino sulfonamides as retroviral protease inhibitors)

RN 157566-84-2 CAPLUS

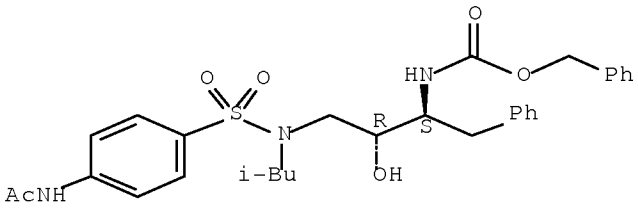
CN Propanamide, N-[3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 157567-04-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(sulfonylalkanoylamino hydroxyethylamino sulfonamides as retroviral protease inhibitors)
RN 157567-04-9 CAPLUS
CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:293723 CAPLUS Full-text
DOCUMENT NUMBER: 122:81141
ORIGINAL REFERENCE NO.: 122:15415a,15418a
TITLE: Preparation of heterocyclylarylsulfonamide inhibitors of HIV-aspartyl protease
INVENTOR(S): Tung, Roger D.; Murcko, Mark A.; Bhisetti, Govinda Rao
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 291 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9405639 | A1 | 19940317 | WO 1993-US8458 | 19930907 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| LT 3302 | B | 19950626 | LT 1993-917 | 19930901 |
| IL 106927 | A | 20010111 | IL 1993-106927 | 19930906 |
| AU 9348520 | A | 19940329 | AU 1993-48520 | 19930907 |
| AU 691160 | B2 | 19980514 | | |
| EP 659181 | A1 | 19950628 | EP 1993-921428 | 19930907 |
| EP 659181 | B1 | 19990407 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |

| | | | | |
|---|---|---|---|---|
| JP 08501299 | T | 19960213 | JP 1994-507525 | 19930907 |
| JP 3012002 | B2 | 20000221 | | |
| HU 71892 | A2 | 19960228 | HU 1995-685 | 19930907 |
| EP 885887 | A2 | 19981223 | EP 1998-113921 | 19930907 |
| EP 885887 | A3 | 19990203 | | |
| EP 885887 | B1 | 20030528 | | |
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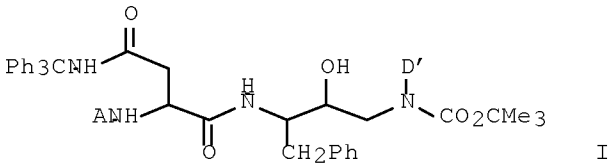
| AT 178598 | T | 19990415 | AT 1993-921428 | 19930907 |
| ES 2131589 | T3 | 19990801 | ES 1993-921428 | 19930907 |
| RU 2135496 | C1 | 19990827 | RU 1995-109928 | 19930907 |
| SK 281360 | B6 | 20010212 | SK 1995-293 | 19930907 |
| CZ 289475 | B6 | 20020116 | CZ 1995-587 | 19930907 |
| CA 2143208 | C | 20030107 | CA 1993-2143208 | 19930907 |
| AT 241602 | T | 20030615 | AT 1998-113921 | 19930907 |
| PL 185635 | B1 | 20030630 | PL 1993-307858 | 19930907 |
| RO 118747 | B1 | 20031030 | RO 1995-479 | 19930907 |
| PT 885887 | T | 20031031 | PT 1998-113921 | 19930907 |
| ES 2200243 | T3 | 20040301 | ES 1998-113921 | 19930907 |
| CN 1087347 | A | 19940601 | CN 1993-117370 | 19930908 |
| CN 1061339 | C | 20010131 | | |
| ZA 9308470 | A | 19940620 | ZA 1993-8470 | 19931112 |
| US 5585397 | A | 19961217 | US 1993-142327 | 19931124 |
| FI 9501059 | A | 19950418 | FI 1995-1059 | 19950307 |
| NO 9500876 | A | 19950508 | NO 1995-876 | 19950307 |
| NO 303444 | B1 | 19980713 | | |
| HK 1012631 | A1 | 20000623 | HK 1998-113971 | 19981217 |
| HK 1023561 | A1 | 20040716 | HK 2000-100689 | 19981217 |
| US 20060189810 | A1 | 20060824 | US 2006-408287 | 20060419 |
| PRIORITY APPLN. INFO.: | | | US 1992-941982 | A2 19920908 |
| | | | EP 1993-921428 | A3 19930907 |
| | | | WO 1993-US8458 | W 19930907 |
| | | | US 1993-142327 | A3 19931124 |
| | | | US 1995-484326 | A3 19950607 |
| | | | US 2002-94790 | A3 20020308 |

OTHER SOURCE(S): MARPAT 122:81141

GI

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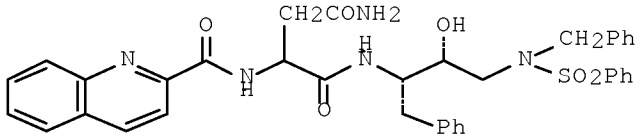



II

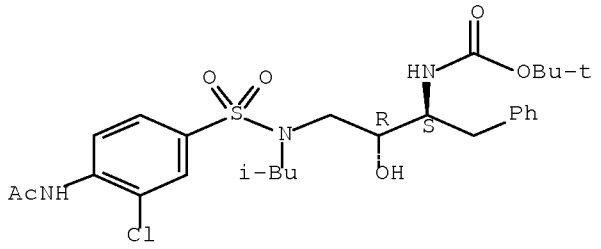
AB Title compds. A(B)xNHCH(D)CH(OH)CH2N(D')SO2E (A = H, Het, R1-Het, (substituted)R1-C1-6 alkyl, (substituted) R1-C2-6 alkenyl wherein R1 = CO, SO2, COCO, O2C, etc., Het = C5-7 cycloalkyl, C5-7 cycloalkenyl, C6-10 aryl,

(substituted) 5-7-membered heterocyclyl; R2 = H, (Ar)-C1-3 alkyl; B = NR2CR3CO, null wherein R3 = H, (substituted)Het or C1-6 alkyl or C2-6 alkenyl or C3-6 cycloalkyl or C5-6 cycloalkenyl; x = 0,1; D, D' = Ar, (substituted) C1-4 alkyl wherein Ar = Ph, (substituted) 3-6-membered carbocyclyl or 5-6-membered heterocyclyl; E = Het-O, Het-Het, (substituted) C1-6 alkyl or C2-6 alkenyl, C3-6 carbocyclyl) useful also against viral infection of HIV-2, HIV-2, or HTLV, are prepared 4,3-(AcNH)FC6H3SO2Cl and syn-I (A = quinolin-2-ylcarbonyl, D' = Me2CHCH2) (preparation given) in CH2Cl2 was treated with F3CCO2H followed by NaHCO3 and 4-FC6H4SO2Cl to give the title compound II which inhibited HIV-1 protease with IC50 of <0.1 nM.

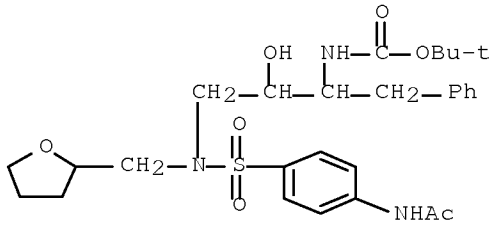
IT 160232-32-6P 160232-58-6P 160232-65-5P
160232-75-7P 160232-95-1P 160233-13-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of HIV-1 protease inhibitors)

RN 160232-32-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

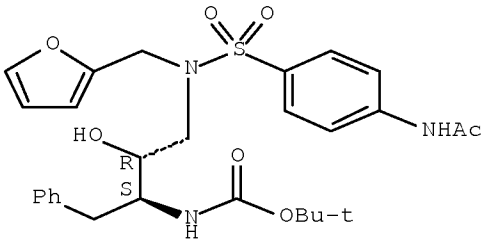



RN 160232-58-6 CAPLUS
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



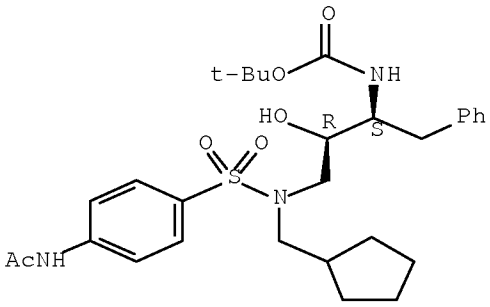

RN 160232-65-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



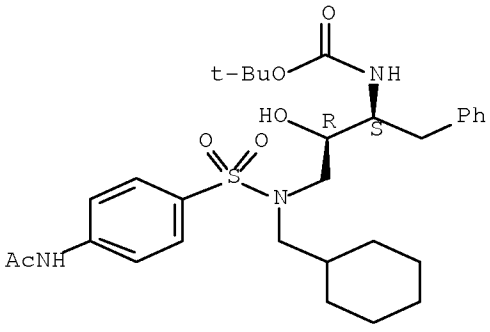
RN 160232-75-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160232-95-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

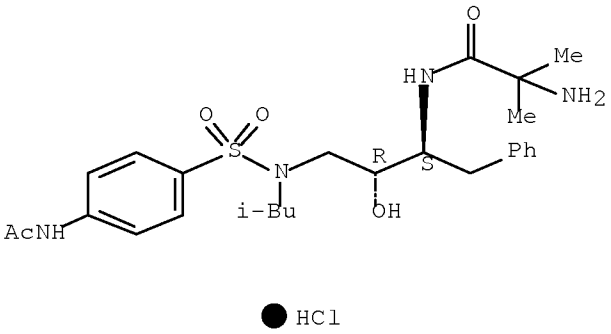
Absolute stereochemistry.



RN 160233-13-6 CAPLUS
CN Propanamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-amino-2-methyl-,

monohydrochloride (9CI)  (CA INDEX NAME)

Absolute stereochemistry.

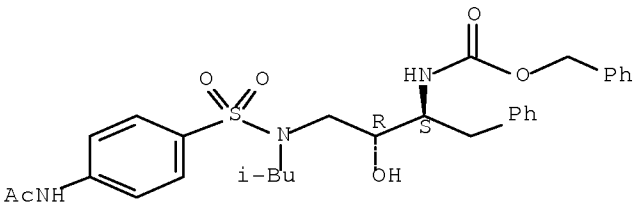



IT 157567-04-9P 160230-19-3P 160230-21-7P
160230-27-3P 160230-29-5P 160230-36-4P
160230-37-5P 160230-38-6P 160230-39-7P
160230-40-0P 160230-43-3P 160230-45-5P
160230-47-7P 160230-48-8P 160230-49-9P
160230-50-2P 160230-51-3P 160230-52-4P
160230-56-8P 160230-60-4P 160230-72-8P
160230-88-6P 160230-94-4P 160230-98-8P
160231-02-7P 160231-03-8P 160231-06-1P
160231-12-9P 160231-22-1P 160231-27-6P
160231-30-1P 160231-33-4P 160231-35-6P
160231-36-7P 160231-39-0P 160231-49-2P
160231-51-6P 160231-58-3P 160231-63-0P
160231-66-3P 160231-91-4P 160231-92-5P
160231-96-9P 160333-39-1P 160333-41-5P
160333-42-6P 160333-44-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of as HIV-1 protease inhibitor)

RN 157567-04-9  CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

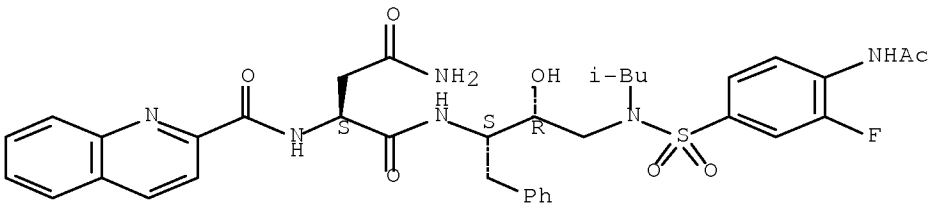
Absolute stereochemistry.




RN 160230-19-3  CAPLUS

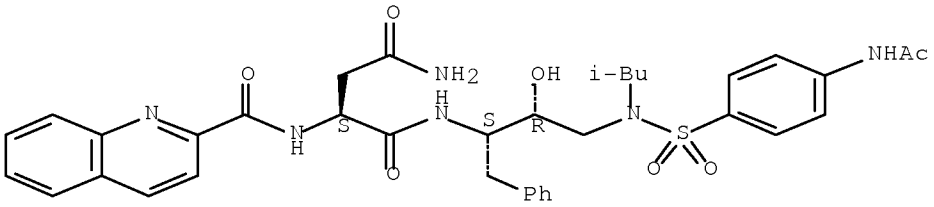
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)-  (CA INDEX NAME)

Absolute stereochemistry.



RN 160230-21-7 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

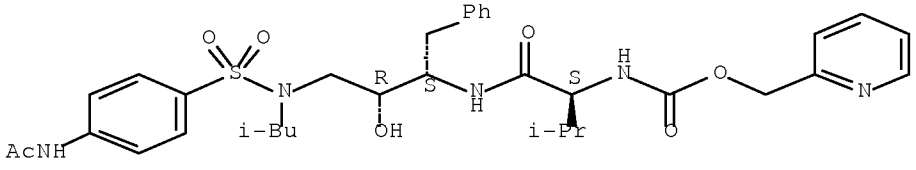


RN 160230-27-3 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 2-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 160230-26-2
CMF C34 H45 N5 O7 S

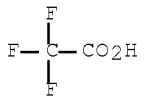
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

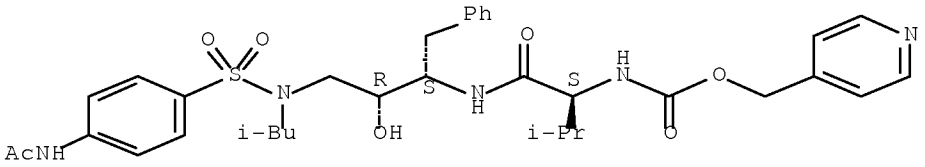


RN 160230-29-5 CAPLUS
CN Carbamic acid, [(1S)-1-[[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]carbonyl]-2-methylpropyl]-, 4-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

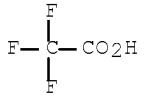
CRN 160230-28-4
CMF C34 H45 N5 O7 S

Absolute stereochemistry.



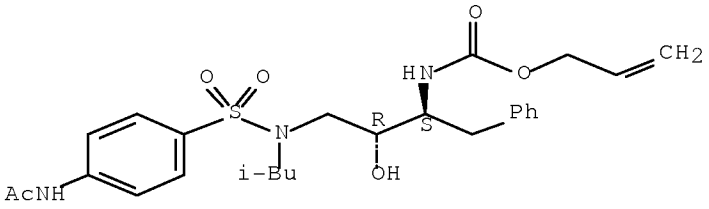
CM 2

CRN 76-05-1
CMF C2 H F3 O2



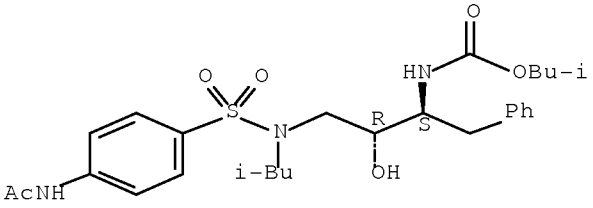
RN 160230-36-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



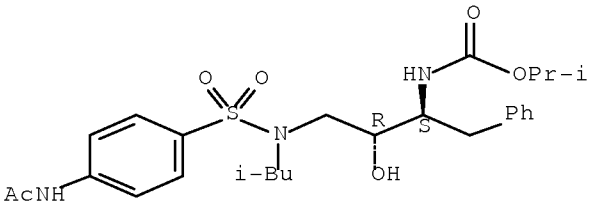

RN 160230-37-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



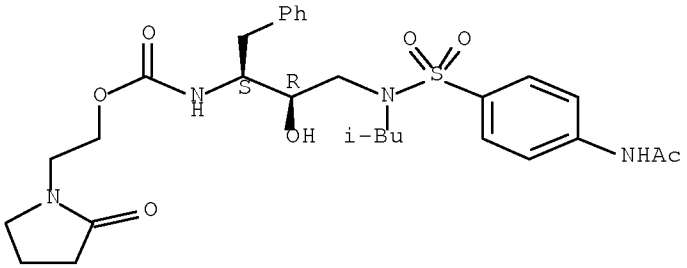

RN 160230-38-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



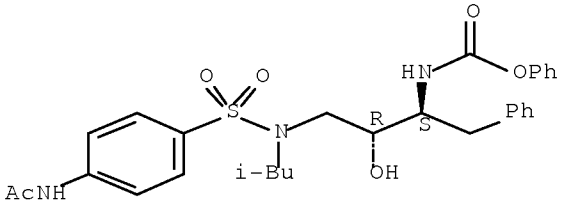

RN 160230-39-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-(2-oxo-1-pyrrolidinyl)ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



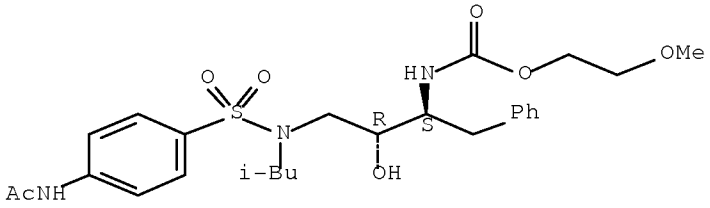

RN 160230-40-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



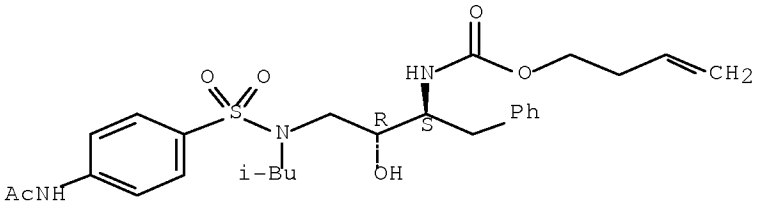

RN 160230-43-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



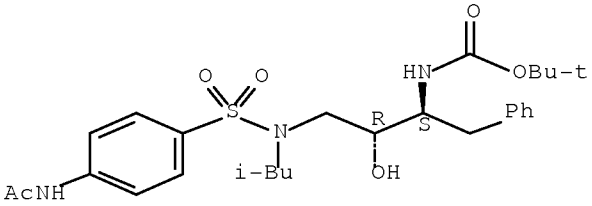

RN 160230-45-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-butenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



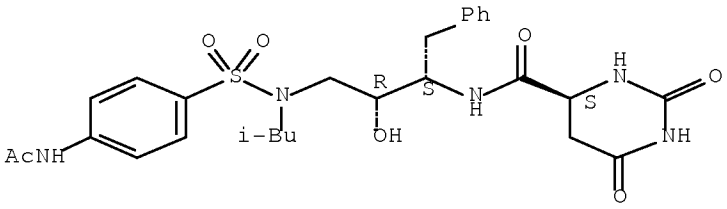

RN 160230-47-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



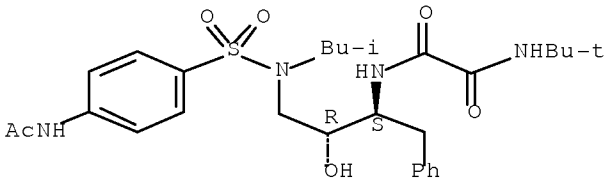

RN 160230-48-8 CAPLUS
CN 4-Pyrimidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]hexahydro-2,6-dioxo-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



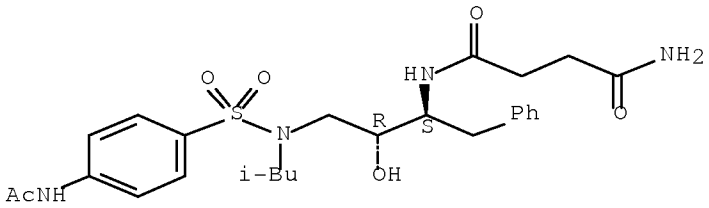

RN 160230-49-9 CAPLUS
CN Ethanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-N'-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



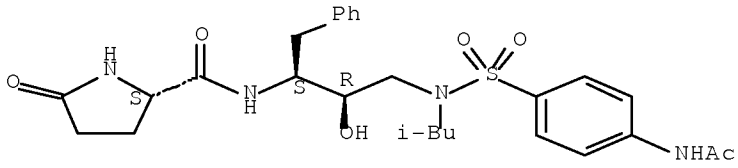

RN 160230-50-2 CAPLUS
CN Butanediamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



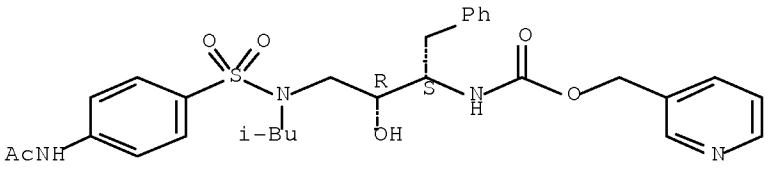

RN 160230-51-3 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-5-oxo-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



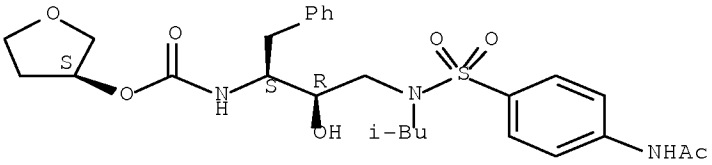

RN 160230-52-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



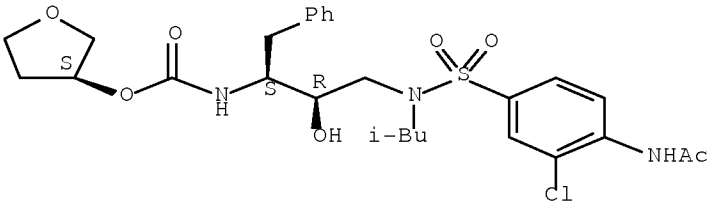

RN 160230-56-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



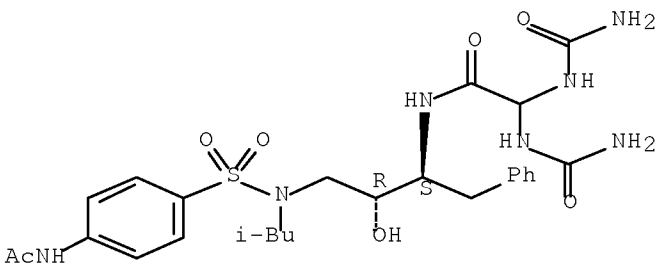

RN 160230-60-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



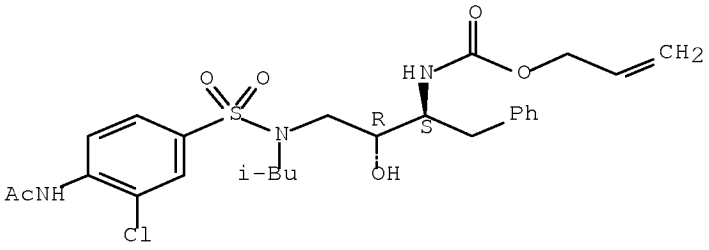

RN 160230-72-8 CAPLUS
CN Acetamide, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2,2-bis[(aminocarbonyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



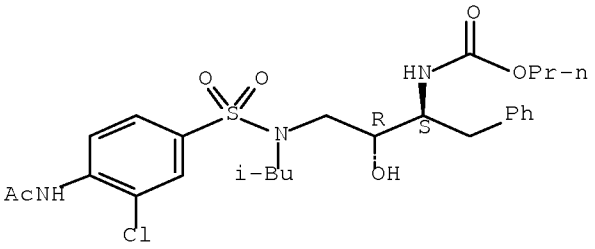
RN 160230-88-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



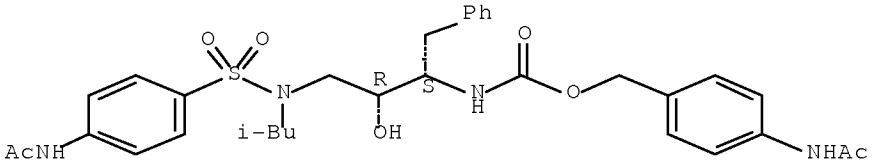
RN 160230-94-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)-3-chlorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, propyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



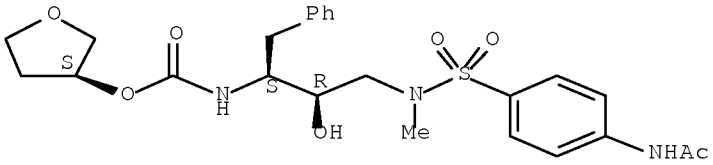
RN 160230-98-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [4-(acetylamino)phenyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



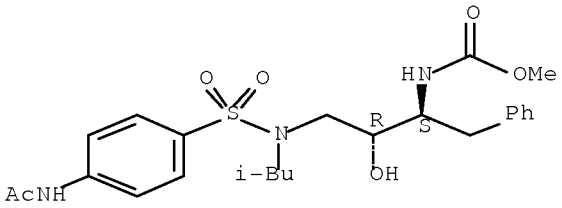

RN 160231-02-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



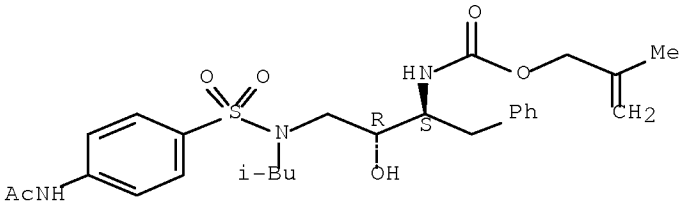

RN 160231-03-8 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



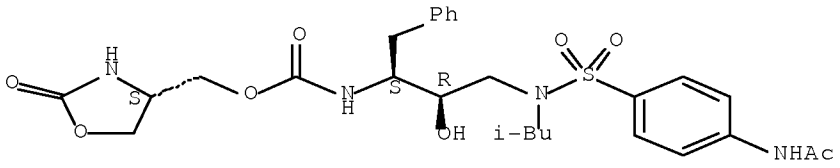

RN 160231-06-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-methyl-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



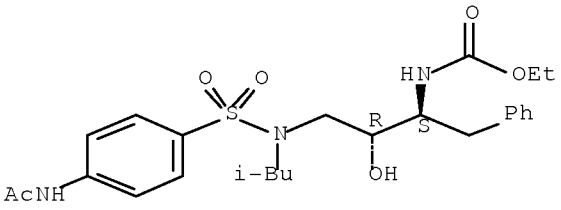

RN 160231-12-9 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, [(4S)-2-oxo-4-oxazolidinyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



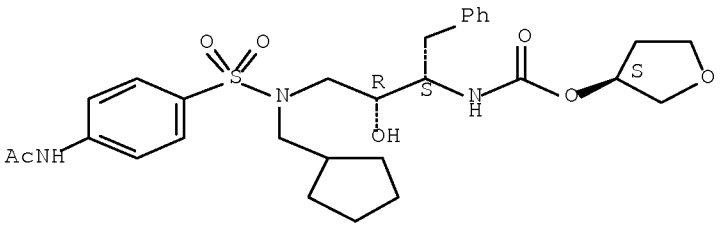

RN 160231-22-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



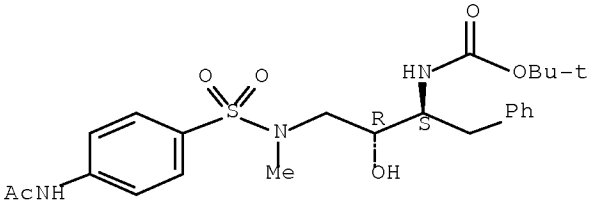

RN 160231-27-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



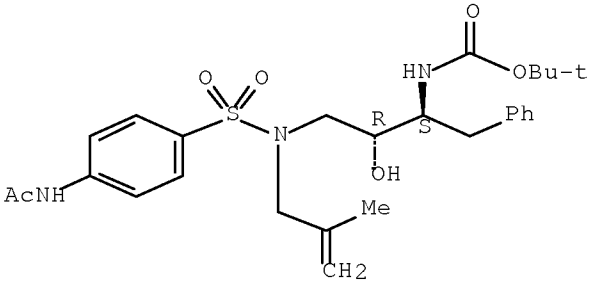
RN 160231-30-1 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl]methylamino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

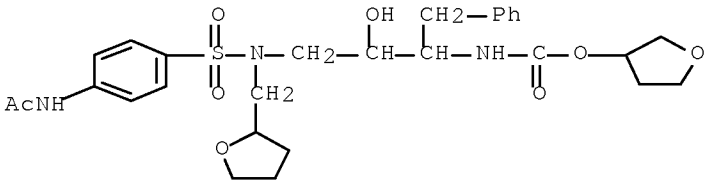


RN 160231-33-4 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

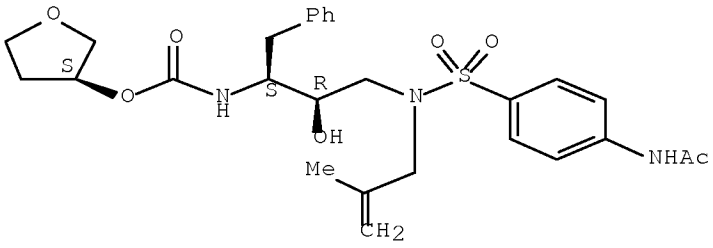


RN 160231-35-6 CAPLUS
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl][(tetrahydro-2-furanyl)methyl]amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)



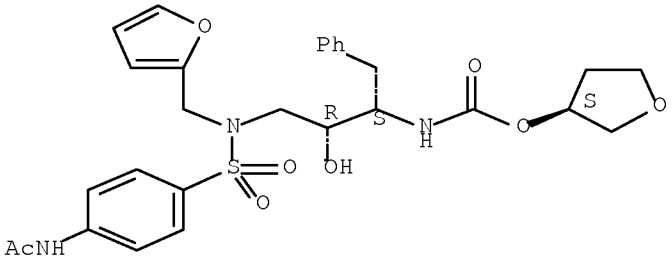
RN 160231-36-7 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methyl-2-propenyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



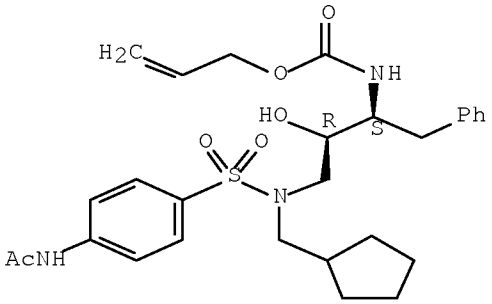
RN 160231-39-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-furanylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 160231-49-2 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

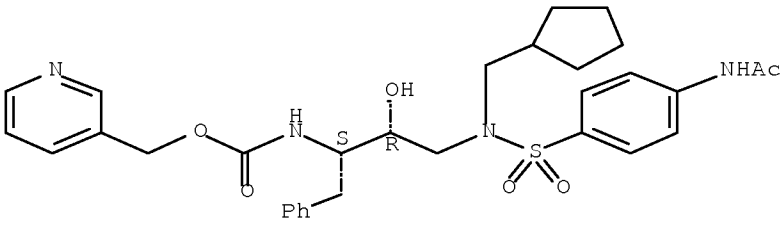


RN 160231-51-6 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclopentylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 3-pyridinylmethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

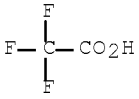
CRN 160231-50-5
CMF C31 H38 N4 O6 S

Absolute stereochemistry.



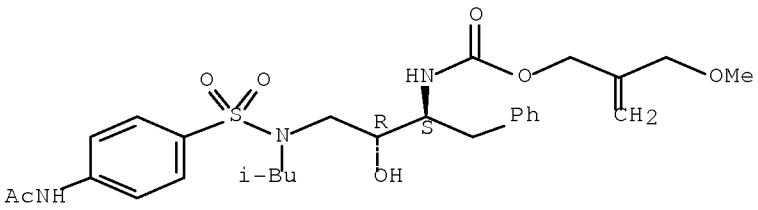
CM 2

CRN 76-05-1
CMF C2 H F3 O2



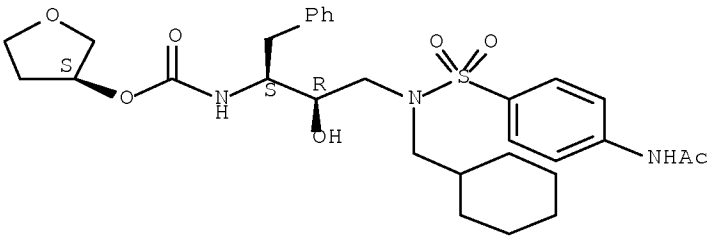
RN 160231-58-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-(methoxymethyl)-2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



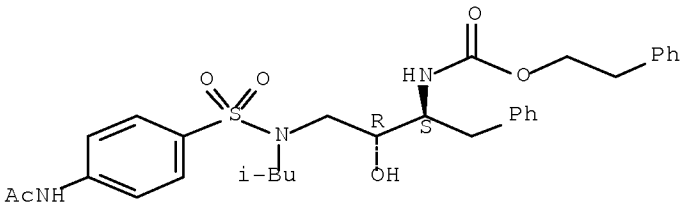
RN 160231-63-0 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](cyclohexylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3S)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



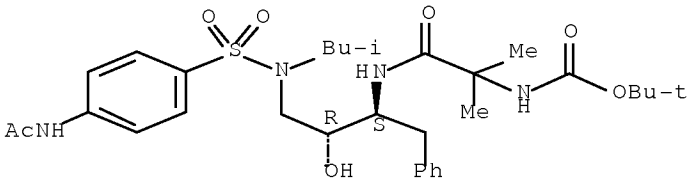
RN 160231-66-3 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, 2-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



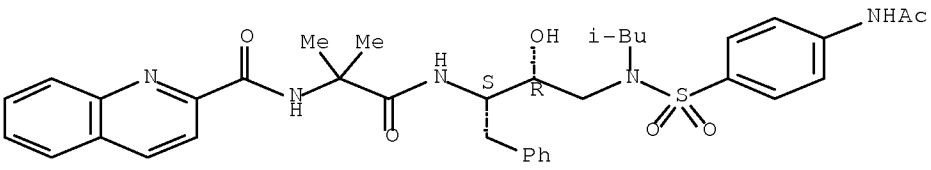
RN 160231-91-4 CAPLUS
CN Carbamic acid, [2-[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



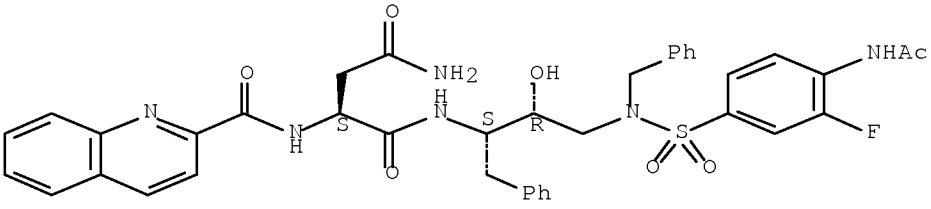
RN 160231-92-5 CAPLUS
CN 2-Quinolinecarboxamide, N-[2-[[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]amino]-1,1-dimethyl-2-oxoethyl]- (CA INDEX NAME)

Absolute stereochemistry.



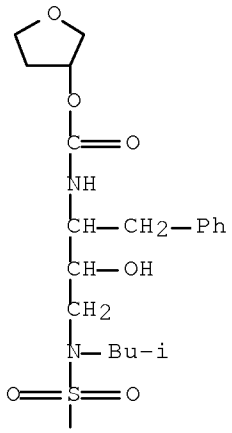
RN 160231-96-9 CAPLUS
CN Butanediamide, N1-[(1S,2R)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](phenylmethyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

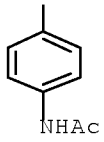


RN 160333-39-1 CAPLUS
CN Carbamic acid, [3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

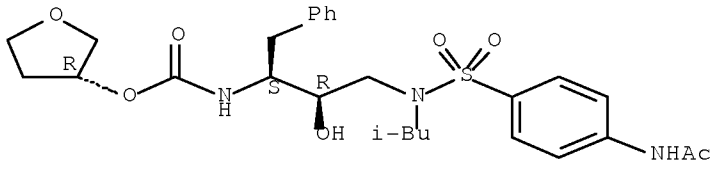


PAGE 2-A



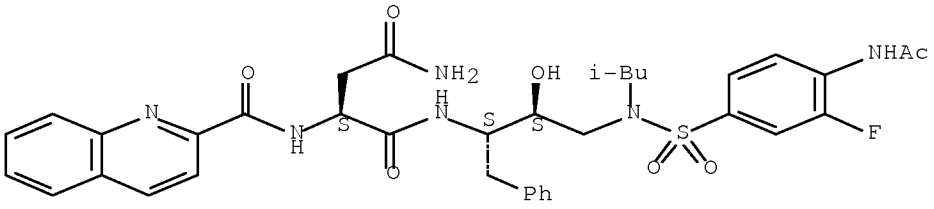
RN 160333-41-5 CAPLUS
CN Carbamic acid, [(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, (3R)-tetrahydro-3-furanyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



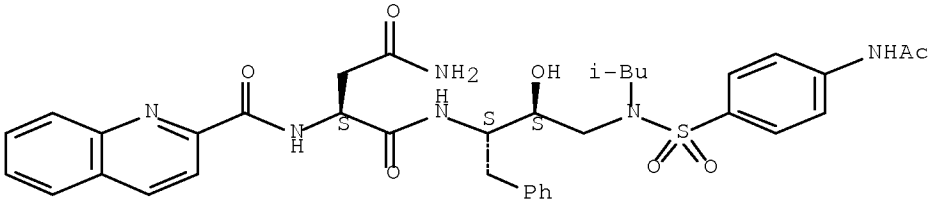
RN 160333-42-6 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)-3-fluorophenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 160333-44-8 CAPLUS
CN Butanediamide, N1-[(1S,2S)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-[(2-quinolinylcarbonyl)amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ACCESSION NUMBER: 1995:3862 CAPLUS Full-text
DOCUMENT NUMBER: 122:55727
ORIGINAL REFERENCE NO.: 122:10799a,10802a
TITLE: (Sulfonylalkanoylamino)(hydroxyethylamino)sulfonamides as HIV protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9404493 | A1 | 19940303 | WO 1993-US7816 | 19930824 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2140928 | A1 | 19940226 | CA 1993-2140928 | 19930824 |
| CA 2140928 | C | 20080129 | | |

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|---|---|---|---|---|---|
| AU 9350820 | A | 19940315 | AU 1993-50820 | | 19930824 |
| AU 669223 | B2 | 19960530 | | | |
| EP 656888 | A1 | 19950614 | EP 1993-920214 | | 19930824 |
| EP 656888 | B1 | 19980107 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | | |
| JP 08500825 | T | 19960130 | JP 1993-506532 | | 19930824 |
| AT 161828 | T | 19980115 | AT 1993-920214 | | 19930824 |
| ES 2112430 | T3 | 19980401 | ES 1993-920214 | | 19930824 |
| RU 2146668 | C1 | 20000320 | RU 1995-106996 | | 19930824 |
| JP 4091654 | B2 | 20080528 | JP 1994-506532 | | 19930824 |
| FI 9500651 | A | 19950214 | FI 1995-651 | | 19950214 |
| NO 9500550 | A | 19950214 | NO 1995-550 | | 19950214 |
| PRIORITY APPLN. INFO.: | | | US 1992-935071 | A2 | 19920825 |
| | | | WO 1993-US7816 | W | 19930824 |

OTHER SOURCE(S): MARPAT 122:55727

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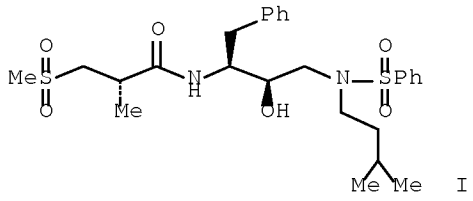

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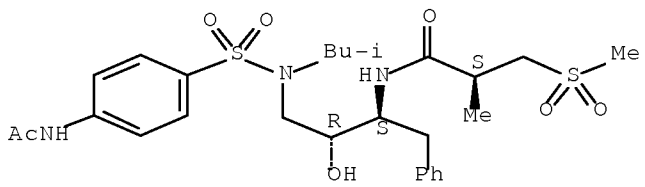
AB The title compds. RS(O)x(CH2)tC(R21)(R20)CH(R1)C(:Y)N(R6)CH(R2)C(OH)HCH2N(R3)S(O)xR4 [R = H, alkyl, alkenyl, alkynyl, heteroaryl, cycloalkyl, etc.; R1, R20, R21 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, etc.; R2 = (un)substituted alkyl, aryl, cycloalkyl, arylkyl, etc.; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, etc.; R4 = alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, cycloalkyl, etc.; R6 = H, alkyl; Y = O, S, (un)substituted NH; t = 0, 1; x = 0-2], useful as HIV protease inhibitors for the treatment of AIDS, are prepared Thus, sulfonimide I was prepared and demonstrated IC50 against HIV protease of 3 nM.

IT 157566-84-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(HIV protease inhibitor)

RN 157566-84-2 CAPLUS

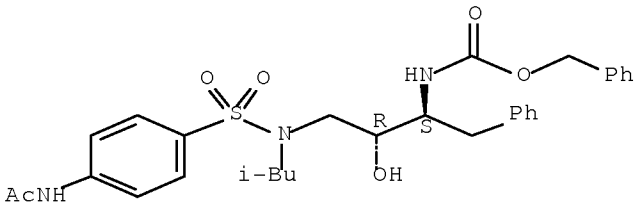
CN Propanamide, N-[3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



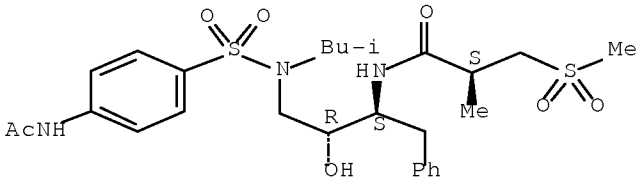
IT 157567-04-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of HIV protease inhibitors)
RN 157567-04-9 CAPLUS
CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.




IT 157566-84-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as HIV protease inhibitor)
RN 157566-84-2 CAPLUS
CN Propanamide, N-[3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-2-methyl-3-(methylsulfonyl)-, [1S-[1R*(R*),2S*]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1994:701324 CAPLUS Full-text
DOCUMENT NUMBER: 121:301324
ORIGINAL REFERENCE NO.: 121:55181a,55184a
TITLE: Preparation of hydroxyethylamino sulfonamides useful as retroviral protease inhibitors
INVENTOR(S): Vazquez, Michael L.; Mueller, Richard A.; Talley, John J.; Getman, Daniel; Decrescenzo, Gary A.; Freskos, John N.
PATENT ASSIGNEE(S): G.D. Searle and Co., USA; Monsanto Co.
SOURCE: PCT Int. Appl., 198 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9404492 | A1 | 19940303 | WO 1993-US7814 | 19930824 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2140929 | A1 | 19940226 | CA 1993-2140929 | 19930824 |
| CA 2140929 | C | 20060912 | | |
| AU 9453474 | A | 19940315 | AU 1994-53474 | 19930824 |
| AU 680635 | B2 | 19970807 | | |
| EP 656887 | A1 | 19950614 | EP 1993-923714 | 19930824 |
| EP 656887 | B1 | 19981028 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| JP 08501288 | T | 19960213 | JP 1994-506530 | 19930824 |
| JP 3657002 | B2 | 20050608 | | |
| EP 810209 | A2 | 19971203 | EP 1997-113434 | 19930824 |
| EP 810209 | A3 | 19981202 | | |
| EP 810209 | B1 | 20020605 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| AT 172717 | T | 19981115 | AT 1993-923714 | 19930824 |
| ES 2123065 | T3 | 19990101 | ES 1993-923714 | 19930824 |
| RU 2173680 | C2 | 20010920 | RU 1995-106624 | 19930824 |
| AT 218541 | T | 20020615 | AT 1997-113434 | 19930824 |
| PT 810209 | T | 20020930 | PT 1997-113434 | 19930824 |
| ES 2177868 | T3 | 20021216 | ES 1997-113434 | 19930824 |
| US 6060476 | A | 20000509 | US 1994-204827 | 19940302 |
| US 5968942 | A | 19991019 | US 1994-294468 | 19940823 |
| NO 9500533 | A | 19950213 | NO 1995-533 | 19950213 |
| FI 9500650 | A | 19950214 | FI 1995-650 | 19950214 |
| FI 112471 | B1 | 20031215 | | |
| US 6455581 | B1 | 20020924 | US 1995-451090 | 19950525 |
| US 6046190 | A | 20000404 | US 1996-586866 | 19960124 |
| NO 9803099 | A | 19950213 | NO 1998-3099 | 19980703 |
| NO 307047 | B1 | 20000131 | | |
| US 6248775 | B1 | 20010619 | US 1999-288080 | 19990408 |
| US 6500832 | B1 | 20021231 | US 2000-525161 | 20000314 |
| US 20020052399 | A1 | 20020502 | US 2001-798255 | 20010305 |
| US 6417387 | B2 | 20020709 | | |
| FI 2001002317 | A | 20011127 | FI 2001-2317 | 20011127 |
| FI 119427 | B1 | 20081114 | | |
| US 20030191319 | A1 | 20031009 | US 2002-157019 | 20020530 |
| US 6646010 | B2 | 20031111 | | |
| US 20040044047 | A1 | 20040304 | US 2002-199481 | 20020722 |
| US 6846954 | B2 | 20050125 | | |
| US 6924286 | B1 | 20050802 | US 2003-633376 | 20030804 |
| US 20040229922 | A1 | 20041118 | US 2004-812343 | 20040330 |
| US 7115618 | B2 | 20061003 | | |
| US 20050267171 | A1 | 20051201 | US 2005-110943 | 20050421 |
| US 7141609 | B2 | 20061128 | | |
| US 20060276493 | A1 | 20061207 | US 2006-433797 | 20060515 |
| US 20070078173 | A1 | 20070405 | US 2006-526101 | 20060925 |
| US 7320983 | B2 | 20080122 | | |
| US 20090023664 | A1 | 20090122 | US 2007-952520 | 20071207 |

PRIORITY APPLN. INFO.:

| | | |
|---|---|---|
| US | 1992-934984 | A2 19920825 |
| EP | 1993-923714 | A3 19930824 |
| US | 1993-110911 | A2 19930824 |
| WO | 1993-US7814 | W 19930824 |
| US | 1994-204827 | A2 19940302 |
| US | 1994-204872 | B2 19940302 |
| US | 1994-294468 | A1 19940823 |
| WO | 1994-US9139 | W 19940823 |
| US | 1995-451090 | A3 19950525 |
| US | 1999-288080 | A1 19990408 |
| US | 2001-798255 | A1 20010305 |
| US | 2002-119481 | A3 20020410 |
| US | 2002-157019 | A1 20020530 |
| US | 2002-199481 | A3 20020722 |
| US | 2003-633376 | A1 20030804 |
| US | 2004-812343 | A1 20040330 |
| US | 2005-110943 | A1 20050421 |
| US | 2006-526101 | A1 20060925 |

OTHER SOURCE(S): MARPAT 121:301324

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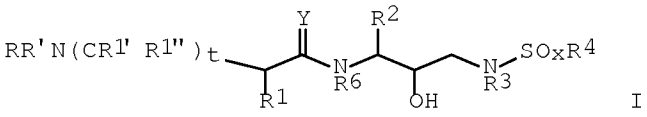


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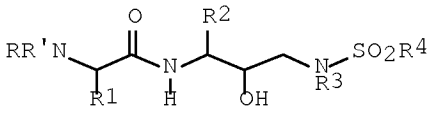


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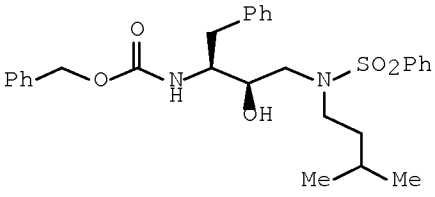



III

AB Title compds. [I and II; R = H, alkoxycarbonyl, aralkoxycarbonyl, alkylcarbonyl, cycloalkylcarbonyl, heterocyclylcarbonyl, heteroaryloxyalkyl, hydroxyalkyl, aryl, alkyl, alkenyl, alkynyl, substituted aminocarbonyl, etc.; R' = H, R3, R''SO2; RR'N = heterocyclyl, heteroaryl; R1 = H, CH2SO2NH2, CH2CO2Me, CO2Me, CONH2, CMe2SH, alkyl, haloalkyl, alkenyl, alkynyl, cycloalkyl, amino acid side chains, etc.; R1', R1'' = H, R1; 1 of R1', R1'' together with R1 form a cycloalkyl radical; R2 = (substituted) alkyl, aryl, cycloalkyl, cycloalkylalkyl, aralkyl; R3 = H, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, heterocycloalkyl, heteroaryl, aryl, aralkyl, heteroaralkyl, (substituted) aminoalkyl, etc.; R4 = R3, except H; R6 = H, alkyl; x = 0-2; t = 0, 1; Y = O, S, imino], were prepared Thus, title compound (III, solution phase preparation given) inhibited HIV protease with IC50 = 16 nM.

IT 157567-04-9P

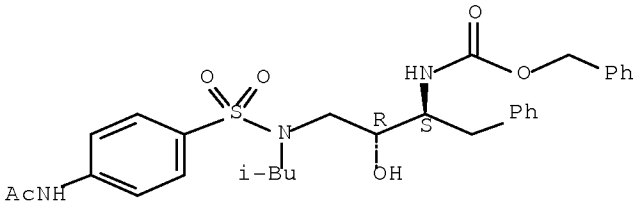
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as HIV protease inhibitor)

RN 157567-04-9 CAPLUS

CN Carbamic acid, N-[(1S,2R)-3-[[[4-(acetylamino)phenyl]sulfonyl](2-methylpropyl)amino]-2-hydroxy-1-(phenylmethyl)propyl]-, phenylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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